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SEARCH REQUEST FORM

Requester's Full Name: Valeriy Yegorov Date: 4/10/08
 Air Unit: 1621 Phone Number: 2-3049 Serial Number: 1053036
 Location (Edg/Recep): 216 (Mailbox #): 216 Results Format Preferred (circle): PAPER DISK

To ensure an efficient and quality search, please attach a copy of the cover sheet, abstract, and abstract or fill out the following:

Title of Invention: Phenyl compound
 Inventors (please provide full names): See BIB

Earliest Priority Date: 11/1/02

Search Topics

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the chemical species or structure, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, references, etc., if known.

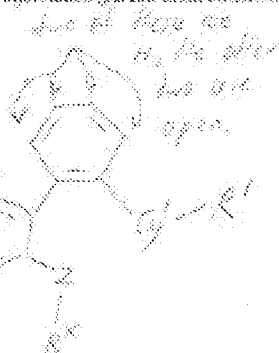
For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

1) Search Reg #:

2) Structure search:

3) Invention search:

at least
2 H₂ CO
this reg



B = phenyl or pyridyl ring
 G = aryl heterocycle,
 bicyclic heterocycle
 Z = R₂, CN, C₆H₅, C₆H₄
 allyl, alenyl, 5-alkyl,
 3-N, 4-N, 6-alkyl
 heterocycle, bicyclic heterocycle

Note: if too many hits,
 Limit G' to benzene ring
 phenyl, pyridyl or pyrazinyl

Z = O, S, SO, SO₂

R' = C-C₆H₅

R² = H, CH₃, C₂H₅

=> file registry

FILE 'REGISTRY' ENTERED AT 10:28:41 ON 12 MAY 2008

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STRUCTURE FILE UPDATES: 11 MAY 2008 HIGHEST RN 1020256-26-1
DICTIONARY FILE UPDATES: 11 MAY 2008 HIGHEST RN 1020256-26-1

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FILE COVERS 1907 - 12 May 2008 VOL 148 ISS 20
FILE LAST UPDATED: 11 May 2008 (20080511/ED)

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This file contains CAS Registry Numbers for easy and accurate
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'OBI' IS DEFAULT SEARCH FIELD FOR 'ZCAPLUS' FILE

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PROCESSING COMPLETED FOR L40

PROCESSING COMPLETED FOR L43

L49 22 DUP REM L40 L43 (26 DUPLICATES REMOVED)

ANSWERS '1-21' FROM FILE ZCAPLUS

ANSWER '22' FROM FILE BIOSIS

=> d ibib abs L49 1-21; d iall L49 22

L49 ANSWER 1 OF 22 ZCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 1

ACCESSION NUMBER: 2008:70761 ZCAPLUS Full-text

DOCUMENT NUMBER: 148:168573

TITLE: Indole derivatives as EP1 ligands, their preparation, pharmaceutical compositions, and use in therapy

INVENTOR(S): Gibling, Gerard Martin Paul; Hall, Adrian; Hurst, David Nigel; Scoccitti, Tiziana; Theobald, Pamela Joan

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 47pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2008006790	A1	20080117	WO 2007-EP56936	20070709
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: GB 2006-14068 A 20060714

OTHER SOURCE(S): MARPAT 148:168573

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to indole derivs. of formula I, which are ligands for the prostanoid EP1 receptor. In compds. I, R1 is H, Me, CF3, Cl, F, or Br; R2 is Et, Pr, iso-Pr, iso-Bu, 2,2-dimethylpropyl, 3,3-dimethylbutyl,

(un)substituted benzyl, 2,2,2-trifluoroethyl, or isobutanoyl; R3 is substituted oxazolyl, substituted thiazolyl, substituted thiazolylmethyl, substituted pyridinyl, substituted furyl, substituted thienyl, or substituted phenyl; and X is CH or N. The invention also relates to the preparation of I, pharmaceutical compns. comprising a compound I together with a pharmaceutical carrier and/or excipient, as well as to the use of the compns. for the treatment of conditions mediated by the action of prostaglandin E2 (PGE2) on the EP1 receptor, such as pain, inflammatory disorders, and neurodegenerative disorders. Acylation of 6-chloroindole with propanoyl chloride followed by hydride reduction resulted in the formation of indole II, which underwent substitution of Et 2-bromo-4-thiazolecarboxylate and ester hydrolysis to give N-(thiazolyl)indole III. Several compds. of the invention, e.g., III, expressed pIC50 values above 7 for their affinity towards the prostanoid EP1 receptor and pKi values above 7.0 in a human EP1 calcium mobilization functional assay.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L49 ANSWER 2 OF 22 ZCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 2

ACCESSION NUMBER: 2008:462767 ZCAPLUS Full-text
 TITLE: Discovery of a novel indole series of EP1 receptor antagonists by scaffold hopping
 AUTHOR(S): Hall, Adrian; Billinton, Andy; Brown, Susan H.; Chowdhury, Anita; Giblin, Gerard M. P.; Goldsmith, Paul; Hurst, David N.; Naylor, Alan; Patel, Sadhana; Scoccitti, Tiziana; Theobald, Pamela J.
 CORPORATE SOURCE: Neurology Centre of Excellence for Drug Discovery, GlaxoSmithKline, Harlow, Essex, CM19 5AW, UK
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2008), 18(8), 2684-2690
 CODEN: BMCLE8; ISSN: 0960-894X
 PUBLISHER: Elsevier Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB We describe the medicinal chemical approach that generated a novel indole series of EP1 receptor antagonists. The SAR of this new template was evaluated and culminated in the identification of compound 12g which demonstrated in vivo efficacy in a preclin. model of inflammatory pain.

L49 ANSWER 3 OF 22 ZCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 3

ACCESSION NUMBER: 2008:324741 ZCAPLUS Full-text
 TITLE: Novel methylene-linked heterocyclic EP1 receptor antagonists
 AUTHOR(S): Hall, Adrian; Bit, Rino A.; Brown, Susan H.; Chowdhury, Anita; Giblin, Gerard M. P.; Hurst, David N.; Kilford, Ian R.; Lewell, Xiao; Naylor, Alan; Scoccitti, Tiziana
 CORPORATE SOURCE: Neurology Centre of Excellence for Drug Discovery, GlaxoSmithKline, New Frontiers Science Park, Harlow, Essex, CM19 5AW, UK
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2008), 18(5), 1592-1597
 CODEN: BMCLE8; ISSN: 0960-894X
 PUBLISHER: Elsevier Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB We describe the SAR, in terms of heterocyclic replacements, for a series of pyrazole EP1 receptor antagonists. This study led to the identification of several aromatic heterocyclic replacements for the pyrazole in the original

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compound Investigation of replacements for the methylene linker uncovered
disparate SAR in the thiazole and pyridine series.

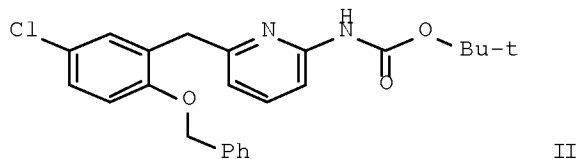
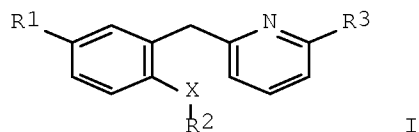
L49 ANSWER 4 OF 22 ZCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 4
ACCESSION NUMBER: 2007:1302250 ZCAPLUS Full-text
DOCUMENT NUMBER: 147:541735
TITLE: Preparation of substituted 2-benzylpyridine compounds
for treating a condition mediated by the action of
PGE2 at EP1 receptors
INVENTOR(S): Giblin, Gerard Martin Paul; Hall, Adrian; Hurst,
David Nigel; Scoccitti, Tiziana
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 58pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2007128752	A1	20071115	WO 2007-EP54254	20070502
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: GB 2006-8825 A 20060504

OTHER SOURCE(S): MARPAT 147:541735

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AB The title compds. I [R1 = halo; X = O or S; R2 = iso-Bu or (un)substituted CH2Ph; R3 = CONH(CH2)mR4, NHCO2R5, CH(OH)CF3, etc.; R4 = H, alkyl, cycloalkyl, Ph or pyridyl; R5 = tert-Bu; m = 0-1] which bind with high affinity to the EP1 receptor, were prepared. E.g., a multi-step synthesis of II, starting from 4-chlorophenol and benzyl bromide, was given. Exemplified compds. I were evaluated in various assays (data given). Pharmaceutical composition comprising compound I is disclosed.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L49 ANSWER 5 OF 22 ZCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 5

ACCESSION NUMBER: 2007:79571 ZCAPLUS Full-text

DOCUMENT NUMBER: 146:220141

TITLE: The discovery of 6-[2-(5-chloro-2-[(2,4-difluorophenyl)methyl]oxy}phenyl)-1-cyclopenten-1-yl]-2-pyridinecarboxylic acid, GW848687X, a potent and selective prostaglandin EP1 receptor antagonist for the treatment of inflammatory pain

AUTHOR(S): Giblin, Gerard M. P.; Bit, Rino A.; Brown, Susan H.; Chaignot, Helene M.; Chowdhury, Anita; Chessell, Iain P.; Clayton, Nicholas M.; Coleman, Tanya; Hall, Adrian; Hammond, Beverley; Hurst, David N.; Michel, Anton D.; Naylor, Alan; Novelli, Riccardo; Scoccitti, Tiziana; Spalding, David; Tang, Sac P.; Wilson, Alex W.; Wilson, Rich

CORPORATE SOURCE: Department of Medicinal Chemistry and DMPK, New Frontiers Science Park, Essex, CM19 5AW, UK

SOURCE: Bioorganic & Medicinal Chemistry Letters (2007), 17(2), 385-389

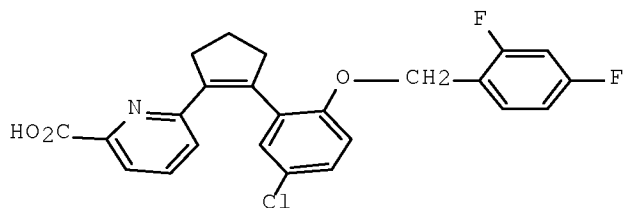
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I

AB The discovery of a series of selective EP1 receptor antagonists based on a 1,2-diarylcyclopentene template is described. After defining the structural requirements for EP1 potency and selectivity, heterocyclic rings were incorporated to reduce log D and improve in vitro pharmacokinetic properties. The 2,6-substituted pyridines and pyridazines gave an appropriate balance of potency, in vivo pharmacokinetic properties and a low potential for inhibiting

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a range of CYP450 enzymes. From this series, GW848687X (I) was shown to have an excellent profile in models of inflammatory pain and was selected as a development candidate.

REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L49 ANSWER 6 OF 22 ZCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 6

ACCESSION NUMBER: 2006:1157169 ZCAPLUS Full-text

DOCUMENT NUMBER: 145:471519

TITLE: Preparation of pyrazole compounds as EP1 prostaglandin receptor antagonists

INVENTOR(S): Conway, Elizabeth Ann; Gibling, Gerard Martin Paul; Gibson, Mairi; Hall, Adrian; Hayhow, Thomas George Christopher; Healy, Mark Patrick; Hurst, David Nigel; Kilford, Ian Reginald; McKeown, Stephen Carl; Naylor, Alan; Price, Helen Susanne; Rawlings, Derek Anthony

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 203pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

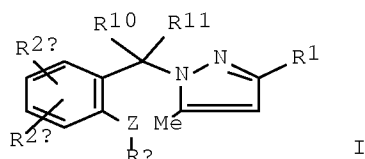
FAMILY ACC. NUM. COUNT: 1

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EP 1874303	A1	20080109	EP 2006-742719	20060424
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			WO 2006-EP3919	W 20060424

OTHER SOURCE(S): MARPAT 145:471519

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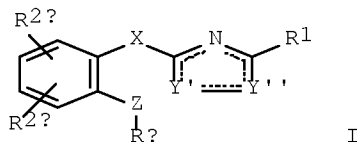
AB Pyrazoles (shown as I; variables defined below; e.g. 1,1-dimethylethyl [1-[[5-chloro-2-[(phenylmethyl)oxy]phenyl]methyl]-5-methyl-1H-pyrazol-3-yl]carbamate (1)) or a pharmaceutically acceptable derivative thereof, a process for the preparation of such compds., pharmaceutical compns. comprising such compds. and the use of such compds. in medicine are disclosed. Although the methods of preparation are not claimed, preps. and/or characterization data for .apprx.500 examples of I are included. For example, 1 was prepared from 1-[[5-chloro-2-[(phenylmethyl)oxy]phenyl]methyl]-5-methyl-1H-pyrazole-3-carboxylic acid, Et₃N, and Ph₂P(O)N₃ in tBuOH; the starting acid was prepared by saponification of the Et ester, which was prepared by O-benylation of Et 1-[(5-chloro-2-hydroxyphenyl)methyl]-5-methyl-1H-pyrazole-3-carboxylate (preparation of similar compound described). For I: Z is O, S, SO or SO₂; Rx is (un)substituted C₂-10alkyl, C₂-10alkenyl, C₂-10alkynyl, CQaQb-heterocyclyl, CQaQb-bicyclic heterocyclyl, or CQaQb-aryl; R₁ is CONR₃R₄, NR₃CO₂R₅, NR₃COR₆, OCONR₃R₇, tetrazolyl, oxazolin-2-yl, oxazol-2-yl, benzoxazol-2-yl, pyrrolidinonyl, isoindoledionyl, dihydroisoindolonyl, or (un)substituted SO₂NHCOaryl; or R₁ is (un)substituted imidazolyl or 1,2,4-triazolyl wherein optionally the imidazole or 1,2,4-triazole ring is fused to give an (un)substituted bicyclic or tricyclic ring system; or R₁ = 4-R₉-2-oxopiperazin-1-yl. R_{2a} and R_{2b} = H, halo, CN, SO₂alkyl, SR₃, NO₂, or (un)substituted alkyl or alkoxy; R₃ is H or C₁-4alkyl; R₄ is H, OH, (un)substituted alkyl, aryl, heterocyclyl, bicyclic heterocyclyl, CQcQdaryl, CQcQdheterocyclyl, or CQcQdbicyclic heterocyclyl, or SO₂R₈; R₅ is (un)substituted C₁-4alkyl, substituted cyclohexyl, Ph, et al.; R₆ is alkyl or (un)substituted aryl, heterocyclyl, bicyclic heterocyclyl, CQcQd-Y-aryl, CQcQd-Y-heterocyclyl or CQcQd-Y-bicyclic heterocyclyl; R₇ is (un)substituted alkyl, alkenyl, aryl, or CQcQdaryl; R₈ is (un)substituted alkyl, aryl or heterocyclyl. R₉ is (un)substituted alkyl, alkenyl, (un)substituted CQcQd-Y-aryl, (un)substituted CQcQd-Y-heterocyclyl or (un)substituted CQcQd-Y-bicyclic heterocyclyl; R₁₀ and R₁₁ = H, F and alkyl; or R₁₀ and R₁₁ together with the C to which they are attached form a cycloalkyl ring, optionally containing up to one heteroatom = O, S, NH or N-alkyl; and Y is CH₂ or a bond; Qa and Qb = H, CH₃ and F; Qc and Qd = H, CH₃ and F; addnl. details including provisos are given in the claims. Results are summarized for a binding assay for the human prostanoid EP₁ receptor, a human EP₁ Ca mobilization assay and for a human EP₃ Ca mobilization assay for many examples of I.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L49 ANSWER 7 OF 22 ZCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 7
 ACCESSION NUMBER: 2006:1147656 ZCAPLUS Full-text
 DOCUMENT NUMBER: 145:471518
 TITLE: Preparation of oxazole and thiazole compounds as EP₁ prostaglandin receptor antagonists
 INVENTOR(S): Bit, Rino Antonio; Hall, Adrian; Hurst, David
 Nigel; Scoccitti, Tiziana
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK
 SOURCE: PCT Int. Appl., 124pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006114274	A1	20061102	WO 2006-EP3810	20060424
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,			

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
 KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,
 MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
 SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
 VN, YU, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM
 EP 1874776 A1 20080109 EP 2006-742682 20060424
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR
 PRIORITY APPLN. INFO.: GB 2005-8463 A 20050426
 WO 2006-EP3810 W 20060424
 OTHER SOURCE(S): MARPAT 145:471518
 GI



AB Oxazoles and thiazoles (shown as I; variables defined below; e.g. 2-[[5-chloro-2-[(phenylmethyl)oxyl]phenyl]methyl]-1,3-thiazole-4-carboxylic acid (1)) or a pharmaceutically acceptable derivative thereof, a process for the preparation of such compds., pharmaceutical compns. comprising such compds. and the use of such compds. in medicine are disclosed. Although the methods of preparation are not claimed, prepns. and/or characterization data for .apprx.180 examples of I are included. For example, 1 was prepared by saponification of the Et ester, which was prepared by cyclization of Et bromopyruvate with 2-[5-chloro-2-[(phenylmethyl)oxyl]phenyl]ethanethioamide, which was prepared from Lawesson's Reagent and 2-[5-chloro-2-[(phenylmethyl)oxyl]phenyl]acetamide, which was prepared from [5-chloro-2-[(phenylmethyl)oxyl]phenyl]acetic acid, which was prepared from the Et ester, which was prepared from 1-[5-chloro-2-[(phenylmethyl)oxyl]phenyl]ethanone, which was prepared from benzyl bromide and 1-(5-chloro-2-hydroxyphenyl)ethanone. Results are summarized for a binding assay for the human prostanoid EP1 receptor, a human EP1 Ca mobilization assay and for a human EP3 Ca mobilization assay for many examples of I. For I: either Y' is CH and Y'' is O or S, or Y' is O or S and Y is CH thus forming an oxazole or a thiazole ring; X is CR7R8, O, NR4, S, SO, or SO2, or X is a bond; Z is O, S, SO or SO2; Rx is (un)substituted C3-10alkyl, C3-10alkenyl, C3-10alkynyl, CQaQb-heterocyclyl, CQaQb-bicyclic heterocyclyl, or CQaQb-aryl; R1 is CO2H, CQcQdCO2H, tetrazolyl, CH2tetrazolyl, CONR4R5, NR4CO2R6, NR4COR6 or 1,2,4-triazol-3-yl (un)substituted on a ring C; or R1 = imidazolyl or pyrazolyl wherein optionally the imidazole or pyrazole ring is fused to give an (un)substituted bicyclic or tricyclic ring system; R2a and R2b = H, halo, CN, SO2alkyl, SR4 or NO2 or (un)substituted alkyl or (un)substituted alkoxy. R4 is H or (un)substituted alkyl; R5 is H or (un)substituted alkyl, aryl, heterocyclyl, SO2aryl, SO2alkyl, SO2heterocyclyl, CQaQbaryl, or CQaQbheterocyclyl; or R4 and R5 together with the N to which they are attached form a heterocyclic or bicyclic heterocyclic ring; R6 is (un)substituted alkyl or aryl; R7 is H, F or alkyl; R8 is H, hydroxy, F or alkyl; or R7 and R8

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together with the C to which they are attached form a cycloalkyl ring, optionally containing up to one heteroatom = O, S, NH and N-alkyl; or R7 and R together with the C to which they are attached form a carbonyl group; and Qa and Qb = H, CH3 and F; Qc and Qd = H and CH3; addnl. details including provisos are given in the claims.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L49 ANSWER 8 OF 22 ZCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 8

ACCESSION NUMBER: 2006:1147677 ZCAPLUS Full-text

DOCUMENT NUMBER: 145:471368

TITLE: Preparation of furan derivatives as human EP1 receptor antagonists

INVENTOR(S): Bit, Rino Antonio; Giblin, Gerard Martin Paul; Hall, Adrian; Hurst, David Nigel; Kilford, Ian Reginald; Scoccitti, Tiziana

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 85pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

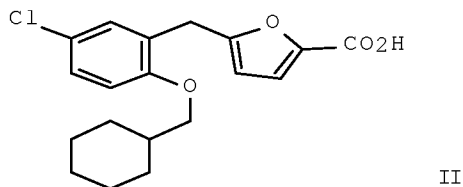
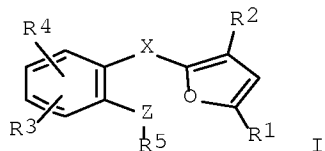
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006114272	A1	20061102	WO 2006-EP3808	20060424
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1874749	A1	20080109	EP 2006-724558	20060424
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR				
PRIORITY APPLN. INFO.:			GB 2005-8460	A 20050426
			WO 2006-EP3808	W 20060424

OTHER SOURCE(S): MARPAT 145:471368

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AB The title compds. with general formula I [wherein R1 = CO2H, imidazolyl, pyrazolyl, etc.; R2 = H or (un)substituted C1-3 alkyl; R3 and R4 = independently H, halo, CN, etc.; R5 = (un)substituted C2-20 alkyl, C2-20 alkenyl, C2-20 alkynyl, etc.; X = (un)substituted CH2, O, S, SO, or SO2; Z = O, S, SO, or SO2] or pharmaceutically acceptable derivs. thereof are prepared as human EP1 receptor antagonists. For example, compound II•Na was prepared in a multistep synthesis. II•Na exhibited a pIC50 ≥ 8 in the binding assay test for human prostanoid EP1 receptor using Chinese hamster ovary-K1 (CHO-K1) cells.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L49 ANSWER 9 OF 22 ZCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 9

ACCESSION NUMBER: 2006:627600 ZCAPLUS Full-text

DOCUMENT NUMBER: 145:83231

TITLE: Pyridine compounds as selective EP1 antagonists, their preparation, pharmaceutical compositions, and use in therapy

INVENTOR(S): Gibling, Gerard Martin Paul; Hall, Adrian; Hurst, David Nigel; Rawlings, Derek Anthony; Scoccitti, Tiziana

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 72 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006066968	A1	20060629	WO 2005-EP14061	20051221
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
AU 2005318372	A1	20060629	AU 2005-318372	20051221
CA 2592442	A1	20060629	CA 2005-2592442	20051221
EP 1833795	A1	20070919	EP 2005-817767	20051221
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR			
IN 2007DN04275	A	20070824	IN 2007-DN4275	20070605
KR 2007091301	A	20070910	KR 2007-714271	20070622
MX 200707830	A	20070725	MX 2007-7830	20070625
NO 2007003332	A	20070917	NO 2007-3332	20070628
CN 101128430	A	20080220	CN 2005-80048605	20070823
PRIORITY APPLN. INFO.:			GB 2004-28263	A 20041223
			GB 2005-8458	A 20050426
			GB 2005-24675	A 20051202
			WO 2005-EP14061	W 20051221

OTHER SOURCE(S): MARPAT 145:83231

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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to pyridine compds. of formula I, which are antagonists of prostaglandin E2 receptors EP1 subtype. In compds. I, X is N and Y is CH or X is CH and Y is N; Z is O, S, SO, or SO₂; R1 is carboxy, sulfonylaminocarbonyl, carboxymethyl, acylamino, tetrazolyl, or tetrazolylmethyl; R2 and R3 are independently selected from H, halo, cyano, SH, nitro, alkylsulfonyl, (un)substituted alkylthio, (un)substituted alkyl, (un)substituted alkoxy, (un)substituted aryl, and (un)substituted heteroaryl; R4 and R5 are independently H, halo, NH₂, (un)substituted alkyl, (un)substituted alkoxy, or primary or secondary amino; R6 is (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, (un)substituted heterocyclyl-C(R9)(R10)-, or (un)substituted aryl-C(R9)(R10)-, where R9 and R10 are independently selected from H, Me, and F; R7 is H, F, or alkyl; and R8 is H, OH, F, or alkyl, or R7 and R8, together with the carbon atom to which they are attached, form a cycloalkyl ring, optionally containing one heteroatom selected from O, S, NH, and N-alkyl, or R7 and R8, together with the carbon atom to which they are attached, form a carbonyl group. The invention also relates to the preparation of I, pharmaceutical compns. comprising a compound I together with a pharmaceutical carrier and/or excipient, as well as to the use of the compns. for the treatment of conditions mediated by the action of prostaglandin E2 at the EP1 receptor, such as pain, inflammatory disorders, or neurodegenerative disorders. Regioselective benzylation of 4-chloro-2-(hydroxymethyl)phenol followed by bromination, conversion to the organozinc reagent, substitution of Et 6-bromo-2-pyridinecarboxylate, and debenylation gave pyridinecarboxylate II, which was benzylation with 4-chloro-2-fluorobenzyl bromide and hydrolyzed with NaOH to give sodium pyridinecarboxylate III. Of the compds. of the invention, 14 compds., e.g., III, expressed pIC₅₀ values of 7.5 or higher for EP1 receptor binding and 13 compds., e.g., III, expressed pK_i values of 7.5 or higher for antagonism of EP1 calcium mobilization.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L49 ANSWER 10 OF 22 ZCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 10

ACCESSION NUMBER: 2006:315138 ZCAPLUS Full-text

DOCUMENT NUMBER: 144:480399

TITLE: Discovery of novel biaryl heterocyclic EP1 receptor antagonists

AUTHOR(S): Hall, Adrian; Bit, Rino A.; Brown, Susan H.; Chaignot, Helene M.; Chessell, Iain P.; Coleman, Tanya; Giblin, Gerard M. P.; Hurst, David N.; Kilford, Ian R.; Lewell, Xiao Q.; Michel, Anton D.; Mohamed, Shiyam; Naylor, Alan; Novelli, Riccardo; Skinner, Lee; Spalding, David J.; Tang, Sac P.; Wilson, Richard J.

CORPORATE SOURCE: Neurology and Gastrointestinal Centre of Excellence for Drug Discovery, GlaxoSmithKline, Essex, CM19 5AW, UK

SOURCE: Bioorganic & Medicinal Chemistry Letters (2006), 16(10), 2666-2671
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 144:480399

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AB We describe the generation of novel EP1 receptor antagonists by investigation of thiophene isosteres. In addition, we disclose preliminary in vitro and in vivo DMPK for selected compds.

REFERENCE COUNT: 70 THERE ARE 70 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L49 ANSWER 11 OF 22 ZCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 11

ACCESSION NUMBER: 2005:1220712 ZCAPLUS Full-text

DOCUMENT NUMBER: 143:477851

TITLE: Preparation of sodium 6-(2-biphenyl)-2-pyridinecarboxylates for treating conditions mediated by the action of PGE2 at the EP1 receptor

INVENTOR(S): Bit, Rino Antonio; Giblin, Gerard Martin Paul; Hall, Adrian; Hayhow, Thomas; Hurst, David Nigel; Kilford, Ian Reginald; Miller, Neil Derek; Naylor, Alan; Novelli, Riccardo; Scoccitti, Tiziana

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 75 pp.

CODEN: PIXXD2

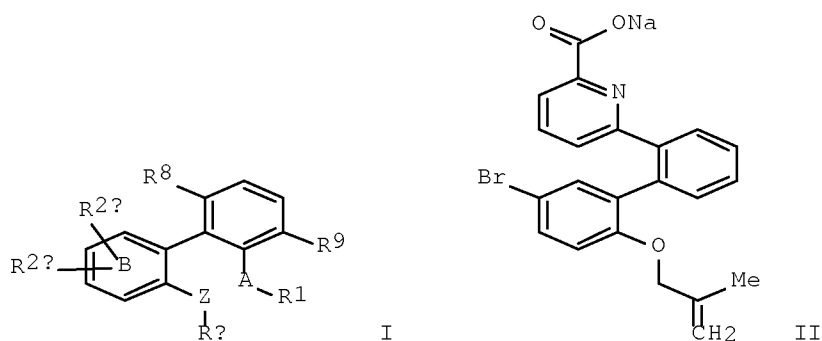
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005108369	A1	20051117	WO 2005-EP4726	20050429
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1742916	A1	20070117	EP 2005-738052	20050429
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LV			
JP 2007536309	T	20071213	JP 2007-511989	20050429
US 20070225340	A1	20070927	US 2006-568573	20061102
PRIORITY APPLN. INFO.:			GB 2004-10121	A 20040506
			WO 2005-EP4726	W 20050429
OTHER SOURCE(S):	MARPAT 143:477851			
GI				



AB The title compds. I [A = (un)substituted aryl, 5-6 membered heterocyclcyl, bicyclic heterocyclcyl; B = Ph, pyridyl; Z = O, S, SO, SO₂; R₁ = CO₂H, CN, COalkyl, etc.; R_{2a}, R_{2b} = H, halo, CN, etc.; R_x = (un)substituted alkyl [wherein 1 or 2 of the non-terminal carbon atoms are optionally replaced by NR₄, O or SOn (wherein n = 0-2)], (un)substituted alkenyl, (un)substituted alkynyl, etc.; R₈, R₉ = H, halo, CF₃, alkoxy, alkyl], useful in the treatment of conditions mediated by the action of PGE₂ at the EP₁ receptor (which is associated with smooth muscle contraction, pain (in particular inflammatory, neuropathic and visceral), inflammation, allergic activities, renal regulation and gastric or enteric mucus secretion), were prepared Thus, treating suspension of Et 6-{5'-bromo-2'-[(2-methyl-2-propen-1-yl)oxy]-2-biphenyl}-2-pyridinecarboxylate in EtOH with 1M NaOH afforded II. The exemplified compds. I showed an antagonist pIC₅₀ of 6.0 or greater at EP₂ receptors. The pharmaceutical composition comprising the compound I is disclosed.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L49 ANSWER 12 OF 22 ZCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 12

ACCESSION NUMBER: 2005:523415 ZCAPLUS Full-text

DOCUMENT NUMBER: 143:59814

TITLE: Preparation of aryl-substituted pyrroles as prostanoid EP₁ inhibitors useful for treating inflammation

INVENTOR(S): Giblin, Gerard Martin Paul; Hall, Adrian; Healy, Mark Patrick; Lewell, Xiao Qing; Miller, Neil Derek; Novelli, Riccardo; King, Francis David; Naylor, Alan

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 78 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

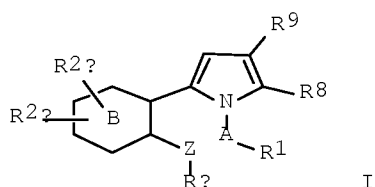
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005054191	A1	20050616	WO 2004-EP13744	20041130
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,				

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 NE, SN, TD, TG

EP 1697319 A1 20060906 EP 2004-803473 20041130
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS
 JP 2007513120 T 20070524 JP 2006-541906 20041130
 US 20070072906 A1 20070329 US 2006-596153 20060913
 PRIORITY APPLN. INFO.: GB 2003-28024 A 20031203
 WO 2004-EP13744 W 20041130

OTHER SOURCE(S): MARPAT 143:59814
 GI



AB Title compds. I [A = aryl, heterocyclyl, etc.; B = Ph, pyridyl; Z = O, SOO-2;
 R1 = carboxy, CN, alkoxy, etc.; R2a-2b = H, halo, alkyl, etc.; Rx = alkyl,
 etc.; R8 = H, Cl, CF3, etc.; R9 = halo, H, CF3, alkyl] are prepared For
 instance, 6-[2-(5-Chloro-2-benzyloxyphenyl)-5-methylpyrrol-1-yl]picolinic is
 prepared via the metalation/carboxylation of 6-[2-(5-chloro-2-
 benzyloxyphenyl)-5-methylpyrrol-1-yl]-2-bromopyridine. Compds. of the
 invention have an antagonist pIC50 = 6.0 to 9.0 at EP1 receptors and pIC50 <
 6.0 at EP3 receptors. I are useful in the treatment of inflammatory
 disorders.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L49 ANSWER 13 OF 22 ZCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 13

ACCESSION NUMBER: 2005:395279 ZCAPLUS Full-text

DOCUMENT NUMBER: 142:447210

TITLE: Preparation of heterocyclic compounds for treating
 conditions mediated by EP1 receptor and TxA2 receptor

INVENTOR(S): Giblin, Gerard Martin Paul; Hall, Adrian; Hurst,
 David Nigel; Lewell, Xiao Qing; Lorthioir, Olivier
 Eric; McKeown, Stephen Carl; Scoccitti, Tiziana;
 Watson, Stephen Paul

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 66 pp.
 CODEN: PIXXD2

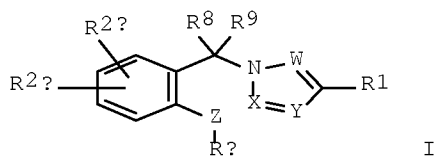
DOCUMENT TYPE: Patent
 LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005040128 A1 20050506 WO 2004-EP11964 20041021
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
EP 1675832 A1 20060705 EP 2004-790757 20041021
EP 1675832 B1 20080220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR
JP 2007509104 T 20070412 JP 2006-536056 20041021
AT 386725 T 20080315 AT 2004-790757 20041021
US 20070060596 A1 20070315 US 2006-576460 20060522
PRIORITY APPLN. INFO.: GB 2003-24893 A 20031024
GB 2003-24895 A 20031024
WO 2004-EP11964 W 20041021
OTHER SOURCE(S): CASREACT 142:447210; MARPAT 142:447210
GI



AB Compds. of formula [wherein W, X = N or CR10 (wherein R10 = H, halogen, each (un)substituted alkyl, aryl, or heterocyclyl); Y = N or CR12 (wherein R12 = H, halogen, Me, CF3); Z = O, S, SO, SO2; R1 = CO2R4, CONR5R6, CH2CO2H, (un)substituted SO2alkyl, SO2NR5R6, NR5CONR5R6, 2H-tetrazol-5-ylmethyl (un)substituted heterocyclyl; R2a, R2b = H, halo, each (un)substituted alkyl, alkoxy, or heteroaryl, cyano, SO2alkyl, SR5, NO2, CONR5R6; Rx = (un)substituted alkyl (wherein 1 or 2 of the nonterminal carbon atoms are optionally substituted by a group independently selected from NR4, O, S, SO, and SO2) or Rx = each (un)substituted CQaQb-heterocyclyl, CQaQb-bicyclic heterocyclyl, or CQaQb-aryl; R4, R5 = H, (un)substituted alkyl; R6 = H, cyano, each (un)substituted alkyl, heteroaryl, SO2aryl, SO2alkyl, SO2heteroaryl, CQaQbaryl, or CQaQbheteroaryl, COR7; R7 = H, each (un)substituted alkyl, heteroaryl, or aryl; R8, R9 = H, F, alkyl; or CR8R9 together forms a cycloalkyl ring, optionally containing up to one heteroatom selected from O, S, NH or N-alkyl; Qa, Qb = H, Me, F] or pharmaceutically acceptable derivs. thereof are prepared These compds. including pyrazole-3-carboxylic acids and pyrrole-3-carboxylic acids have activity at both the EP1 and TP receptors and are useful in the treatment of conditions such as inflammatory pain, neuropathic pain or visceral pain mediated by the action of prostaglandin E2 (PGE2) at the EP1 receptor and conditions mediated by the action of thromboxane on the TP (also known as TxA2) receptor. Thus, Me 1H-pyrazole-3-carboxylate (12.61 mg, 0.1 mmol) was dissolved in a 0.105 M solution of potassium tert-butoxide in ethanol (1 mL, 11.78 mg, 0.105 mmol), stirred at room temperature for 5 min, treated with a 0.1 M solution of 4-bromo-2-

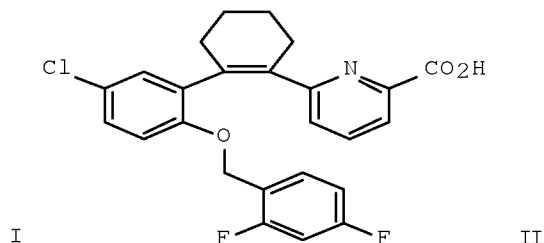
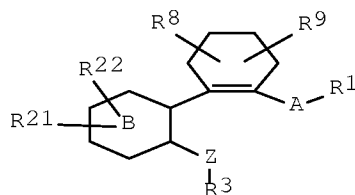
10/533036

(bromomethyl)phenyl phenylmethyl ether in ethanol (1 mL, 35.6 mg, 0.1 mmol), and stirred and heated at 60° under nitrogen for 4 h to give, after workup, 27.6% 1-[[5-bromo-2- [(phenylmethyl)oxy]phenyl]methyl]-5-methyl-1H-pyrazole-3-carboxylic acid. The compds. I had an antagonist binding pIC50 value of 6.2-9.9 at human EP1 receptors and a pIC50 value of <5.7 at human EP3 receptors and exhibited a functional pKi of 6.2-10.5 and/or a functional pIC50 of 5.3-8.9.

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L49 ANSWER 14 OF 22 ZCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 14
 ACCESSION NUMBER: 2005:371224 ZCAPLUS Full-text
 DOCUMENT NUMBER: 142:430147
 TITLE: Preparation of cyclohexene compounds which bind with high affinity to the EP1 receptor
 INVENTOR(S): Giblin, Gerard Martin Paul; Hall, Adrian; Hurst, David Nigel
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK
 SOURCE: PCT Int. Appl., 54 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005037794	A1	20050428	WO 2004-EP11365	20041006
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1670764	A1	20060621	EP 2004-790267	20041006
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2007508266	T	20070405	JP 2006-530140	20041006
PRIORITY APPLN. INFO.:			GB 2003-23584	A 20031008
			WO 2004-EP11365	W 20041006
OTHER SOURCE(S):			CASREACT 142:430147; MARPAT 142:430147	
GI				



AB The title compds. I [A = (un)substituted aryl, 5-6 membered heterocyclyl, bicyclic heterocyclyl; B = Ph, pyridyl; Z = O, S, SO, SO₂; R₁ = CO₂H, CN, CH₂CO₂H, etc.; R₂₁, R₂₂ = H, halo, alkyl, etc.; R₃ = (un)substituted alkyl (wherein 1 or 2 of the non-terminal carbon atoms are optionally substituted by (un)substituted NH, O, S, SO, SO₂), alkenyl, etc.; R₈, R₉ = H, Cl, F, CF₃, alkoxy, alkyl], useful in the treatment of conditions mediated by the action of PGE₂ at EP₁ receptors, were prepared E.g., a multi-step synthesis of II, was given. The compds. I had an antagonist pIC₅₀ value of 6.5 to 9.5 at EP₁ receptors and pIC₅₀ of < 6.0 at EP₃ receptors. The pharmaceutical composition comprising the compound I is disclosed.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L49 ANSWER 15 OF 22 ZCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 15

ACCESSION NUMBER: 2005:371223 ZCAPLUS Full-text

DOCUMENT NUMBER: 142:430146

TITLE: Preparation of cyclopentene compounds which bind with high affinity to the EP₁ receptor

INVENTOR(S): Giblin, Gerard Martin Paul; Hall, Adrian; Hurst, David Nigel; Kilford, Ian Reginald; Lewell, Xiao Qing; Naylor, Alan; Novelli, Riccardo

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 201 pp.

CODEN: PIXXD2

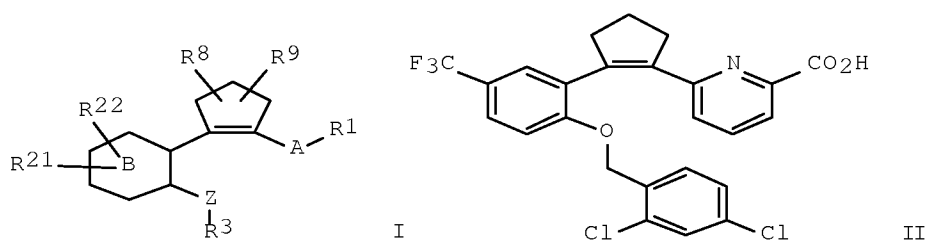
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005037793	A1	20050428	WO 2004-EP11364	20041006
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1670763	A1	20060621	EP 2004-765925	20041006
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR			
JP 2007509039	T	20070412	JP 2006-530139	20041006
PRIORITY APPLN. INFO.:			GB 2003-23581	A 20031008
			WO 2004-EP11364	W 20041006
OTHER SOURCE(S):	CASREACT 142:430146; MARPAT 142:430146			
GI				



AB The title compds. I [A = (un)substituted aryl, 5-6 membered heterocyclyl, bicyclic heterocyclyl; B = Ph, pyridyl; Z = O, S, SO, SO₂; R₁ = CO₂H, CN, CH₂CO₂H, etc.; R₂₁, R₂₂ = H, halo, alkyl, etc.; R₃ = (un)substituted alkyl (wherein 1 or 2 of the non-terminal carbon atoms are optionally substituted by (un)substituted NH, O, S, SO, SO₂), alkenyl, etc.; R₈, R₉ = H, Cl, F, CF₃, alkoxy, alkyl], useful in the treatment of conditions mediated by the action of PGE₂ at EP₁ receptors, were prepared. Thus, hydrolysis of (2,4-dichlorophenyl)methyl 6-{2-[2-((2,4-dichlorophenyl)methoxy)-5-(trifluoromethyl)phenyl]-1-cyclopenten-1-yl}-2-pyridinecarboxylate with 2M NaOH solution afforded II. The compds. I had an antagonist pIC₅₀ value of 6.0 to 9.5 at EP₁ receptors. The pharmaceutical composition comprising the compound I is disclosed.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L49 ANSWER 16 OF 22 ZCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 16

ACCESSION NUMBER: 2005:371217 ZCAPLUS [Full-text](#)

DOCUMENT NUMBER: 142:430145

TITLE: Preparation of heterocyclyl compounds which bind with high affinity to the EP₁ receptor

INVENTOR(S): Giblin, Gerard Martin Paul; Hall, Adrian; Kilford, Ian Reginald; Lewell, Xiao Qing; Miller, Neil Derek; Naylor, Alan

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 67 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

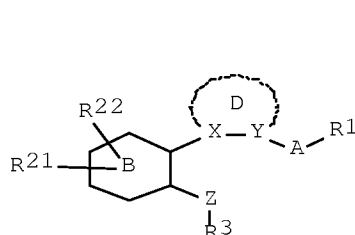
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

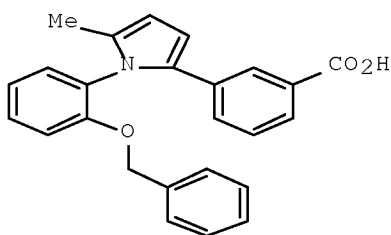
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005037786	A1	20050428	WO 2004-EP11366	20041006
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1670756	A1	20060621	EP 2004-765926	20041006

10/533036

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR
 JP 2007508267 T 20070405 JP 2006-530141 20041006
 PRIORITY APPLN. INFO.: GB 2003-23585 A 20031008
 WO 2004-EP11366 W 20041006
 OTHER SOURCE(S): CASREACT 142:430145; MARPAT 142:430145
 GI



I



II

AB The title compds. I [A = (un)substituted aryl, 5-6 membered heterocyclyl, bicyclic heterocyclyl; B = Ph, pyridyl; D = (un)substituted 5-6 membered heterocyclyl ring containing one or two heteroatoms selected from N, S and O (wherein X and Y are selected from N and C); Z = O, S, SO, SO2; R1 = CO2H, CN, CH2CO2H, etc.; R21, R22 = H, halo, alkyl, etc.; R3 = (un)substituted alkyl (wherein 1 or 2 of the non-terminal carbon atoms are optionally substituted by (un)substituted NH, O, S, SO, SO2), alkenyl, etc.], useful in the treatment of conditions mediated by the action of PGE2 at EP1 receptors, were prepared Thus, heating Me 3-(4-oxopentanoyl)benzoate with 2-benzyloxylaniline.HCl at 120°C for 24 h followed by hydrolysis of the resulting ester with 2M NaOH afforded the benzoic acid II. The compds. I had an antagonist pIC50 value of > 6.0 at EP1 receptors and pIC50 of < 6.0 at EP3 receptors. The pharmaceutical composition comprising the compound I is disclosed.

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L49 ANSWER 17 OF 22 ZCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 17

ACCESSION NUMBER: 2004:799566 ZCAPLUS Full-text

DOCUMENT NUMBER: 141:314325

TITLE: Preparation of 3-(imidazol-1-yl)benzoic acid derivatives for the treatment of conditions mediated by the action of PGE2 at EP1 receptors

INVENTOR(S): Giblin, Gerard Martin Paul; Hall, Adrian; Lewell, Xiao Qing; Miller, Neil Derek

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 36 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

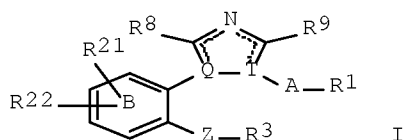
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004083185	A2	20040930	WO 2004-EP2831	20040317
WO 2004083185	A3	20041104		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,

10/533036

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
 BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
 ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
 SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
 TD, TG

PRIORITY APPLN. INFO.: GB 2003-6329 A 20030319
 OTHER SOURCE(S): CASREACT 141:314325; MARPAT 141:314325
 GI



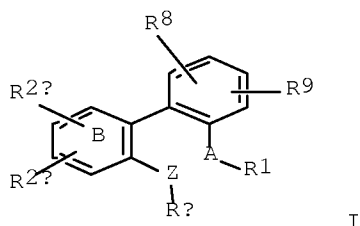
AB The title compds. [I; A = (un)substituted aryl, 5-6 membered heterocyclyl, bicyclic heterocyclyl; B = Ph, pyridyl; Z = O, S, SO, SO₂; R₁ = CN, alkyl, COalkyl, SO₂alkyl, etc.; R₂₁, R₂₂ = H, halo, alkyl, alkoxy, etc.; R₃ = alkyl wherein 1 or 2 of the non-terminal carbon atoms are optionally replaced by NH, N(alkyl), O, and SO_n; n = 0-2; either Q = C and T = N; or Q = N and T = C; R₈, R₉ = H, halo, alkyl, CF₃; with provisio], were prepared E.g., a 2-step synthesis of 3-[5-(2-benzyloxyphenyl)imidazol-1-yl]benzoic acid, starting from 2-benzyloxybenzaldehyde, Et 3-aminobenzoate and tosylmethyl isocyanide, was given. The exemplified compds. I had an antagonist pIC₅₀ value of between 7.0 and 9.5 at EP₁ receptors and pIC₅₀ value of <6.0 at EP₃ receptors. The pharmaceutical composition comprising the compound I is claimed.

L49 ANSWER 18 OF 22 ZCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 18
 ACCESSION NUMBER: 2004:390204 ZCAPLUS Full-text
 DOCUMENT NUMBER: 140:406635
 TITLE: Preparation of benzoic acids and related compounds as EP₁ receptor antagonists for the treatment of prostaglandin mediated diseases.
 INVENTOR(S): Bit, Rino Antonio; Giblin, Gerard Martin Paul; Hall, Adrian; Hurst, David Nigel; Kilford, Ian Reginald; Miller, Neil Derek; Scoccitti, Tiziana
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK
 SOURCE: PCT Int. Appl., 96 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004039753	A2	20040513	WO 2003-EP12181	20031030
WO 2004039753	A3	20040715		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

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 LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ,
 OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
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 BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
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 AU 2003287979 A1 20040525 AU 2003-287979 20031030
 EP 1556330 A2 20050727 EP 2003-779828 20031030
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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 JP 2006504767 T 20060209 JP 2004-547644 20031030
 US 20060235057 A1 20061019 US 2005-533036 20050428
 PRIORITY APPLN. INFO.: GB 2002-25548 A 20021101
 WO 2003-EP12181 W 20031030
 OTHER SOURCE(S): MARPAT 140:406635
 GI

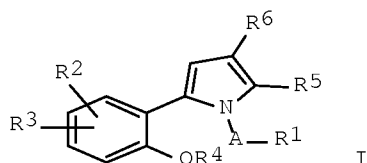


AB Title compds. I [A = (un)substituted aryl, 5 or 6-membered heterocyclyl ring, bicyclic heterocyclyl; B = Ph, pyridyl; Z = O, S, SO, etc.; R1 = CO₂R₄, CN, CONR₅R₆, etc.; R_{2a}, R_{2b} = H, halogen, (un)substituted alkyl, etc.; R_x = (un)substituted alkyl, CQaQb-heterocyclyl, CQaQb-bicyclic heterocyclyl, etc.; R₄, R₅ = H, (un)substituted alkyl; R₆ = H, (un)substituted alkyl, heteroaryl, etc.; R₈, R₉ = H, Cl, F, etc.; Qa, Qb = H, CH₃] and their pharmaceutically acceptable derivs. were prepared For example, the Suzuki coupling of Et 2'-bromobiphenyl-3-carboxylate and 2-benzyloxy-5-chlorophenylboronic acid, e.g., prepared from 3-ethoxycarbonylphenylboronic acid, followed by hydrolysis afforded compound I [A-R1 = 3-carboxyphenyl; Z = O; R_{2a} = H, R_{2b} = 5-Cl; R₈, R₉ = H] in 39% overall yield. In human prostanoid EP1 receptor binding assays, 90-examples of compds. I exhibited pIC₅₀ values ranging from 6.0->9.0 at the EP1 receptor and pIC₅₀ values of <6.0 at the EP3 receptor. Of note, no toxicol. effects are indicated/expected (sic) when the compds. I are administered at the assay concentration of 3 nM. Compds. I are claimed useful for the treatment of prostaglandin mediated diseases, e.g., inflammation, pain, etc.

L49 ANSWER 19 OF 22 ZCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 19
 ACCESSION NUMBER: 2003:972053 ZCAPLUS Full-text
 DOCUMENT NUMBER: 140:27757
 TITLE: Preparation of pyrroles for the treatment of
 prostaglandin mediated diseases
 INVENTOR(S): Giblin, Gerard Martin Paul; Hall, Adrian; Healy,

Mark Patrick; Lewell, Xiao Qing; Miller, Neil Derek;
 Novelli, Riccardo
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK
 SOURCE: PCT Int. Appl., 275 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003101959	A1	20031211	WO 2003-EP5790	20030530
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003238455	A1	20031219	AU 2003-238455	20030530
EP 1509499	A1	20050302	EP 2003-732522	20030530
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005532347	T	20051027	JP 2004-509653	20030530
US 20070082912	A1	20070412	US 2004-516230	20041129
PRIORITY APPLN. INFO.:			GB 2002-12785	A 20020531
			WO 2003-EP5790	W 20030530
OTHER SOURCE(S):		MARPAT 140:27757		
GI				



AB The title compds. [I; A = (un)substituted aryl, 5-6 membered heterocyclyl, bicyclic heterocyclyl; R1 = CO₂H, CN, CH₂CO₂H, alkyl, etc.; R2, R3 = H, halo, alkyl, alkoxy, etc.; R4 = (un)substituted alkyl wherein 1 or 2 of the non-terminal carbon atoms may optionally be replaced by a O, (un)substituted NH, SOn (n = 0-2); R5, R6 = H, CF₃, alkyl] which bind with high affinity to the EP1 receptors, and are useful in medicine, in particular in the treatment of prostaglandin mediated diseases such as pain, inflammatory, immunol., bone, neurodegenerative or renal disorder, were prepared Preparation of 394 compds. I is described in detail. E.g., a 3-step synthesis of 3-[2-(2-benzyloxyphenyl)-5-methylpyrrol-1-yl]benzoic acid (starting from 2-benzyloxybenzaldehyde and Me vinyl ketone), was given. The exemplified compds. I had an antagonist pIC₅₀ of 7.0-9.5 at EP1 receptors and pIC₅₀ of <

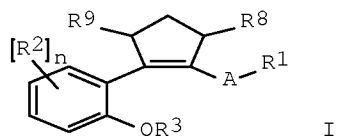
10/533036

6.0 at EP3 receptors. The pharmaceutical composition comprising the title compound I is claimed.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L49 ANSWER 20 OF 22 ZCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 20
 ACCESSION NUMBER: 2003:818387 ZCAPLUS Full-text
 DOCUMENT NUMBER: 139:323536
 TITLE: Preparation of [2-(2-alkoxyphenyl)cyclopent-1-enyl] substituted (hetero)aromatic carboxylic acids with high affinity to the EP1 receptor
 INVENTOR(S): Giblin, Gerard Martin Paul; Hall, Adrian; Hurst, David Nigel; Kilford, Ian Reginald; Lewell, Xiao Qing; Naylor, Alan; Novelli, Riccardo
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK
 SOURCE: PCT Int. Appl., 180 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003084917	A1	20031016	WO 2003-EP3661	20030407
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2481035	A1	20031016	CA 2003-2481035	20030407
AU 2003216920	A1	20031020	AU 2003-216920	20030407
EP 1492757	A1	20050105	EP 2003-712136	20030407
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003009014	A	20050111	BR 2003-9014	20030407
JP 2005522477	T	20050728	JP 2003-582116	20030407
CN 1659131	A	20050824	CN 2003-812587	20030407
ZA 2004007105	A	20060726	ZA 2004-7105	20040906
US 20050239802	A1	20051027	US 2004-508761	20040922
US 7232821	B2	20070619		
MX 2004PA09800	A	20041213	MX 2004-PA9800	20041007
NO 2004004689	A	20041029	NO 2004-4689	20041029
PRIORITY APPLN. INFO.:			GB 2002-8045	A 20020408
			GB 2003-2881	A 20030207
			WO 2003-EP3661	W 20030407
OTHER SOURCE(S):			MARPAT 139:323536	
GI				



AB The title compds. [I; A = (un)substituted Ph, 5-6 membered heterocyclyl, bicyclic heterocyclyl; R1 = CO2R4, CONR5R6, CH2CO2R4, alkyl, etc.; R2 = halo, alkyl, CN, etc.; R3 = alkyl wherein 1 or 2 of the non-terminal carbon atoms may optionally be replaced by NR4, O, SOn (n = 0-2), etc.; R4, R5 = H, alkyl; R6 = H, alkyl, SO2aryl, etc.; R8, R9 = H, alkyl; n = 0-2], useful for treating condition which is mediated by the action of PGE2 at EP1 receptors, were prepared E.g., a multi-step synthesis of [2-(5-chloro-2-benzyloxyphenyl)cyclopent-1-enyl]benzoic acid (starting from 1,2-dibromocyclopentene and (3-ethoxycarbonylphenyl)boronic acid), was given. The compds. I had an antagonist pIC50 value of between 7.0 and 9.5 at EP1 receptors and pIC50 value of <6.0 at EP3 receptors. Pharmaceutical composition comprising the compound I is claimed.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L49 ANSWER 21 OF 22 ZCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:69949 ZCAPLUS Full-text

DOCUMENT NUMBER: 148:168572

TITLE: Indole derivatives as EP1 ligands, their preparation, pharmaceutical compositions, and use in therapy

INVENTOR(S): Hall, Adrian; Hurst, David Nigel; Scoccitti, Tiziana; Theobald, Pamela Joan

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 63pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008006793	A1	20080117	WO 2007-EP56942	20070709
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: GB 2006-14070 A 20060714

OTHER SOURCE(S): MARPAT 148:168572

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to indole derivs. of formula I, which are ligands for the prostanoid EP1 receptor. In compds. I, R1 is CF3, Cl, or Br; R2 is iso-Pr, iso-Bu, or 3,3-dimethylbutyl; R3 is substituted oxazolyl, substituted thiazolyl, or substituted thiazolylmethyl; and X is CH or N. The invention also relates to the preparation of I, pharmaceutical compns. comprising a compound I together with a pharmaceutical carrier and/or excipient, as well as to the use of the compns. for the treatment of conditions mediated by the action of prostaglandin E2 (PGE2) on the EP1 receptor, such as pain, inflammatory disorders, and neurodegenerative disorders. Acylation of 6-chloroindole with isobutyryl chloride followed by hydride reduction and substitution of Et 2-bromothiazole-4-carboxylate resulted in the formation of N-thiazolylindole II, which underwent ester hydrolysis and amidation with 2-aminobenzyl alc. to give N-(carbamoylthiazolyl)indole III. Several compds. of the invention, e.g., III, expressed pIC50 values above 7 for their affinity towards the prostanoid EP1 receptor and pKi values above 7.0 in a human EP1 calcium mobilization functional assay.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L49 ANSWER 22 OF 22 BIOSIS COPYRIGHT (c) 2008 The Thomson Corporation on STN

ACCESSION NUMBER: 2007:426422 BIOSIS Full-text
 DOCUMENT NUMBER: PREV200700425637
 TITLE: (2-((2-alkoxy)-phenyl) -cyclopent-1-enyl) aromatic carbo and heterocyclic acid and derivatives.
 AUTHOR(S): Anonymous; Gibling, Gerard Martin Paul [Inventor]; Hall, Adrian [Inventor]; Hurst, David Nigel [Inventor]; Kilford, Ian Reginald [Inventor]; Lewell, Xiao Qing [Inventor]; Naylor, Alan [Inventor]; Novelli, Riccardo [Inventor]
 CORPORATE SOURCE: Welwyn, United Kingdom
 ASSIGNEE: Glaxo Group Limited
 PATENT INFORMATION: US 07232821 20070619
 SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (JUN 19 2007)
 CODEN: OGUPE7. ISSN: 0098-1133.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 ENTRY DATE: Entered STN: 8 Aug 2007
 Last Updated on STN: 8 Aug 2007
 ABSTRACT: Compounds of formula (I) or a pharmaceutically acceptable derivative thereof: wherein A, R-1, R-2, R-x, R-8, R(9) and n are as defined in the specification, a process for the preparation of such compounds, pharmaceutical compositions comprising such compounds and the use of such compounds in medicine.
 NAT. PATENT. CLASSIF.: 514239500
 CONCEPT CODE: Pathology - Therapy 12512
 Pharmacology - General 22002
 Pharmacology - Drug metabolism and metabolic stimulators 22003
 INDEX TERMS: Major Concepts
 Pharmacology
 INDEX TERMS: Chemicals & Biochemicals

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(2-((2-alkoxy)-phenyl)-cyclopent-1-enyl) aromatic carbo
derivatives: metabolic-drug; (2-((2-alkoxy)-phenyl)-
cyclopent-1-enyl) aromatic heterocyclic acid derivatives:
metabolic-drug

10/533036

=> file registry

FILE 'REGISTRY' ENTERED AT 10:30:20 ON 12 MAY 2008

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DICTIONARY FILE UPDATES: 11 MAY 2008 HIGHEST RN 1020256-26-1

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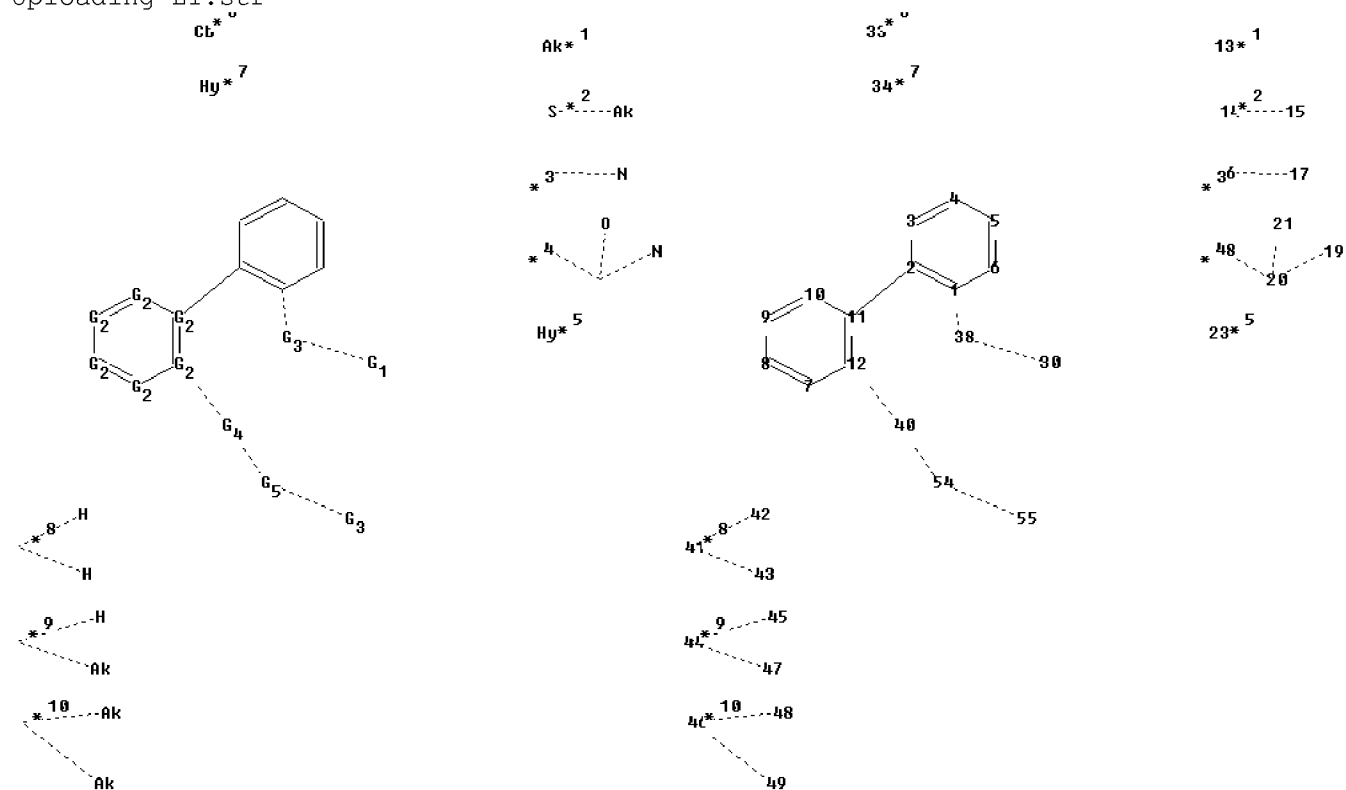
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<http://www.cas.org/support/stngen/stdoc/properties.html>

Uploading L1.str



chain nodes :

13 14 15 16 17 18 19 20 21 23 30 33 34 38 40 41 42 43 44 45 46
47 48 49 54 55

10/533036

```
ring nodes :
1  2  3  4  5  6  7  8  9  10  11  12
chain bonds :
1-38  2-11  12-40  14-15  16-17  18-20  19-20  20-21  30-38  40-54  41-42  41-43
44-45  44-47  46-48  46-49  54-55
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  7-8  7-12  8-9  9-10  10-11  11-12
exact/norm bonds :
1-38  2-11  7-8  7-12  8-9  9-10  10-11  11-12  12-40  14-15  16-17  18-20  19-20
20-21  30-38  40-54  41-42  41-43  44-45  44-47  46-48  46-49  54-55
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6
```

G1:[*1],[*2],[*3],[*4],[*5]

G2:C,N

G3:[*6],[*7]

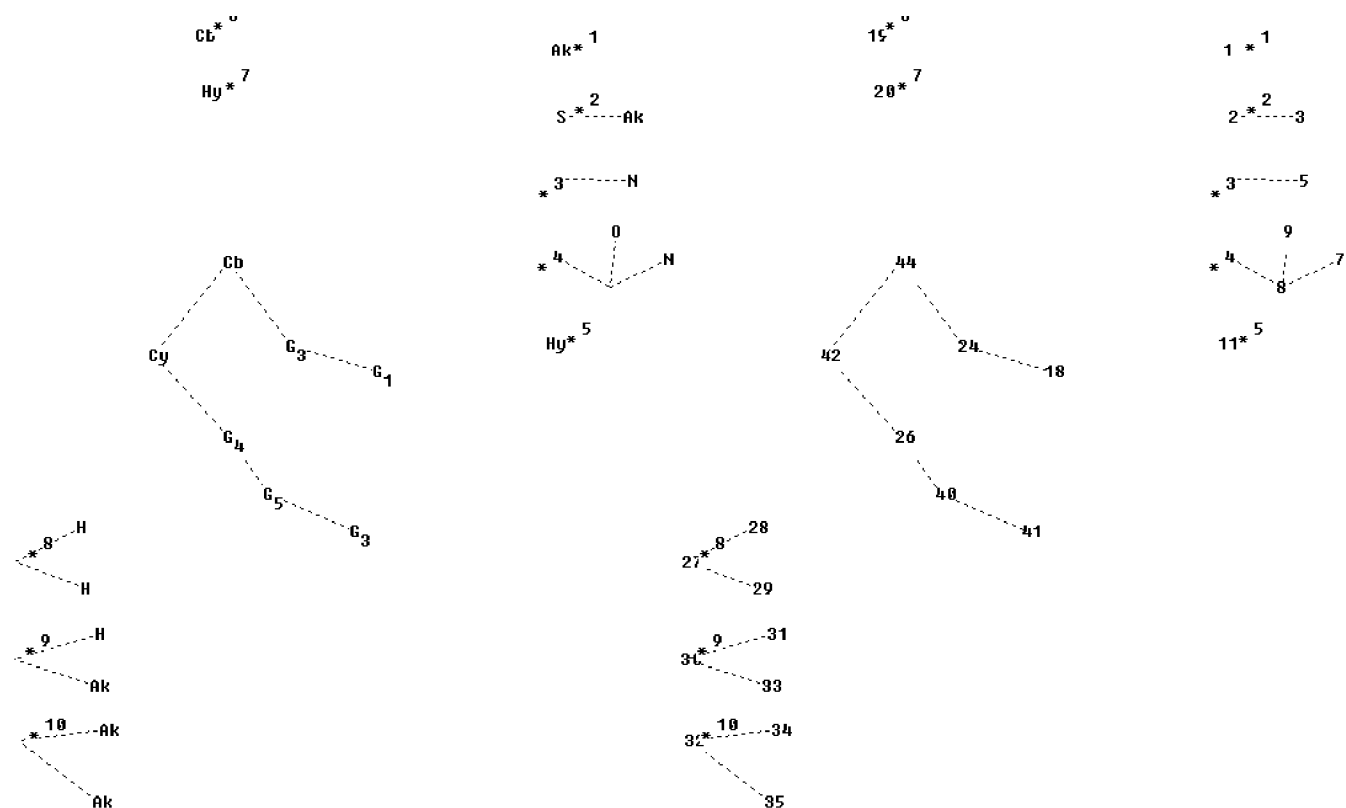
G4:O,S

G5:[*8],[*9],[*10]

```
Connectivity :
21:1 E exact RC ring/chain
Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS
19:CLASS 20:CLASS 21:CLASS
23:Atom 30:CLASS 33:Atom 34:Atom 38:CLASS 40:CLASS 41:CLASS 42:CLASS
43:CLASS 44:CLASS
45:CLASS 46:CLASS 47:CLASS 48:CLASS 49:CLASS 54:CLASS 55:CLASS
Generic attributes :
33:
Saturation          : Unsaturated
```

Uploading L3.str

10/533036



chain nodes :

1 2 3 4 5 6 7 8 9 11 18 19 20 24 26 27 28 29 30 31 32 33 34
35 40 41 42 44

chain bonds :

2-3 4-5 6-8 7-8 8-9 18-24 24-44 26-40 26-42 27-28 27-29 30-31 30-33
32-34 32-35 40-41 42-44

exact/norm bonds :

2-3 4-5 6-8 7-8 8-9 18-24 24-44 26-40 26-42 27-28 27-29 30-31 30-33
32-34 32-35 40-41 42-44

G1:[*1],[*2],[*3],[*4],[*5]

G3:[*6],[*7]

G4:O,S

G5:[*8],[*9],[*10]

Connectivity :

9:1 E exact RC ring/chain 42:4 X maximum RC ring/chain 44:4 X maximum RC
ring/chain

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS
11:Atom 18:CLASS 19:Atom 20:Atom 24:CLASS 26:CLASS 27:CLASS 28:CLASS
29:CLASS 30:CLASS 31:CLASS
32:CLASS 33:CLASS 34:CLASS 35:CLASS 40:CLASS 41:CLASS 42:Atom 44:Atom

Generic attributes :

19:
Saturation : Unsaturated
42:

10/533036

Saturation : Unsaturated
Number of Carbon Atoms : less than 7
Type of Ring System : Monocyclic
44:
Saturation : Unsaturated
Number of Carbon Atoms : less than 7
Type of Ring System : Monocyclic

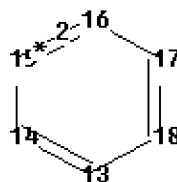
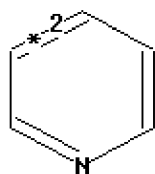
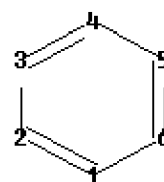
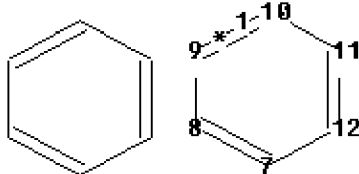
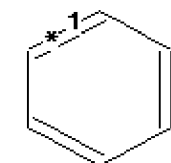
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N,N0-1
S,S0
O,O0
P,P0

Node 44: Limited
C,C6

Uploading L4.str

G₁

23



chain nodes :
23

ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18

ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 13-14 13-18 14-15
15-16 16-17 17-18

normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 13-14 13-18 14-15
15-16 16-17 17-18

isolated ring systems :
containing 1 : 7 : 13 :

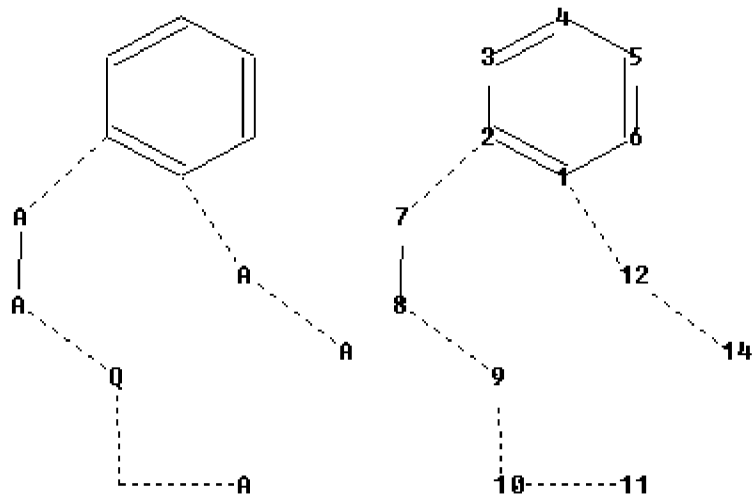
G1:[*1],[*2]

10/533036

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 23:CLASS

Uploading L9.str



chain nodes :

9 10

ring nodes :

1 2 3 4 5 6 7 8 11 12 14

chain bonds :

1-12 2-7 8-9 9-10 10-11

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 12-14

exact/norm bonds :

1-12 2-7 8-9 9-10 10-11 12-14

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8

isolated ring systems :

containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:CLASS 10:CLASS
11:Atom 12:Atom 14:Atom

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FILE LAST UPDATED: 11 May 2008 (20080511/ED)

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L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

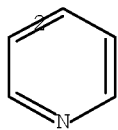
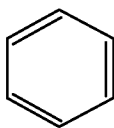
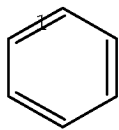
L3 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

L4 STR

G1



G1 [@1],[@2]

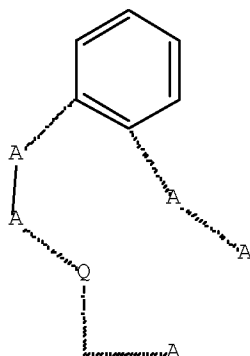
Structure attributes must be viewed using STN Express query preparation.

L5 SCR 1841

L6 SCR 1946

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L9 STR



Structure attributes must be viewed using STN Express query preparation.

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          (L5 AND L6)
L16      295 SEA FILE=REGISTRY ABB=ON  PLU=ON  (100-39-0/BI OR 100398-25-2/B
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L20      151 SEA FILE=REGISTRY ABB=ON  PLU=ON  L11 AND L16
L21      3 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L20
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L21  ANSWER 1 OF 3  ZCAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:      2006:315138  ZCAPLUS  Full-text
DOCUMENT NUMBER:      144:480399
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10/533036

TITLE: Discovery of novel biaryl heterocyclic EP1 receptor antagonists

AUTHOR(S): Hall, Adrian; Bit, Rino A.; Brown, Susan H.; Chaignot, Helene M.; Chessell, Iain P.; Coleman, Tanya; Giblin, Gerard M. P.; Hurst, David N.; Kilford, Ian R.; Lewell, Xiao Q.; Michel, Anton D.; Mohamed, Shiyam; Naylor, Alan; Novelli, Riccardo; Skinner, Lee; Spalding, David J.; Tang, Sac P.; Wilson, Richard J.

CORPORATE SOURCE: Neurology and Gastrointestinal Centre of Excellence for Drug Discovery, GlaxoSmithKline, Essex, CM19 5AW, UK

SOURCE: Bioorganic & Medicinal Chemistry Letters (2006), 16(10), 2666-2671
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

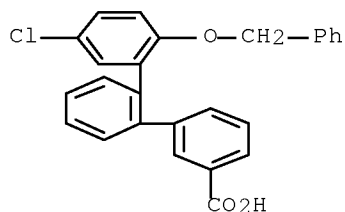
OTHER SOURCE(S): CASREACT 144:480399

AB We describe the generation of novel EP1 receptor antagonists by investigation of thiophene isosteres. In addition, we disclose preliminary in vitro and in vivo DMPK for selected compds.

IT 690259-48-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Discovery of novel biaryl heterocyclic EP1 receptor antagonists)

RN 690259-48-4 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-chloro-2''-(phenylmethoxy)-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 70 THERE ARE 70 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 2 OF 3 ZCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1220712 ZCAPLUS [Full-text](#)

DOCUMENT NUMBER: 143:477851

TITLE: Preparation of sodium 6-(2-biphenyl)-2-pyridinecarboxylates for treating conditions mediated by the action of PGE2 at the EP1 receptor

INVENTOR(S): Bit, Rino Antonio; Giblin, Gerard Martin Paul; Hall, Adrian; Hayhow, Thomas; Hurst, David Nigel; Kilford, Ian Reginald; Miller, Neil Derek; Naylor, Alan; Novelli, Riccardo; Scoccitti, Tiziana

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

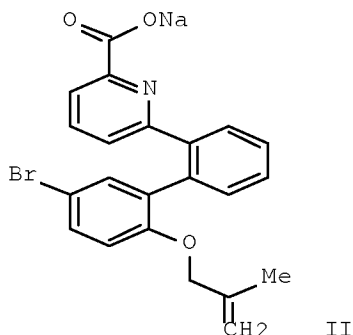
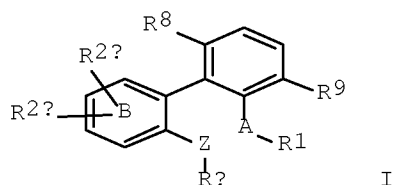
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

10/533036

LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005108369	A1	20051117	WO 2005-EP4726	20050429
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1742916	A1	20070117	EP 2005-738052	20050429
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LV				
JP 2007536309	T	20071213	JP 2007-511989	20050429
US 20070225340	A1	20070927	US 2006-568573	20061102
PRIORITY APPLN. INFO.:			GB 2004-10121	A 20040506
			WO 2005-EP4726	W 20050429
OTHER SOURCE(S):		MARPAT 143:477851		
GI				



AB The title compds. I [A = (un)substituted aryl, 5-6 membered heterocyclyl, bicyclic heterocyclyl; B = Ph, pyridyl; Z = O, S, SO, SO₂; R₁ = CO₂H, CN, COalkyl, etc.; R_{2a}, R_{2b} = H, halo, CN, etc.; Rx = (un)substituted alkyl [wherein 1 or 2 of the non-terminal carbon atoms are optionally replaced by NR₄, O or SOn (wherein n = 0-2)], (un)substituted alkenyl, (un)substituted alkynyl, etc.; R₈, R₉ = H, halo, CF₃, alkoxy, alkyl], useful in the treatment of conditions mediated by the action of PGE₂ at the EP₁ receptor (which is associated with smooth muscle contraction, pain (in particular inflammatory, neuropathic and visceral), inflammation, allergic activities, renal regulation and gastric or enteric mucus secretion), were prepared Thus, treating suspension of Et 6-{5'-bromo-2'-[(2-methyl-2-propen-1-yl)oxy]-2-biphenyl}-2-

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pyridinecarboxylate in EtOH with 1M NaOH afforded II. The exemplified compds. I showed an antagonist pIC50 of 6.0 or greater at EP2 receptors. The pharmaceutical composition comprising the compound I is disclosed.

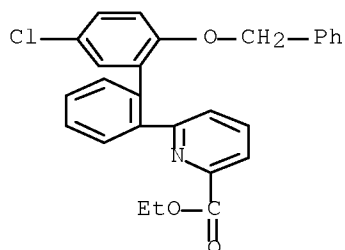
IT 690261-30-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of sodium 6-(2-biphenyl)-2-pyridinecarboxylates for treating conditions mediated by the action of PGE2 at the EP1 receptor)

RN 690261-30-4 ZCAPLUS

CN 2-Pyridinecarboxylic acid, 6-[5'-chloro-2'-(phenylmethoxy)[1,1'-biphenyl]-2-yl]-, ethyl ester (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 3 OF 3 ZCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:390204 ZCAPLUS Full-text

DOCUMENT NUMBER: 140:406635

TITLE: Preparation of benzoic acids and related compounds as EP1 receptor antagonists for the treatment of prostaglandin mediated diseases.

INVENTOR(S): Bit, Rino Antonio; Giblin, Gerard Martin Paul; Hall, Adrian; Hurst, David Nigel; Kilford, Ian Reginald; Miller, Neil Derek; Scoccitti, Tiziana

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 96 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004039753	A2	20040513	WO 2003-EP12181	20031030
WO 2004039753	A3	20040715		

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RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,

TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

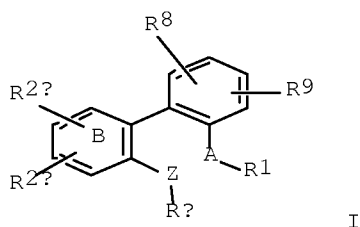
AU 2003287979	A1	20040525	AU 2003-287979	20031030
EP 1556330	A2	20050727	EP 2003-779828	20031030

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

JP 2006504767	T	20060209	JP 2004-547644	20031030
US 20060235057	A1	20061019	US 2005-533036	20050428

PRIORITY APPLN. INFO.: GB 2002-25548 A 20021101
WO 2003-EP12181 W 20031030

OTHER SOURCE(S): MARPAT 140:406635
GI



AB Title compds. I [A = (un)substituted aryl, 5 or 6-membered heterocyclyl ring, bicyclic heterocyclyl; B = Ph, pyridyl; Z = O, S, SO, etc.; R1 = CO2R4, CN, CONR5R6, etc.; R2a, R2b = H, halogen, (un)substituted alkyl, etc.; Rx = (un)substituted alkyl, CQaQb-heterocyclyl, CQaQb-bicyclic heterocyclyl, etc.; R4, R5 = H, (un)substituted alkyl; R6 = H, (un)substituted alkyl, heteroaryl, etc.; R8, R9 = H, Cl, F, etc.; Qa, Qb = H, CH3] and their pharmaceutically acceptable derivs. were prepared For example, the Suzuki coupling of Et 2'-bromobiphenyl-3-carboxylate and 2-benzyloxy-5-chlorophenylboronic acid, e.g., prepared from 3-ethoxycarbonylphenylboronic acid, followed by hydrolysis afforded compound I [A-R1 = 3-carboxyphenyl; Z = O; R2a = H, R2b = 5-Cl; R8, R9 = H] in 39% overall yield. In human prostanoid EP1 receptor binding assays, 90-examples of compds. I exhibited pIC50 values ranging from 6.0-9.0 at the EP1 receptor and pIC50 values of <6.0 at the EP3 receptor. Of note, no toxicol. effects are indicated/expected (sic) when the compds. I are administered at the assay concentration of 3 nM. Compds. I are claimed useful for the treatment of prostaglandin mediated diseases, e.g., inflammation, pain, etc.

IT 690259-48-4P 690259-49-5P 690259-50-8P
690259-51-9P 690259-52-0P 690259-53-1P
690259-54-2P 690259-55-3P 690259-56-4P
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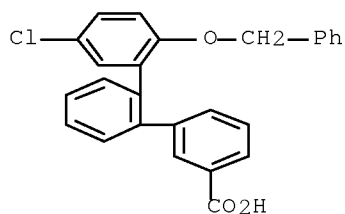
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690260-37-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzoic acids and related compds. as EP1 receptor antagonists for the treatment of prostaglandin mediated diseases.)

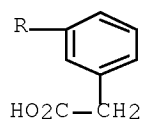
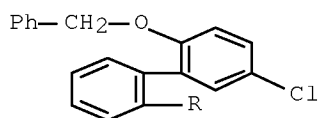
RN 690259-48-4 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-chloro-2''-(phenylmethoxy)-(9CI) (CA INDEX NAME)



RN 690259-49-5 ZCAPLUS

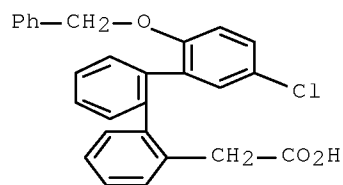
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RN 690259-50-8 ZCAPLUS

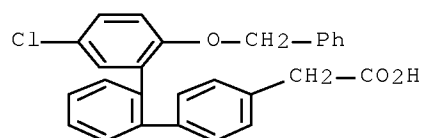
CN [1,1':2',1''-Terphenyl]-2-acetic acid, 5''-chloro-2''-(phenylmethoxy)-(9CI) (CA INDEX NAME)

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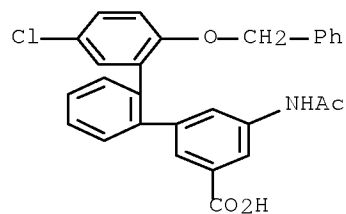
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CN [1,1':2',1''-Terphenyl]-4-acetic acid, 5''-chloro-2''-(phenylmethoxy)- (9CI) (CA INDEX NAME)



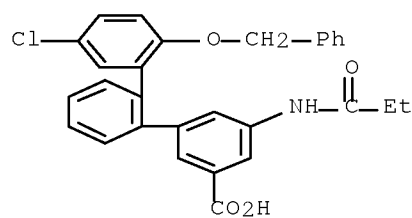
RN 690259-52-0 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5-(acetylamino)-5''-chloro-2''-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 690259-53-1 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-chloro-5-[(1-oxopropyl)amino]-2''-(phenylmethoxy)- (9CI) (CA INDEX NAME)

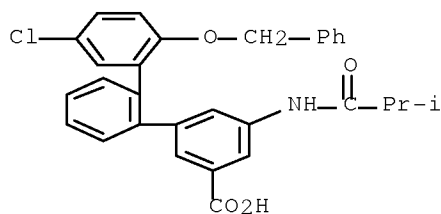


RN 690259-54-2 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-chloro-5-[(2-methyl-1-

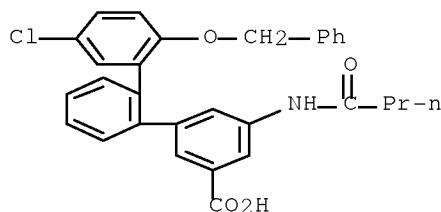
10/533036

oxopropyl)amino]-2''-(phenylmethoxy)- (9CI) (CA INDEX NAME)



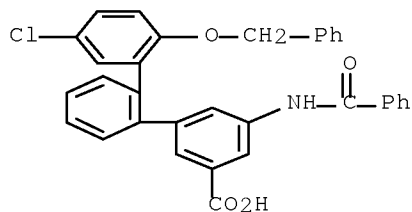
RN 690259-55-3 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-chloro-5-[(1-oxobutyl)amino]-2''-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 690259-56-4 ZCAPLUS

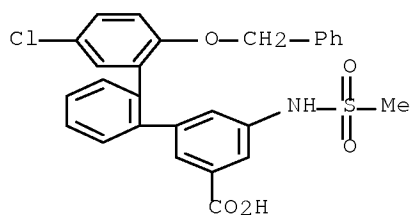
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5-(benzoylamino)-5''-chloro-2''-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 690259-57-5 ZCAPLUS

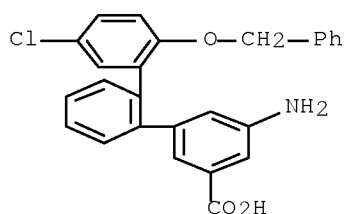
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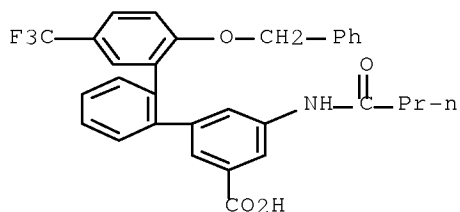
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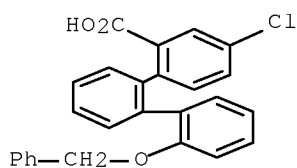
RN 690259-59-7 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5-[(1-oxobutyl)amino]-2'''-(phenylmethoxy)-5'''-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 690259-60-0 ZCAPLUS

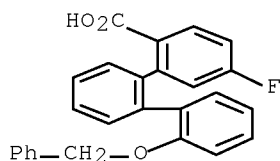
CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 4-chloro-2'''-(phenylmethoxy)- (9CI) (CA INDEX NAME)



10/533036

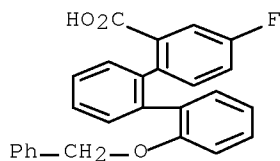
RN 690259-61-1 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 5-fluoro-2''-(phenylmethoxy)-
(9CI) (CA INDEX NAME)



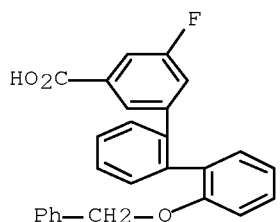
RN 690259-62-2 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 4-fluoro-2''-(phenylmethoxy)-
(9CI) (CA INDEX NAME)



RN 690259-63-3 ZCAPLUS

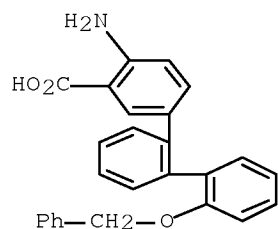
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5-fluoro-2''-(phenylmethoxy)-
(9CI) (CA INDEX NAME)



RN 690259-64-4 ZCAPLUS

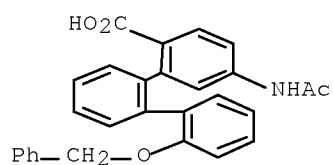
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 4-amino-2''-(phenylmethoxy)-
(9CI) (CA INDEX NAME)

10/533036



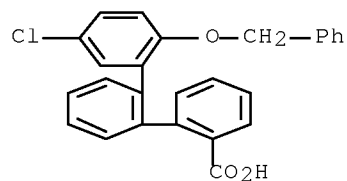
RN 690259-65-5 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 5-(acetylamino)-2''-(phenylmethoxy)- (9CI) (CA INDEX NAME)



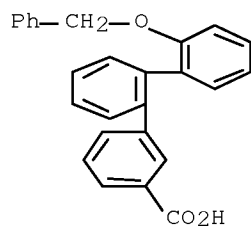
RN 690259-66-6 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 5''-chloro-2''-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 690259-67-7 ZCAPLUS

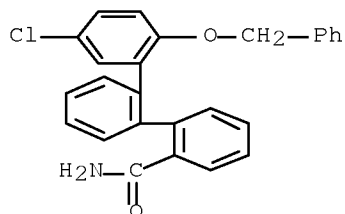
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 2''-(phenylmethoxy)- (9CI) (CA INDEX NAME)



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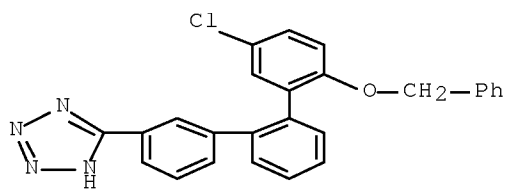
RN 690259-68-8 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-2-carboxamide, 5'''-chloro-2'''-(phenylmethoxy)-
(9CI) (CA INDEX NAME)



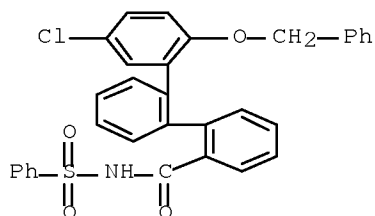
RN 690259-69-9 ZCAPLUS

CN 1H-Tetrazole, 5-[5'''-chloro-2'''-(phenylmethoxy)[1,1':2',1''-terphenyl]-3-yl]-
(9CI) (CA INDEX NAME)



RN 690259-70-2 ZCAPLUS

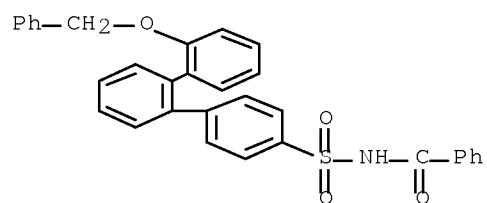
CN [1,1':2',1''-Terphenyl]-2-carboxamide, 5'''-chloro-2'''-(phenylmethoxy)-N-(phenylsulfonyl)-
(9CI) (CA INDEX NAME)



RN 690259-71-3 ZCAPLUS

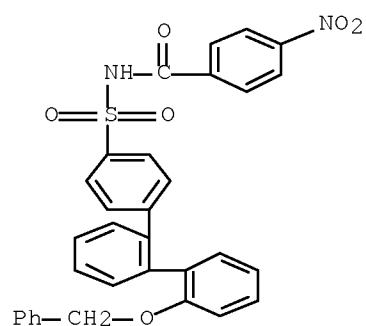
CN Benzamide, N-[[2'''-(phenylmethoxy)[1,1':2',1''-terphenyl]-4-yl]sulfonyl]-
(9CI) (CA INDEX NAME)

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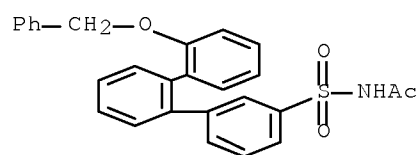
RN 690259-72-4 ZCAPLUS

CN Benzamide, 4-nitro-N-[[2'-(phenylmethoxy)[1,1':2',1''-terphenyl]-4-yl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 690259-73-5 ZCAPLUS

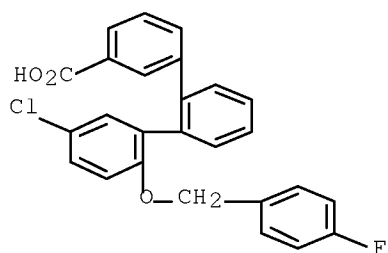
CN Acetamide, N-[[2'-(phenylmethoxy)[1,1':2',1''-terphenyl]-3-yl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 690259-75-7 ZCAPLUS

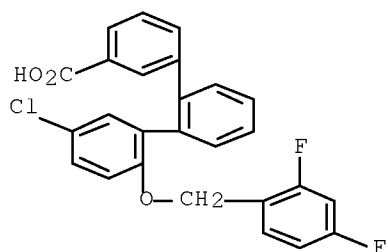
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-chloro-2''-(4-fluorophenyl)methoxy]- (9CI) (CA INDEX NAME)

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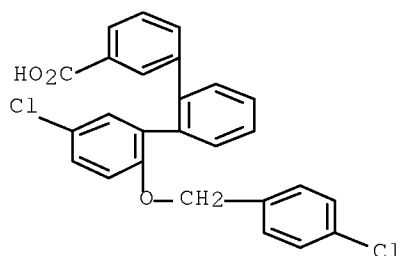
RN 690259-76-8 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5'''-chloro-2'''-[(2,4-difluorophenyl)methoxy]- (9CI) (CA INDEX NAME)



RN 690259-77-9 ZCAPLUS

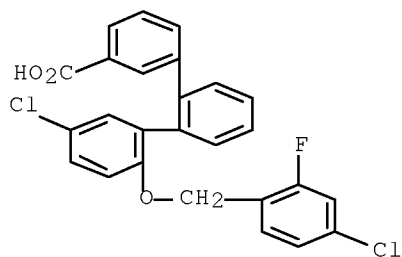
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5'''-chloro-2'''-[(4-chlorophenyl)methoxy]- (9CI) (CA INDEX NAME)



RN 690259-78-0 ZCAPLUS

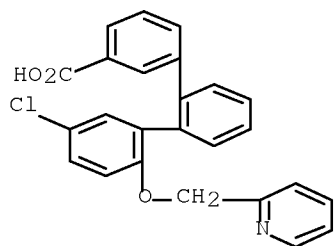
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5'''-chloro-2'''-[(4-chloro-2-fluorophenyl)methoxy]- (9CI) (CA INDEX NAME)

10/533036



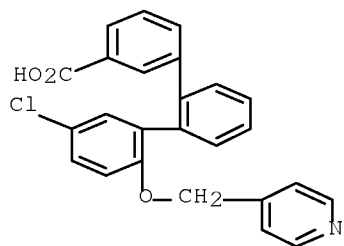
RN 690259-80-4 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5'''-chloro-2'''-(2-pyridinylmethoxy)- (9CI) (CA INDEX NAME)



RN 690259-81-5 ZCAPLUS

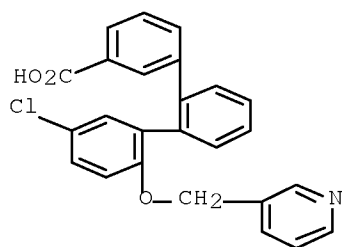
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5'''-chloro-2'''-(4-pyridinylmethoxy)- (9CI) (CA INDEX NAME)



RN 690259-82-6 ZCAPLUS

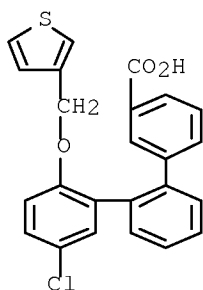
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5'''-chloro-2'''-(3-pyridinylmethoxy)- (9CI) (CA INDEX NAME)

10/533036



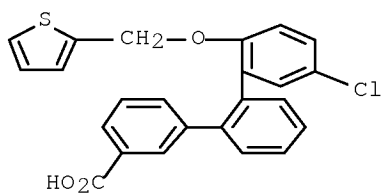
RN 690259-84-8 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-chloro-2''-(3-thienylmethoxy)- (9CI) (CA INDEX NAME)



RN 690259-85-9 ZCAPLUS

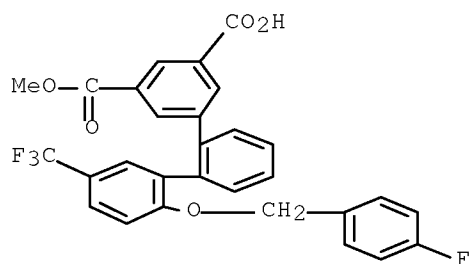
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-chloro-2''-(2-thienylmethoxy)- (9CI) (CA INDEX NAME)



RN 690259-91-7 ZCAPLUS

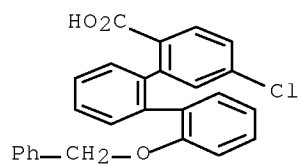
CN [1,1':2',1''-Terphenyl]-3,5-dicarboxylic acid, 2''-[(4-fluorophenyl)methoxy]-5''-(trifluoromethyl)-, monomethyl ester (9CI) (CA INDEX NAME)

10/533036



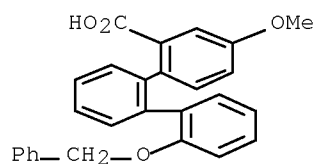
RN 690259-92-8 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 5-chloro-2''-(phenylmethoxy)-
(9CI) (CA INDEX NAME)



RN 690259-93-9 ZCAPLUS

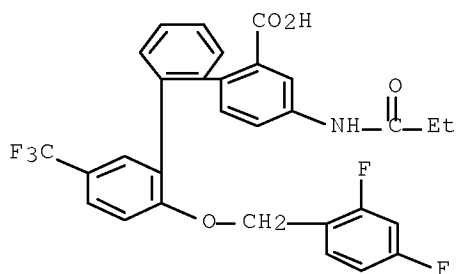
CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 4-methoxy-2''-(phenylmethoxy)-
(9CI) (CA INDEX NAME)



RN 690259-94-0 ZCAPLUS

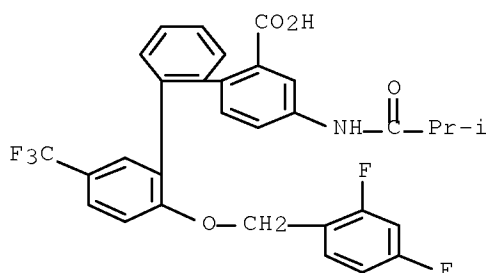
CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 2''-[(2,4-
difluorophenyl)methoxy]-4-[(1-oxopropyl)amino]-5''-(trifluoromethyl)-
(9CI) (CA INDEX NAME)

10/533036



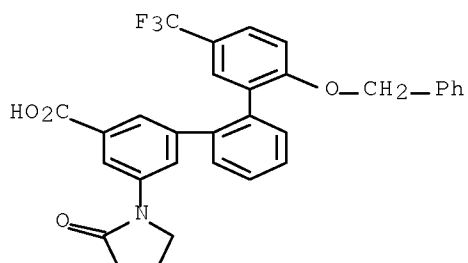
RN 690259-95-1 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 2''-[(2,4-difluorophenyl)methoxy]-4-[(2-methyl-1-oxopropyl)amino]-5''-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 690259-96-2 ZCAPLUS

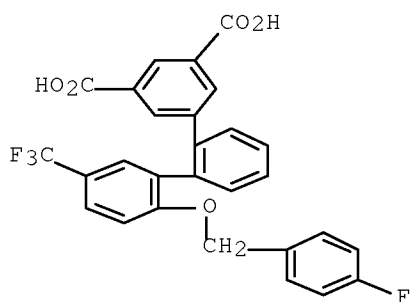
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5-(2-oxo-1-pyrrolidinyl)-2''-(phenylmethoxy)-5''-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 690259-97-3 ZCAPLUS

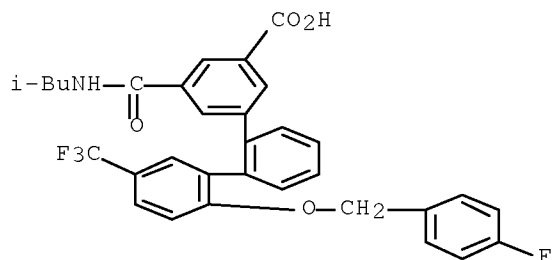
CN [1,1':2',1''-Terphenyl]-3,5-dicarboxylic acid, 2''-[(4-fluorophenyl)methoxy]-5''-(trifluoromethyl)- (9CI) (CA INDEX NAME)

10/533036



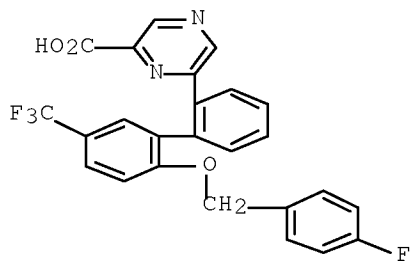
RN 690259-98-4 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 2''-[(4-fluorophenyl)methoxy]-5-[(2-methylpropyl)amino]carbonyl-5'''-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 690259-99-5 ZCAPLUS

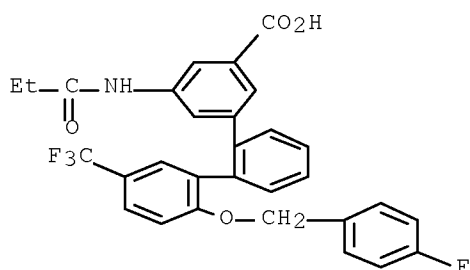
CN 2-Pyrazinecarboxylic acid, 6-[2'-[(4-fluorophenyl)methoxy]-5'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]- (CA INDEX NAME)



RN 690260-00-5 ZCAPLUS

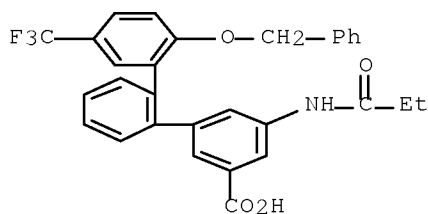
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 2''-[(4-fluorophenyl)methoxy]-5-[(1-oxopropyl)amino]-5'''-(trifluoromethyl)- (9CI) (CA INDEX NAME)

10/533036



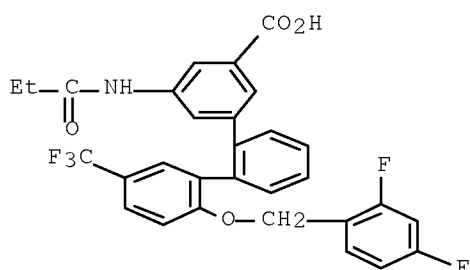
RN 690260-01-6 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5-[(1-oxopropyl)amino]-2''-(phenylmethoxy)-5'''-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 690260-02-7 ZCAPLUS

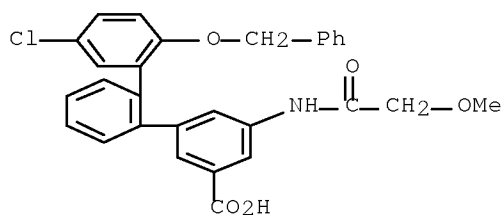
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 2''-[(2,4-fluorophenyl)methoxy]-5-[(1-oxopropyl)amino]-5'''-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 690260-03-8 ZCAPLUS

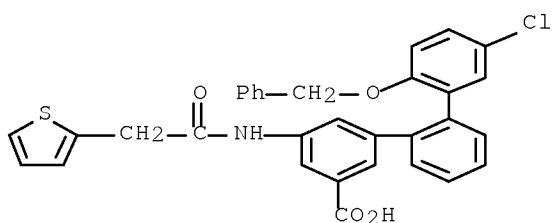
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5'''-chloro-5-[(methoxyacetyl)amino]-2''-(phenylmethoxy)- (9CI) (CA INDEX NAME)

10/533036



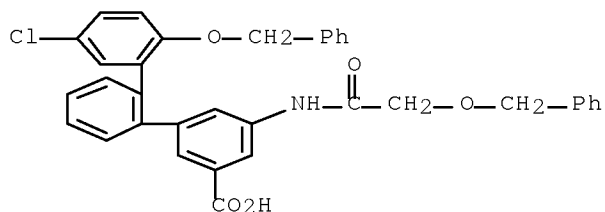
RN 690260-04-9 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-chloro-2''-(phenylmethoxy)-5-[(2-thienylacetyl)amino]- (9CI) (CA INDEX NAME)



RN 690260-05-0 ZCAPLUS

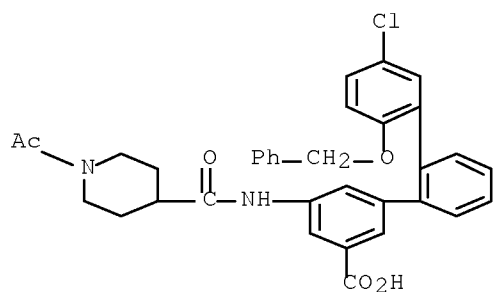
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-chloro-2''-(phenylmethoxy)-5-[(phenylmethoxy)acetyl]amino]- (9CI) (CA INDEX NAME)



RN 690260-06-1 ZCAPLUS

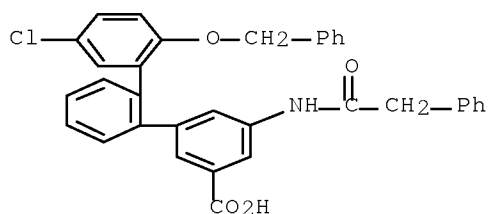
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5-[(1-acetyl-4-piperidinyl)carbonyl]amino]-5''-chloro-2''-(phenylmethoxy)- (9CI) (CA INDEX NAME)

10/533036



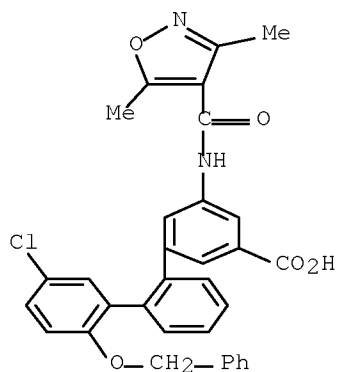
RN 690260-07-2 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5'''-chloro-5-[(phenylacetyl)amino]-2'''-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 690260-08-3 ZCAPLUS

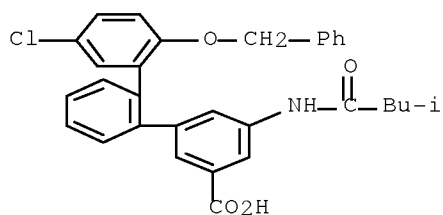
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5'''-chloro-5-[(3,5-dimethyl-4-isoxazolyl)carbonyl]amino]-2'''-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 690260-09-4 ZCAPLUS

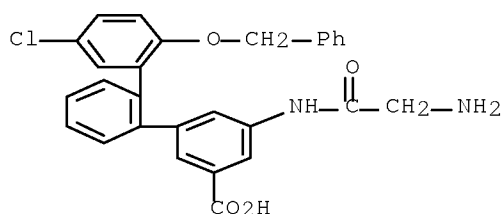
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5'''-chloro-5-[(3-methyl-1-oxobutyl)amino]-2'''-(phenylmethoxy)- (9CI) (CA INDEX NAME)

10/533036



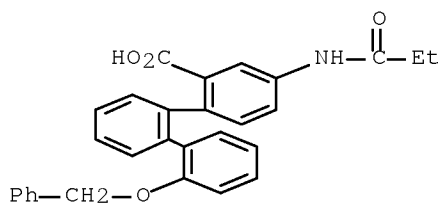
RN 690260-10-7 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5-[(aminoacetyl)amino]-5''-chloro-2''-(phenylmethoxy)- (9CI) (CA INDEX NAME)



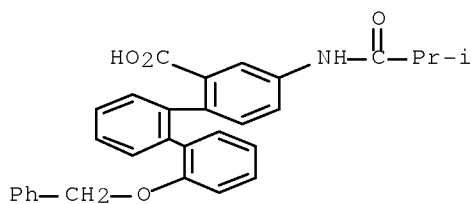
RN 690260-11-8 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 4-[(1-oxopropyl)amino]-2''-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 690260-12-9 ZCAPLUS

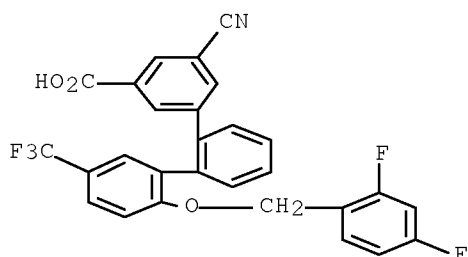
CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 4-[(2-methyl-1-oxopropyl)amino]-2''-(phenylmethoxy)- (9CI) (CA INDEX NAME)



10/533036

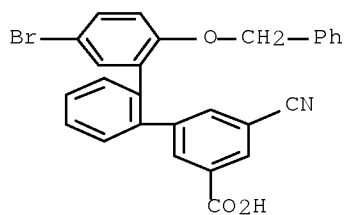
RN 690260-13-0 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5-cyano-2''-[(2,4-difluorophenyl)methoxy]-5''-(trifluoromethyl)- (9CI) (CA INDEX NAME)



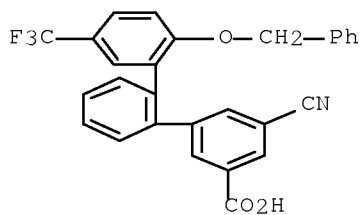
RN 690260-14-1 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-bromo-5-cyano-2''-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 690260-15-2 ZCAPLUS

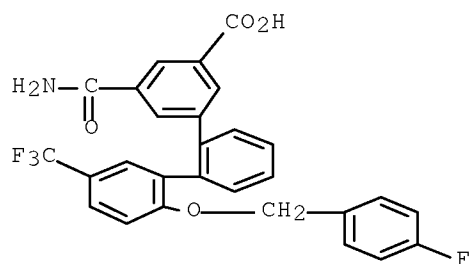
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5-cyano-2''-(phenylmethoxy)-5''-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 690260-16-3 ZCAPLUS

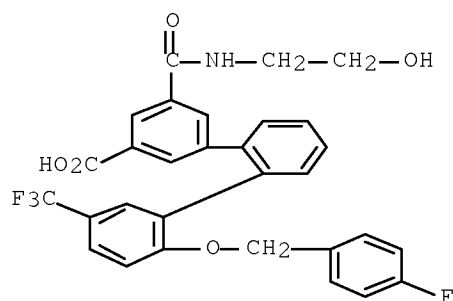
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5-(aminocarbonyl)-2''-[(4-fluorophenyl)methoxy]-5''-(trifluoromethyl)- (9CI) (CA INDEX NAME)

10/533036



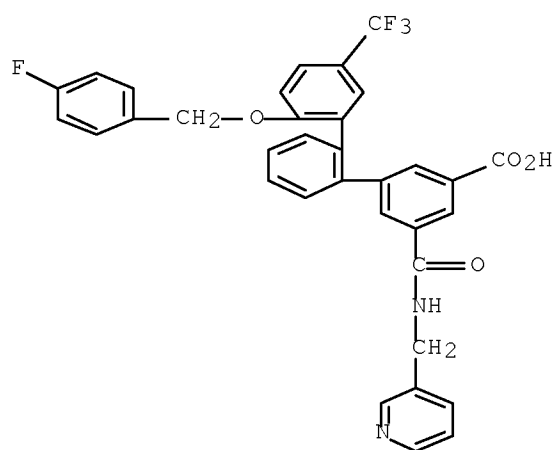
RN 690260-17-4 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 2''-[(4-fluorophenyl)methoxy]-5-[[2-(hydroxyethyl)amino]carbonyl]-5''-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 690260-18-5 ZCAPLUS

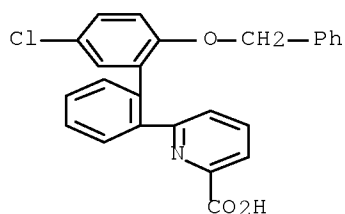
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 2''-[(4-fluorophenyl)methoxy]-5-[[3-pyridinylmethyl]amino]carbonyl]-5''-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 690260-19-6 ZCAPLUS

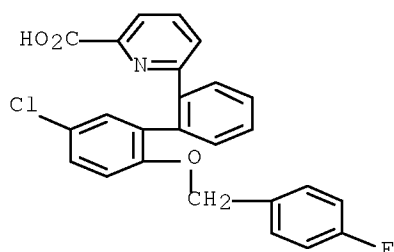
10/533036

CN 2-Pyridinecarboxylic acid, 6-[5'-chloro-2'-(phenylmethoxy)[1,1'-biphenyl]-2-yl]- (CA INDEX NAME)



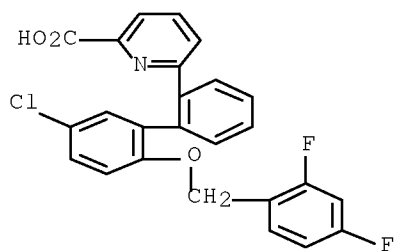
RN 690260-20-9 ZCAPLUS

CN 2-Pyridinecarboxylic acid, 6-[5'-chloro-2'-[(4-fluorophenyl)methoxy][1,1'-biphenyl]-2-yl]- (CA INDEX NAME)



RN 690260-21-0 ZCAPLUS

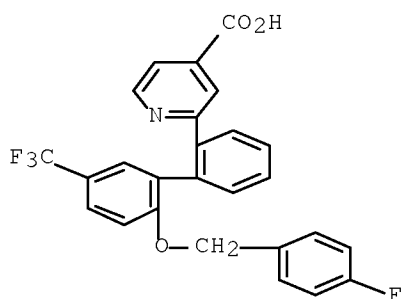
CN 2-Pyridinecarboxylic acid, 6-[5'-chloro-2'-[(2,4-difluorophenyl)methoxy][1,1'-biphenyl]-2-yl]- (CA INDEX NAME)



RN 690260-22-1 ZCAPLUS

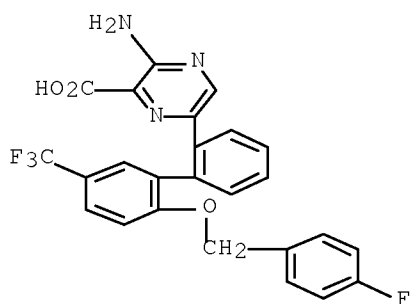
CN 4-Pyridinecarboxylic acid, 2-[2'-[(4-fluorophenyl)methoxy]-5'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]- (CA INDEX NAME)

10/533036



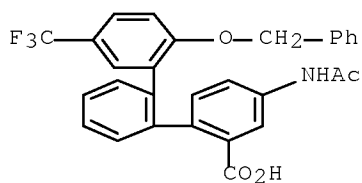
RN 690260-23-2 ZCAPLUS

CN 2-Pyrazinecarboxylic acid, 3-amino-6-[2'-[(4-fluorophenyl)methoxy]-5'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]- (CA INDEX NAME)



RN 690260-24-3 ZCAPLUS

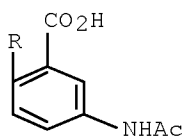
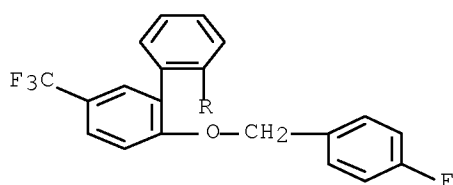
CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 4-(acetylamino)-2''-(phenylmethoxy)-5''-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 690260-25-4 ZCAPLUS

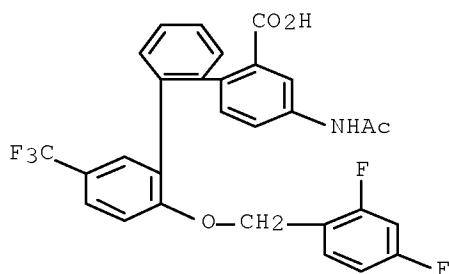
CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 4-(acetylamino)-2''-[(4-fluorophenyl)methoxy]-5''-(trifluoromethyl)- (9CI) (CA INDEX NAME)

10/533036



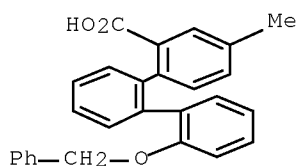
RN 690260-26-5 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 4-(acetylamino)-2''-[(2,4-difluorophenyl)methoxy]-5''-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 690260-27-6 ZCAPLUS

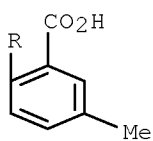
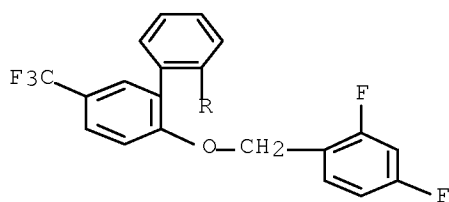
CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 4-methyl-2''-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 690260-28-7 ZCAPLUS

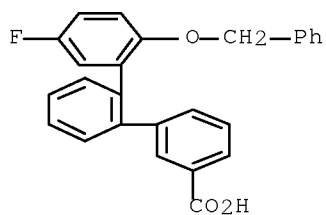
CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 2''-[(2,4-difluorophenyl)methoxy]-4-methyl-5''-(trifluoromethyl)- (9CI) (CA INDEX NAME)

10/533036



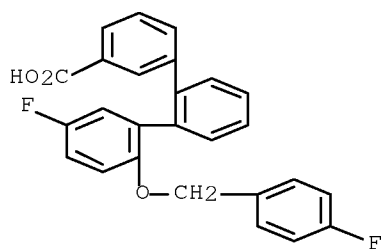
RN 690260-29-8 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-fluoro-2''-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 690260-30-1 ZCAPLUS

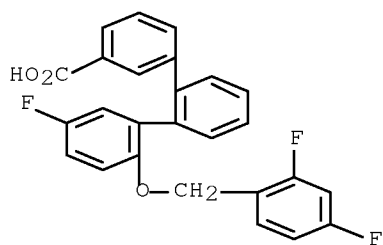
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-fluoro-2''-[(4-fluorophenyl)methoxy]- (9CI) (CA INDEX NAME)



RN 690260-31-2 ZCAPLUS

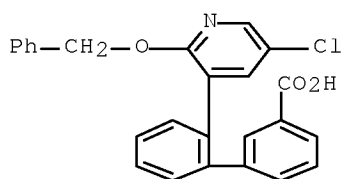
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 2''-[(2,4-difluorophenyl)methoxy]-5''-fluoro- (9CI) (CA INDEX NAME)

10/533036



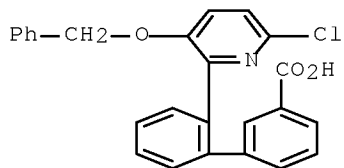
RN 690260-32-3 ZCAPLUS

CN [1,1'-Biphenyl]-3-carboxylic acid, 2'-[5-chloro-2-(phenylmethoxy)-3-pyridinyl]- (CA INDEX NAME)



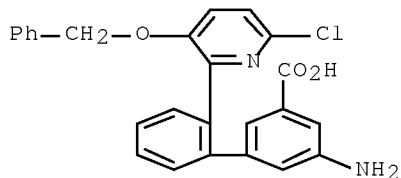
RN 690260-33-4 ZCAPLUS

CN [1,1'-Biphenyl]-3-carboxylic acid, 2'-[6-chloro-3-(phenylmethoxy)-2-pyridinyl]- (CA INDEX NAME)



RN 690260-34-5 ZCAPLUS

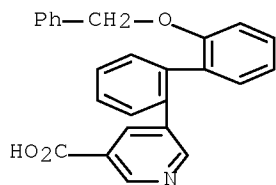
CN [1,1'-Biphenyl]-3-carboxylic acid, 5-amino-2'-[6-chloro-3-(phenylmethoxy)-2-pyridinyl]- (CA INDEX NAME)



RN 690260-35-6 ZCAPLUS

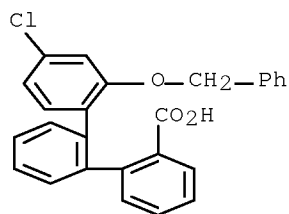
10/533036

CN 3-Pyridinecarboxylic acid, 5-[2'-(phenylmethoxy)[1,1'-biphenyl]-2-yl]-
(CA INDEX NAME)



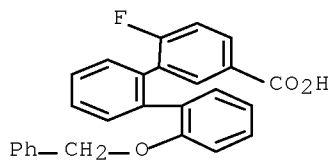
RN 690260-36-7 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 4'''-chloro-2'''-(phenylmethoxy)-
(9CI) (CA INDEX NAME)



RN 690260-37-8 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 6-fluoro-2'''-(phenylmethoxy)-
(9CI) (CA INDEX NAME)



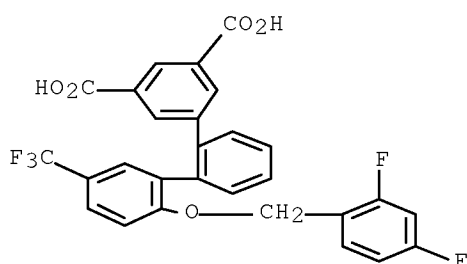
IT 690261-75-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of benzoic acids and related compds. as EP1 receptor
antagonists for the treatment of prostaglandin mediated diseases.)

RN 690261-75-7 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3,5-dicarboxylic acid, 2'''-[(2,4-
difluorophenyl)methoxy]-5'''-(trifluoromethyl)- (9CI) (CA INDEX NAME)



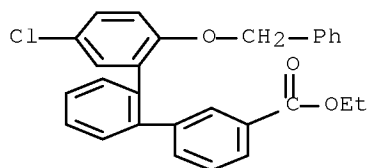
IT 690260-39-0P 690260-42-5P 690260-45-8P
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 690260-74-3P 690260-75-4P 690260-77-6P
 690260-78-7P 690260-79-8P 690260-81-2P
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 690261-07-5P 690261-08-6P 690261-09-7P
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 690261-48-4P 690261-49-5P 690261-50-8P
 690261-52-0P 690261-55-3P 690261-56-4P
 690261-57-5P 690261-61-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzoic acids and related compds. as EP1 receptor antagonists for the treatment of prostaglandin mediated diseases.)

RN 690260-39-0 ZCAPLUS

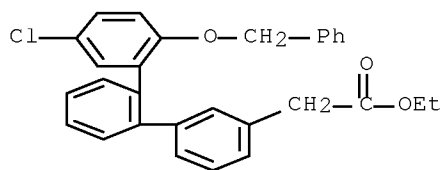
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-chloro-2''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



RN 690260-42-5 ZCAPLUS

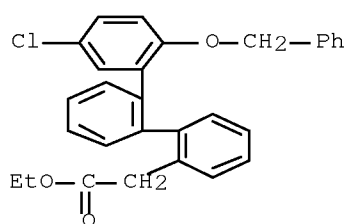
CN [1,1':2',1''-Terphenyl]-3-acetic acid, 5''-chloro-2''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)

10/533036



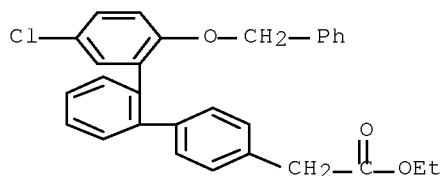
RN 690260-45-8 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-2-acetic acid, 5''-chloro-2''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



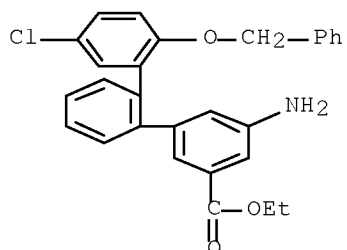
RN 690260-47-0 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-4-acetic acid, 5''-chloro-2''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



RN 690260-49-2 ZCAPLUS

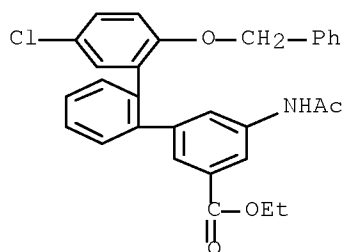
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5-amino-5''-chloro-2''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



10/533036

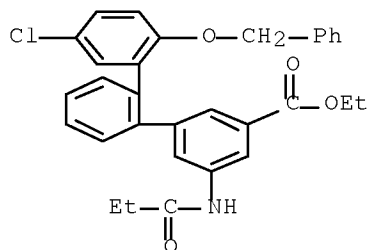
RN 690260-50-5 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5-(acetylamino)-5''-chloro-2''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



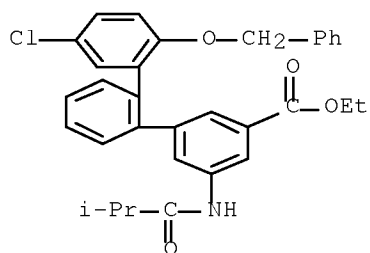
RN 690260-51-6 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-chloro-5-[(1-oxopropyl)amino]-2''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



RN 690260-52-7 ZCAPLUS

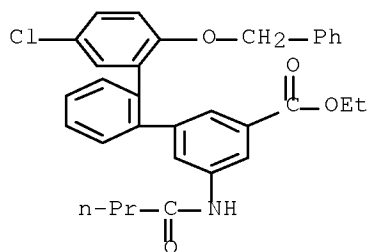
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-chloro-5-[(2-methyl-1-oxopropyl)amino]-2''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



RN 690260-53-8 ZCAPLUS

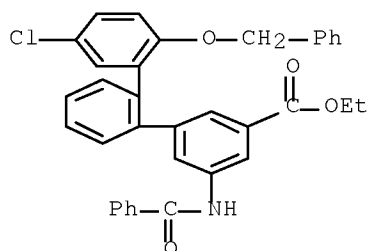
10/533036

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-chloro-5-[(1-oxobutyl)amino]-2''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



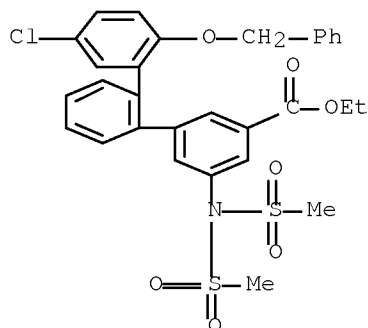
RN 690260-54-9 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5-(benzoylamino)-5''-chloro-2''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



RN 690260-55-0 ZCAPLUS

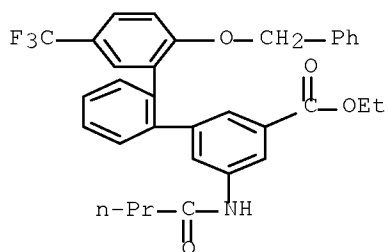
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5-[bis(methylsulfonyl)amino]-5''-chloro-2''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



RN 690260-57-2 ZCAPLUS

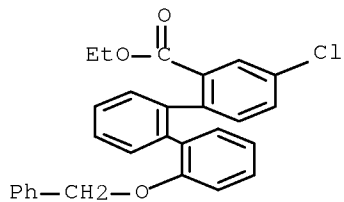
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5-[(1-oxobutyl)amino]-2''-(phenylmethoxy)-5''-(trifluoromethyl)-, ethyl ester (9CI) (CA INDEX NAME)

10/533036



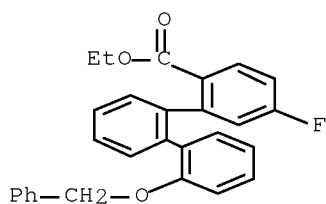
RN 690260-60-7 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 4-chloro-2'''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



RN 690260-61-8 ZCAPLUS

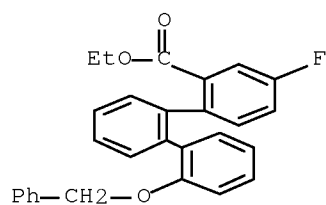
CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 5-fluoro-2'''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



RN 690260-62-9 ZCAPLUS

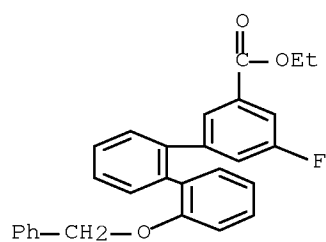
CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 4-fluoro-2'''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)

10/533036



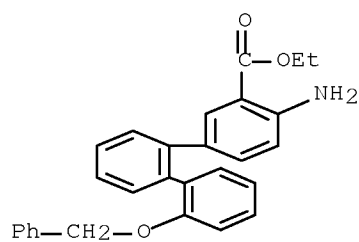
RN 690260-63-0 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5-fluoro-2''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



RN 690260-64-1 ZCAPLUS

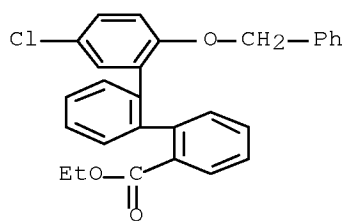
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 4-amino-2''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



RN 690260-65-2 ZCAPLUS

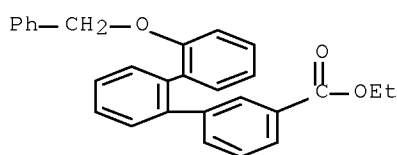
CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 5''-chloro-2''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)

10/533036



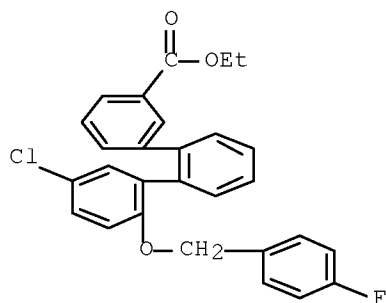
RN 690260-66-3 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 2''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



RN 690260-72-1 ZCAPLUS

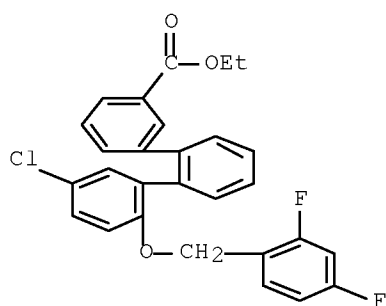
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-chloro-2''-[(4-fluorophenyl)methoxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 690260-73-2 ZCAPLUS

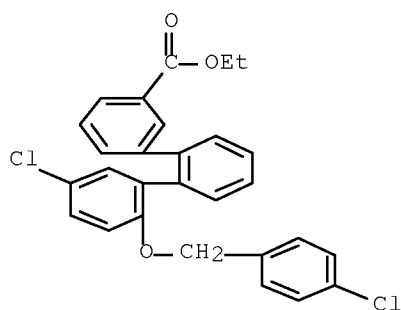
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-chloro-2''-[(2,4-difluorophenyl)methoxy]-, ethyl ester (9CI) (CA INDEX NAME)

10/533036



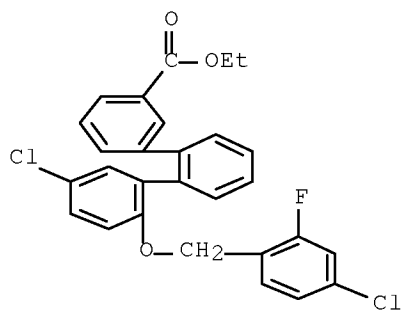
RN 690260-74-3 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5'''-chloro-2''-[(4-chlorophenyl)methoxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 690260-75-4 ZCAPLUS

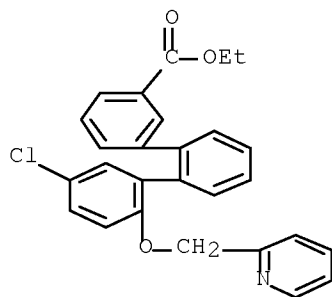
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5'''-chloro-2''-[(4-chloro-2-fluorophenyl)methoxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 690260-77-6 ZCAPLUS

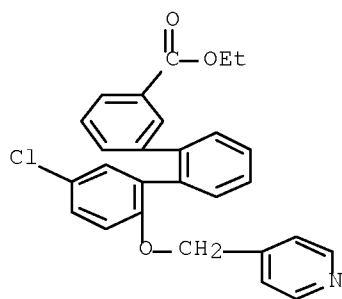
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5'''-chloro-2''-(2-pyridinylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)

10/533036



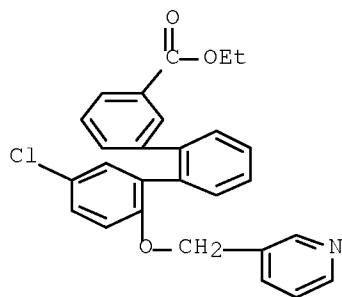
RN 690260-78-7 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5'''-chloro-2'''-(4-pyridinylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



RN 690260-79-8 ZCAPLUS

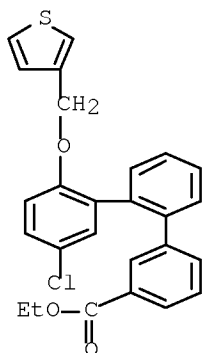
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5'''-chloro-2'''-(3-pyridinylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



RN 690260-81-2 ZCAPLUS

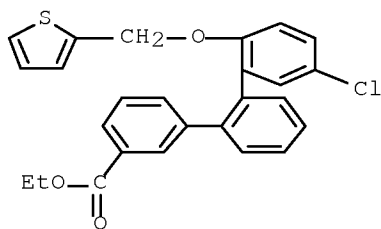
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5'''-chloro-2'''-(3-thienylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)

10/533036



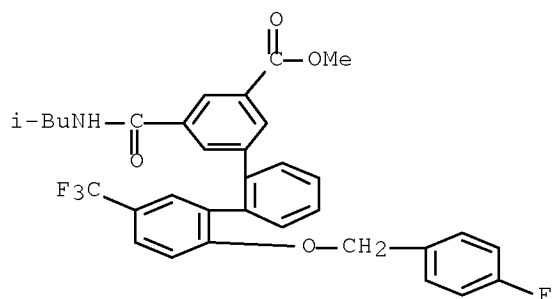
RN 690260-82-3 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-chloro-2''-(2-thienylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



RN 690261-01-9 ZCAPLUS

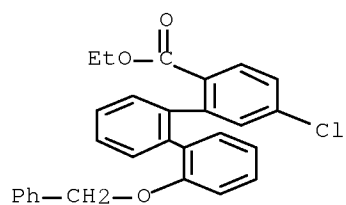
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 2''-[(4-fluorophenyl)methoxy]-5''-[[2-methylpropyl)amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 690261-06-4 ZCAPLUS

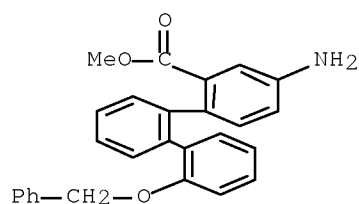
CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 5-chloro-2''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)

10/533036



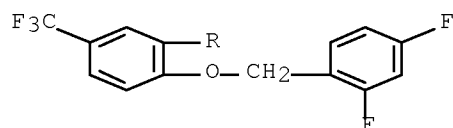
RN 690261-07-5 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 4-amino-2''-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)



RN 690261-08-6 ZCAPLUS

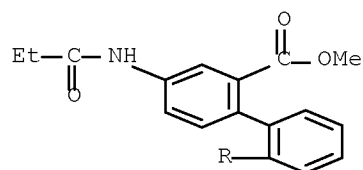
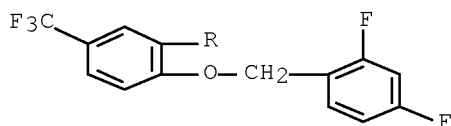
CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 4-(acetylamino)-2''-[(2,4-difluorophenyl)methoxy]-5''-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 690261-09-7 ZCAPLUS

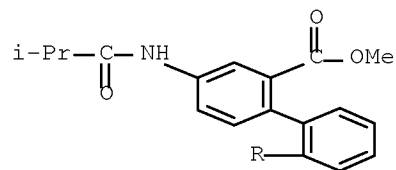
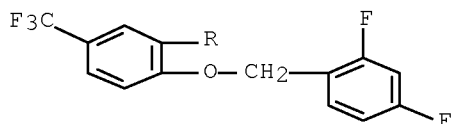
CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 2''-[(2,4-difluorophenyl)methoxy]-4-[(1-oxopropyl)amino]-5''-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)

10/533036



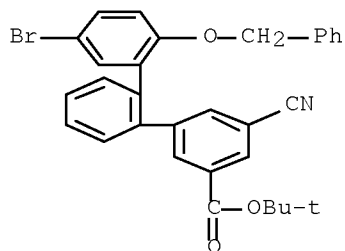
RN 690261-10-0 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 2''-[(2,4-difluorophenyl)methoxy]-4-[(2-methyl-1-oxopropyl)amino]-5''-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 690261-12-2 ZCAPLUS

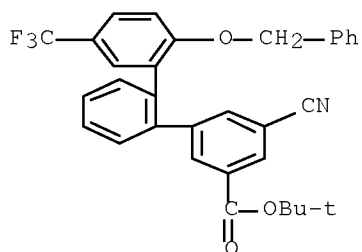
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-bromo-5-cyano-2''-(phenylmethoxy)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 690261-13-3 ZCAPLUS

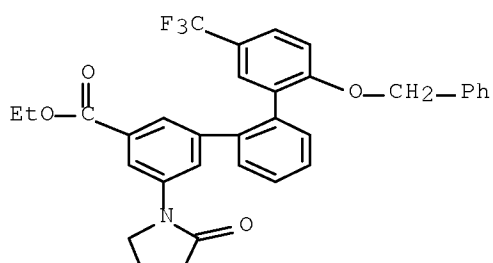
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5-cyano-2''-(phenylmethoxy)-5''-(trifluoromethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

10/533036



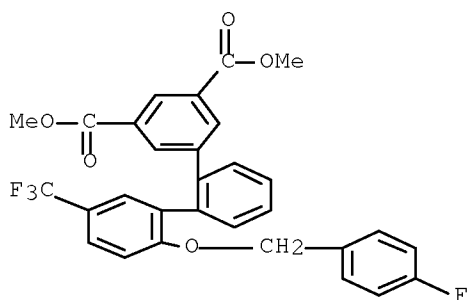
RN 690261-14-4 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5-(2-oxo-1-pyrrolidinyl)-2''-(phenylmethoxy)-5'''-(trifluoromethyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 690261-15-5 ZCAPLUS

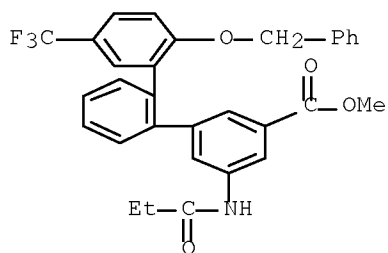
CN [1,1':2',1''-Terphenyl]-3,5-dicarboxylic acid, 2''-[(4-fluorophenyl)methoxy]-5'''-(trifluoromethyl)-, dimethyl ester (9CI) (CA INDEX NAME)



RN 690261-16-6 ZCAPLUS

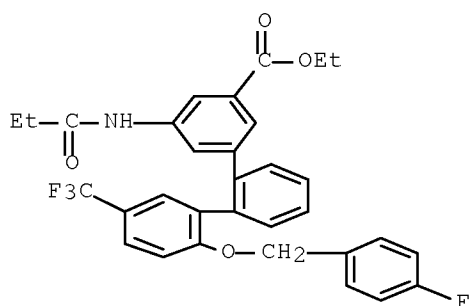
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5-[(1-oxopropyl)amino]-2''-(phenylmethoxy)-5'''-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)

10/533036



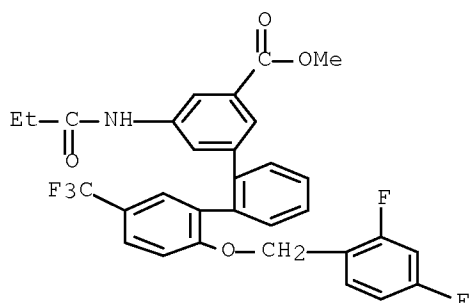
RN 690261-17-7 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 2''-[(4-fluorophenyl)methoxy]-5-[(1-oxopropyl)amino]-5'''-(trifluoromethyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 690261-18-8 ZCAPLUS

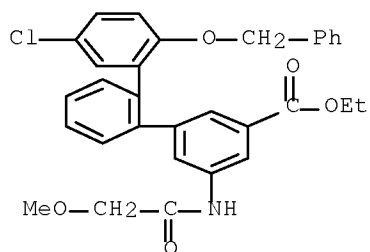
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 2''-[(2,4-difluorophenyl)methoxy]-5-[(1-oxopropyl)amino]-5'''-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 690261-19-9 ZCAPLUS

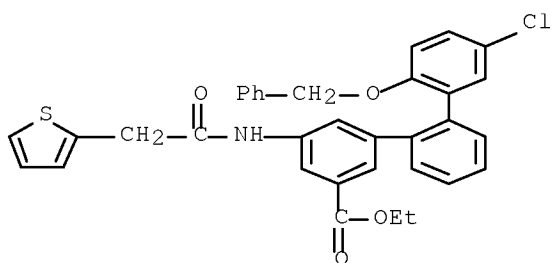
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5'''-chloro-5-[(methoxyacetyl)amino]-2''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)

10/533036



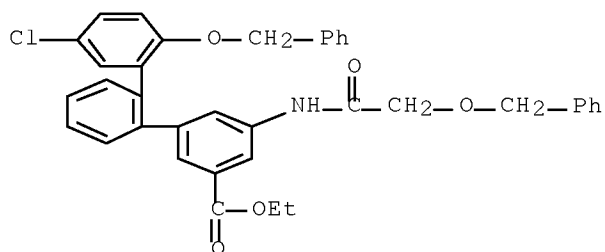
RN 690261-20-2 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5'''-chloro-2'''-(phenylmethoxy)-5-[(2-thienylacetyl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 690261-21-3 ZCAPLUS

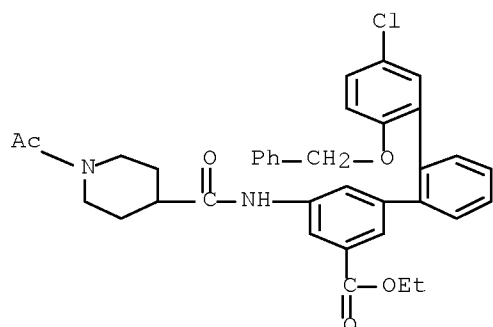
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5'''-chloro-2'''-(phenylmethoxy)-5-[[[(phenylmethoxy)acetyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 690261-22-4 ZCAPLUS

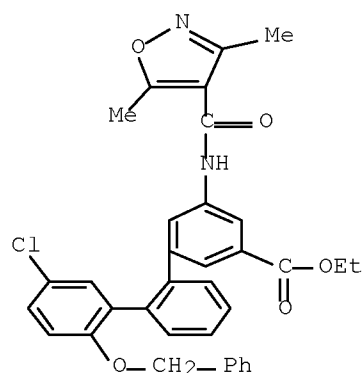
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5-[[[(1-acetyl-4-piperidiny)carbonyl]amino]-5'''-chloro-2'''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)

10/533036



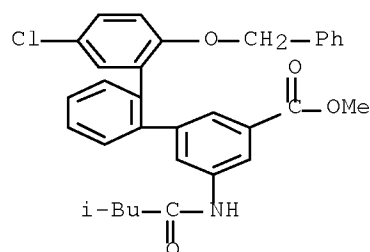
RN 690261-23-5 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-chloro-5-[[3,5-dimethyl-4-isoxazolyl)carbonyl]amino]-2''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



RN 690261-24-6 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-chloro-5-[(3-methyl-1-oxobutyl)amino]-2''-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)



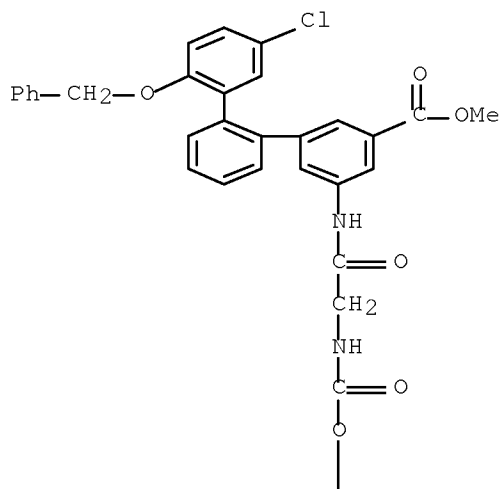
RN 690261-25-7 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-chloro-5-[[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]acetyl]amino]-2''-(phenylmethoxy)-, methyl ester

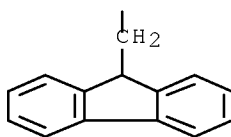
10/533036

(9CI) (CA INDEX NAME)

PAGE 1-A

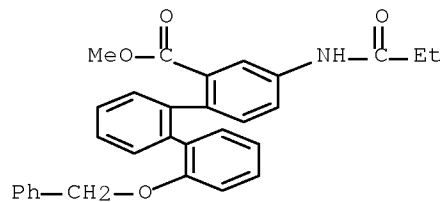


PAGE 2-A



RN 690261-26-8 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 4-[(1-oxopropyl)amino]-2''-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)

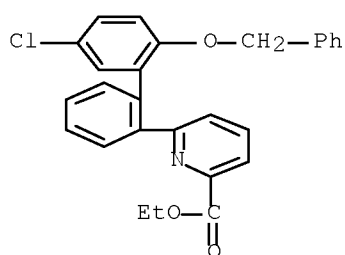


RN 690261-27-9 ZCAPLUS

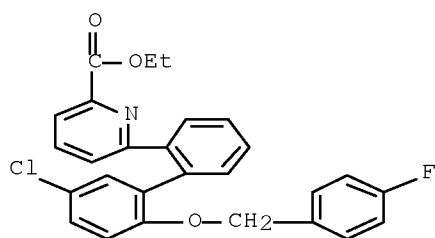
CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 4-[(2-methyl-1-oxopropyl)amino]-2''-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)

CCOC(=O)c1ccc(NC(=O)C)cc1-c2ccccc2Oc3ccccc3

CN 2-Pyridinecarboxylic acid, 6-[5'-chloro-2'-(phenylmethoxy)[1,1'-biphenyl]-2-yl]-, ethyl ester (CA INDEX NAME)

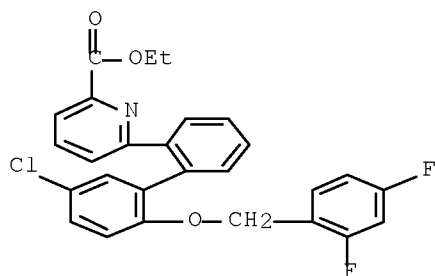


CN 2-Pyridinecarboxylic acid, 6-[5'-chloro-2'-[(4-fluorophenyl)methoxy][1,1'-biphenyl]-2-yl]-, ethyl ester (CA INDEX NAME)



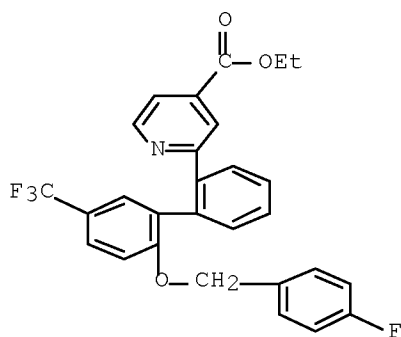
83

10/533036



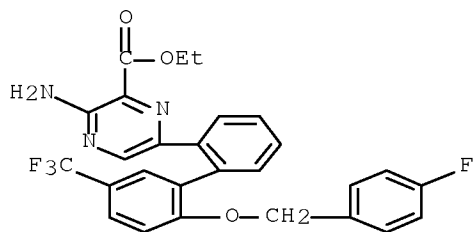
RN 690261-36-0 ZCAPLUS

CN 4-Pyridinecarboxylic acid, 2-[2'-[(4-fluorophenyl)methoxy]-5'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]-, ethyl ester (CA INDEX NAME)



RN 690261-37-1 ZCAPLUS

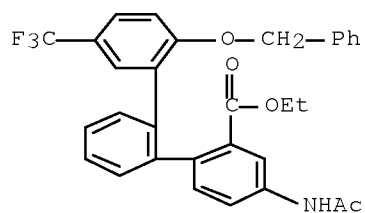
CN 2-Pyrazinecarboxylic acid, 3-amino-6-[2'-[(4-fluorophenyl)methoxy]-5'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]-, ethyl ester (CA INDEX NAME)



RN 690261-40-6 ZCAPLUS

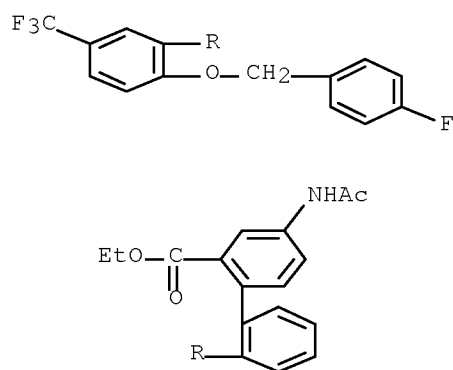
CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 4-(acetylamino)-2''-(phenylmethoxy)-5''-(trifluoromethyl)-, ethyl ester (9CI) (CA INDEX NAME)

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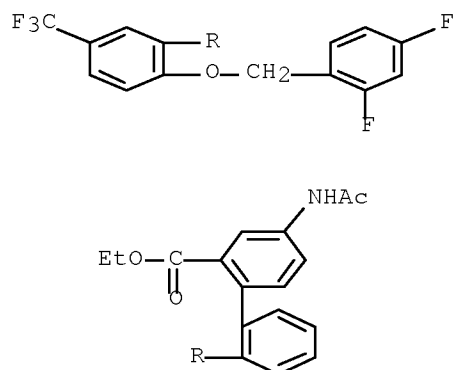
RN 690261-41-7 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 4-(acetylamino)-2''-[(4-fluorophenyl)methoxy]-5''-(trifluoromethyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 690261-42-8 ZCAPLUS

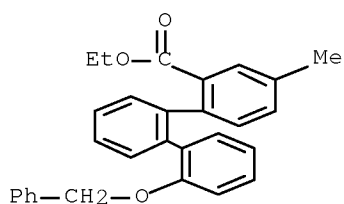
CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 4-(acetylamino)-2''-[(2,4-difluorophenyl)methoxy]-5''-(trifluoromethyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 690261-44-0 ZCAPLUS

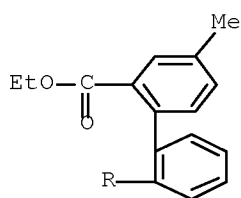
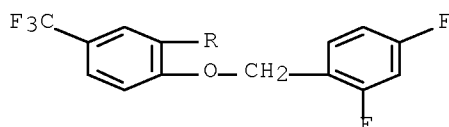
CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 4-methyl-2''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)

10/533036



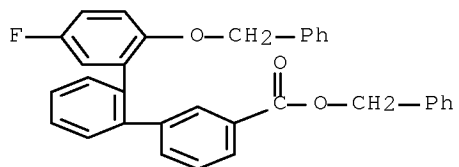
RN 690261-45-1 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 2''-[(2,4-difluorophenyl)methoxy]-4-methyl-5'''-(trifluoromethyl)-, ethyl ester (9CI)
(CA INDEX NAME)



RN 690261-48-4 ZCAPLUS

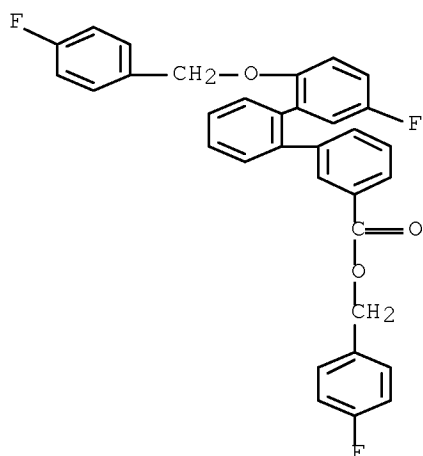
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5'''-fluoro-2''-(phenylmethoxy)-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 690261-49-5 ZCAPLUS

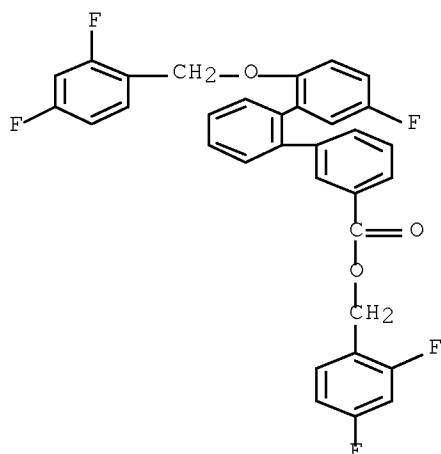
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5'''-fluoro-2''-[(4-fluorophenyl)methoxy]-, (4-fluorophenyl)methyl ester (9CI) (CA INDEX NAME)

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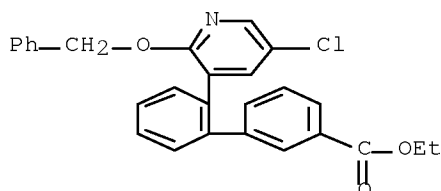
RN 690261-50-8 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 2''-[(2,4-difluorophenyl)methoxy]-5''-fluoro-, (2,4-difluorophenyl)methyl ester (9CI) (CA INDEX NAME)



RN 690261-52-0 ZCAPLUS

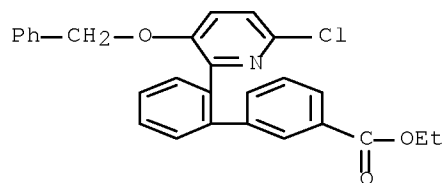
CN [1,1'-Biphenyl]-3-carboxylic acid, 2'-[5-chloro-2-(phenylmethoxy)-3-pyridinyl]-, ethyl ester (CA INDEX NAME)



10/533036

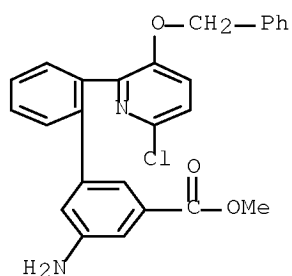
RN 690261-55-3 ZCAPLUS

CN [1,1'-Biphenyl]-3-carboxylic acid, 2'-[6-chloro-3-(phenylmethoxy)-2-pyridinyl]-, ethyl ester (CA INDEX NAME)



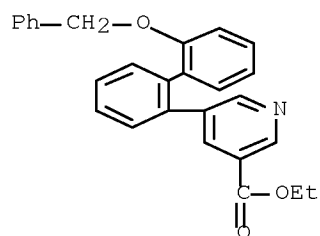
RN 690261-56-4 ZCAPLUS

CN [1,1'-Biphenyl]-3-carboxylic acid, 5-amino-2'-[6-chloro-3-(phenylmethoxy)-2-pyridinyl]-, methyl ester (CA INDEX NAME)



RN 690261-57-5 ZCAPLUS

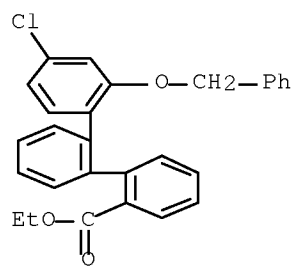
CN 3-Pyridinecarboxylic acid, 5-[2'-(phenylmethoxy)[1,1'-biphenyl]-2-yl]-, ethyl ester (CA INDEX NAME)



RN 690261-61-1 ZCAPLUS

CN [1,1':2',1'''-Terphenyl]-2-carboxylic acid, 4'''-chloro-2'''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)

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10/533036

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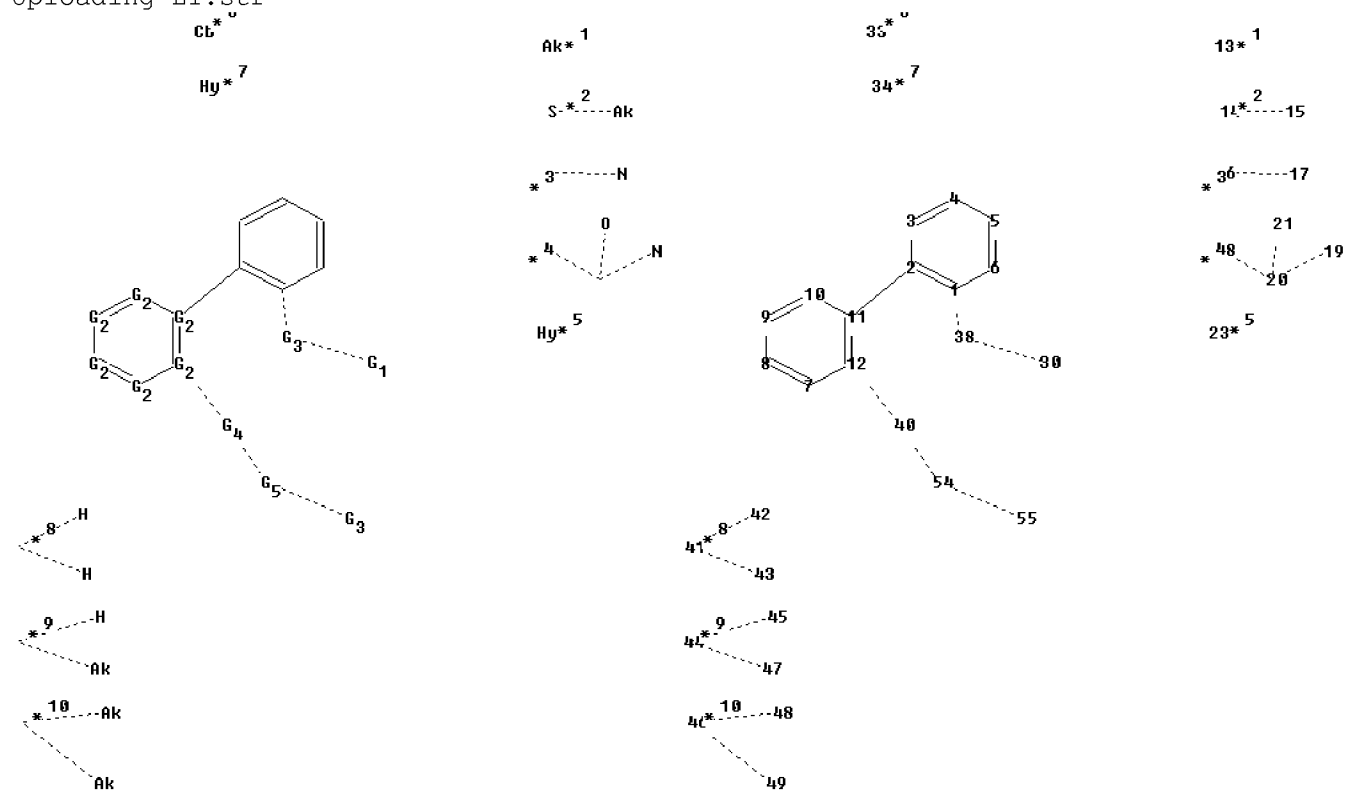
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Uploading L1.str



chain nodes :

13 14 15 16 17 18 19 20 21 23 30 33 34 38 40 41 42 43 44 45 46
47 48 49 54 55

10/533036

```
ring nodes :
1  2  3  4  5  6  7  8  9  10  11  12
chain bonds :
1-38  2-11  12-40  14-15  16-17  18-20  19-20  20-21  30-38  40-54  41-42  41-43
44-45  44-47  46-48  46-49  54-55
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  7-8  7-12  8-9  9-10  10-11  11-12
exact/norm bonds :
1-38  2-11  7-8  7-12  8-9  9-10  10-11  11-12  12-40  14-15  16-17  18-20  19-20
20-21  30-38  40-54  41-42  41-43  44-45  44-47  46-48  46-49  54-55
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6
```

G1:[*1],[*2],[*3],[*4],[*5]

G2:C,N

G3:[*6],[*7]

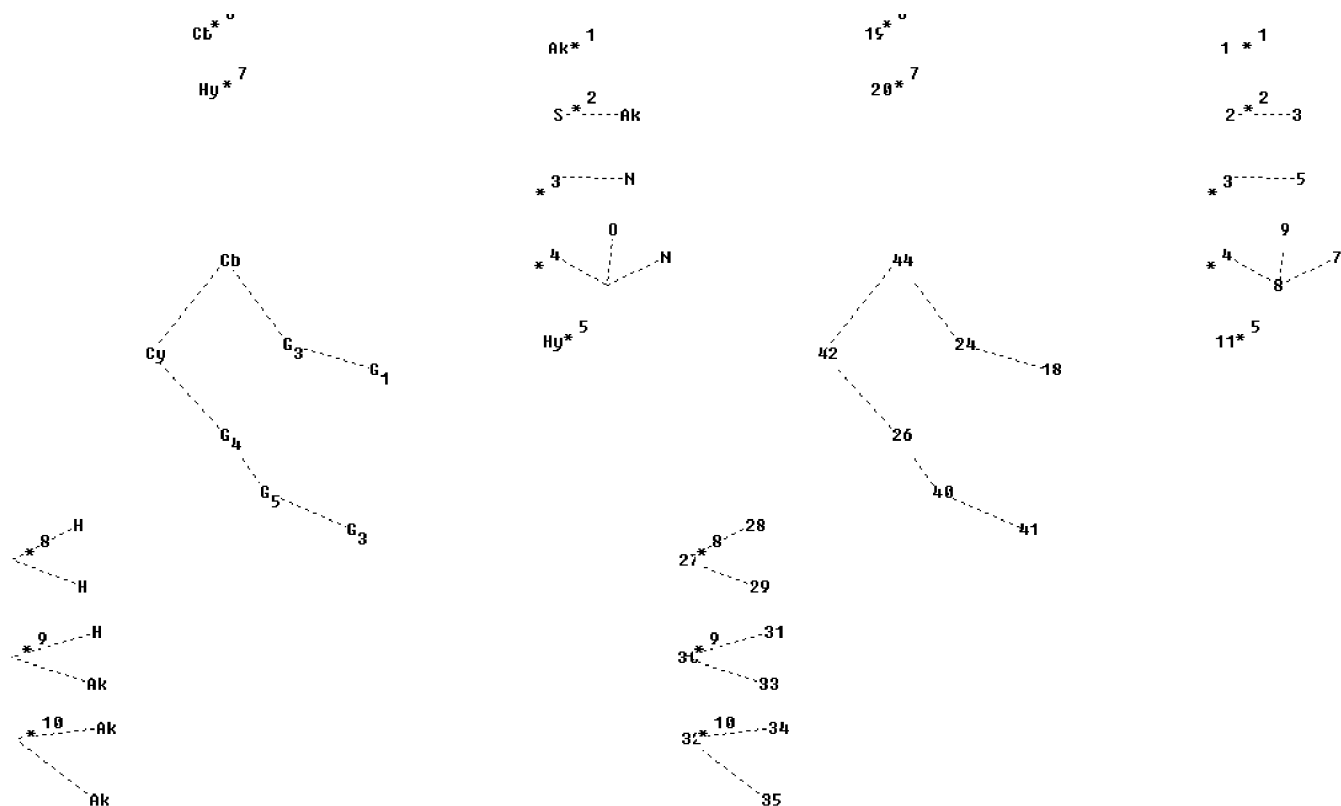
G4:O,S

G5:[*8],[*9],[*10]

```
Connectivity :
21:1 E exact RC ring/chain
Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  12:Atom  13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS
19:CLASS 20:CLASS 21:CLASS
23:Atom 30:CLASS 33:Atom 34:Atom 38:CLASS 40:CLASS 41:CLASS 42:CLASS
43:CLASS 44:CLASS
45:CLASS 46:CLASS 47:CLASS 48:CLASS 49:CLASS 54:CLASS 55:CLASS
Generic attributes :
33:
Saturation          : Unsaturated
```

Uploading L3.str

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chain nodes :

1 2 3 4 5 6 7 8 9 11 18 19 20 24 26 27 28 29 30 31 32 33 34
35 40 41 42 44

chain bonds :

2-3 4-5 6-8 7-8 8-9 18-24 24-44 26-40 26-42 27-28 27-29 30-31 30-33
32-34 32-35 40-41 42-44

exact/norm bonds :

2-3 4-5 6-8 7-8 8-9 18-24 24-44 26-40 26-42 27-28 27-29 30-31 30-33
32-34 32-35 40-41 42-44

G1:[*1],[*2],[*3],[*4],[*5]

G3:[*6],[*7]

G4:O,S

G5:[*8],[*9],[*10]

Connectivity :

9:1 E exact RC ring/chain 42:4 X maximum RC ring/chain 44:4 X maximum RC
ring/chain

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS
11:Atom 18:CLASS 19:Atom 20:Atom 24:CLASS 26:CLASS 27:CLASS 28:CLASS
29:CLASS 30:CLASS 31:CLASS
32:CLASS 33:CLASS 34:CLASS 35:CLASS 40:CLASS 41:CLASS 42:Atom 44:Atom

Generic attributes :

19:
Saturation : Unsaturated
42:

10/533036

Saturation : Unsaturated
Number of Carbon Atoms : less than 7
Type of Ring System : Monocyclic
44:
Saturation : Unsaturated
Number of Carbon Atoms : less than 7
Type of Ring System : Monocyclic

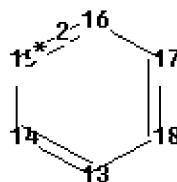
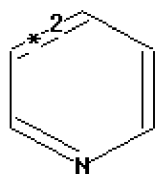
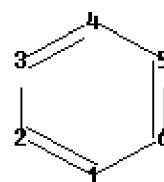
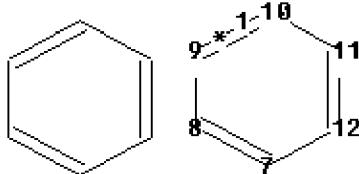
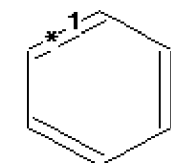
Element Count :
Node 42: Limited
C,C5-6
N,N0-1
S,S0
O,O0
P,P0

Node 44: Limited
C,C6

Uploading L4.str

G₁

23



chain nodes :
23

ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18

ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 13-14 13-18 14-15
15-16 16-17 17-18

normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 13-14 13-18 14-15
15-16 16-17 17-18

isolated ring systems :
containing 1 : 7 : 13 :

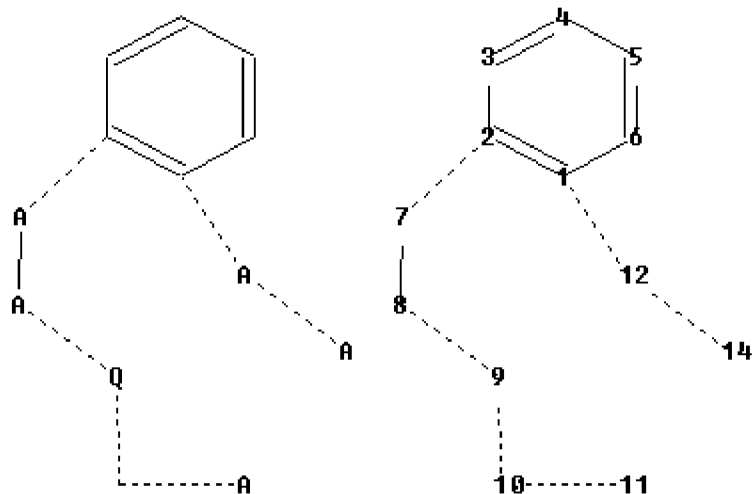
G1:[*1],[*2]

10/533036

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 23:CLASS

Uploading L9.str



chain nodes :

9 10

ring nodes :

1 2 3 4 5 6 7 8 11 12 14

chain bonds :

1-12 2-7 8-9 9-10 10-11

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 12-14

exact/norm bonds :

1-12 2-7 8-9 9-10 10-11 12-14

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8

isolated ring systems :

containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:CLASS 10:CLASS
11:Atom 12:Atom 14:Atom

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FILE LAST UPDATED: 11 May 2008 (20080511/ED)

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L1 STR

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Structure attributes must be viewed using STN Express query preparation.

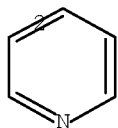
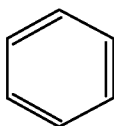
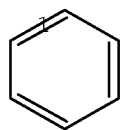
L3 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

L4 STR

G1



G1 [@1],[@2]

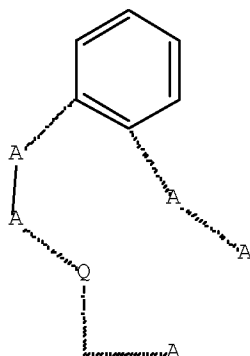
Structure attributes must be viewed using STN Express query preparation.

L5 SCR 1841

L6 SCR 1946

L7 5110201 SEA FILE=REGISTRY ABB=ON PLU=ON 46.150.18/RID AND NRS>3

L9 STR



Structure attributes must be viewed using STN Express query preparation.

L11 239 SEA FILE=REGISTRY SUB=L7 SSS FUL (L1 AND L3 AND L4 AND L9) AND
(L5 AND L6)

L12 9 SEA FILE=ZCAPLUS ABB=ON PLU=ON L11

=> file babs

FILE 'BABS' ENTERED AT 10:31:22 ON 12 MAY 2008

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licensed to Beilstein GmbH and MDL Information Systems GmbH

FILE LAST UPDATED: 17 MAR 2008 <20080317/UP>

FILE COVERS 1980 TO DATE.

=> d stat que L48

L48 4 SEA FILE=BABS ABB=ON PLU=ON (6644860/BABSAN OR 6702500/BABSAN
OR 6340976/BABSAN OR 6562995/BABSAN)

=> file beilstein

FILE 'BEILSTEIN' ENTERED AT 10:31:38 ON 12 MAY 2008

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FILE LAST UPDATED ON April 1, 2008

FILE COVERS 1771 TO 2008.

*** FILE CONTAINS 10.322,808 SUBSTANCES ***

>>>PLEASE NOTE: Reaction Data and substance data are stored in
separate documents and can not be searched together in one query.
Reaction data for BEILSTEIN compounds may be displayed
immediately with the display codes PRE (preparations) and REA
(reactions). A substance answer set retrieved after the search
for a chemical name, a compounds with available reaction
information by combining with PRE/FA, REA/FA or more generally
with RX/FA. The BEILSTEIN Registry Number (BRN) is the link
between a BEILSTEIN compound and belonging reactions. For mo
detailed reaction searches BRNs can be searched as reaction
partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<


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*****
* PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST. *
* SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE *
* ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE *
* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS. *
* FOR PRICE INFORMATION SEE HELP COST *
*****

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>>> Price change as of January 1st, 2008: Connect Time and Structure
      Search fees re-introduced. See NEWS and HELP COST <<<

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=> d stat que L47
L1          STR

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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

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Structure attributes must be viewed using STN Express query preparation.
L3          STR

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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

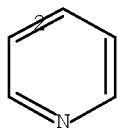
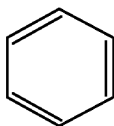
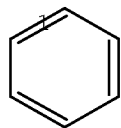
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Structure attributes must be viewed using STN Express query preparation.
L4          STR

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G1

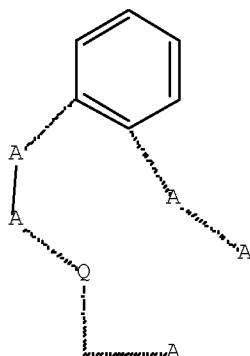


G1 [@1], [@2]

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Structure attributes must be viewed using STN Express query preparation.
L9          STR

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Structure attributes must be viewed using STN Express query preparation.

L45 13 SEA FILE=BEILSTEIN SSS FUL (L1 AND L3 AND L4 AND L9)
 L46 9 SEA FILE=BEILSTEIN ABB=ON PLU=ON L45 AND BABSAN/FA
 L47 4 SEA FILE=BEILSTEIN ABB=ON PLU=ON L45 NOT L46

=> dup rem L12 L48 L47

DUPLICATE IS NOT AVAILABLE IN 'BEILSTEIN'.

ANSWERS FROM THESE FILES WILL BE CONSIDERED UNIQUE

FILE 'ZCAPLUS' ENTERED AT 10:31:59 ON 12 MAY 2008

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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE 'BABS' ENTERED AT 10:31:59 ON 12 MAY 2008

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FILE 'BEILSTEIN' ENTERED AT 10:31:59 ON 12 MAY 2008

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PROCESSING COMPLETED FOR L12

PROCESSING COMPLETED FOR L48

PROCESSING COMPLETED FOR L47

L50 13 DUP REM L12 L48 L47 (4 DUPLICATES REMOVED)

ANSWERS '1-9' FROM FILE ZCAPLUS

ANSWERS '10-13' FROM FILE BEILSTEIN

=> d ibib abs hitstr L50 1-9; d ide allref L50 10-13

L50 ANSWER 1 OF 13 ZCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 1

ACCESSION NUMBER: 2007:743246 ZCAPLUS Full-text

DOCUMENT NUMBER: 147:323038

TITLE: Synthesis of Tetra-ortho-substituted,
 Phosphorus-Containing and Carbonyl-Containing Biaryls
 Utilizing a Diels-Alder Approach

AUTHOR(S): Ashburn, Bradley O.; Carter, Rich G.; Zakharov, Lev N.

CORPORATE SOURCE: Department of Chemistry, Oregon State University,
 Corvallis, OR, 97331, USA

SOURCE: Journal of the American Chemical Society (2007),
 129(29), 9109-9116

CODEN: JACSAT; ISSN: 0002-7863

10/533036

PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 147:323038

AB The application of the Diels-Alder approach to biaryls (DAB) is described for the synthesis of tetra-ortho-substituted biaryl compds. containing orthogonally functionalized substituents. The syntheses of P-containing, disubstituted alkynes and carbonyl-containing, disubstituted alkynes were accomplished in two to three steps from com. available reagents. Subsequent Diels-Alder cycloaddns. with a range of oxygenated dienes yielded the target biaryls. Further functionalization through Pd-couplings is demonstrated on the P-containing biaryls. Selective manipulation of each of the remaining ortho substituents on the P-containing biaryls is demonstrated. One of these P-containing derivs. was used as a highly active catalyst for Suzuki coupling. For the carbonyl-containing series, a wide range of dienophile substituents were screened including esters, ketones, and amides. The key Diels-Alder cycloaddns. proceeded smoothly with the com. available 1-methoxy-1,3-cyclohexadiene to yield the resultant tetra-ortho-substituted biaryls with excellent regioselectivity. The scope of the cycloaddn. process was also explored on the carbonyl-containing dienophiles with cyclic dienes. Acyclic dienes were also screened; however, they did not prove effective in the Diels-Alder process with the carbonyl-containing acetylenes. The ability to isolate enantiomerically pure biaryl atropisomers using a benzyl oxazolidinone is disclosed. Finally, the subsequent conversion to an axially chiral anilino alc. is also reported.

IT 916978-88-6P 916978-92-2P 947612-43-3P

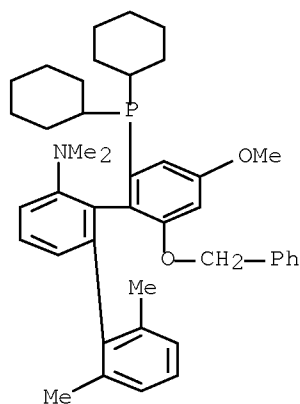
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(crystal structure; preparation and Diels-Alder reaction of P-containing

and

carbonyl-containing alkynes with oxygenated dienes and cyclic dienes to give tetra-ortho-substituted biaryl compds.)

RN 916978-88-6 ZCAPLUS

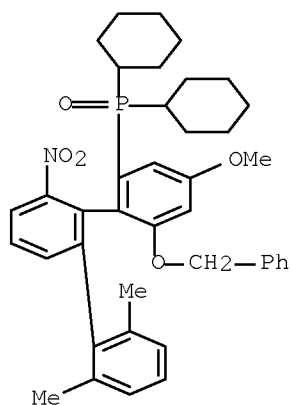
CN [1,1':2',1''-Terphenyl]-3'-amine, 2''-(dicyclohexylphosphino)-4''-methoxy-N,N,2,6-tetramethyl-6''-(phenylmethoxy)- (CA INDEX NAME)



RN 916978-92-2 ZCAPLUS

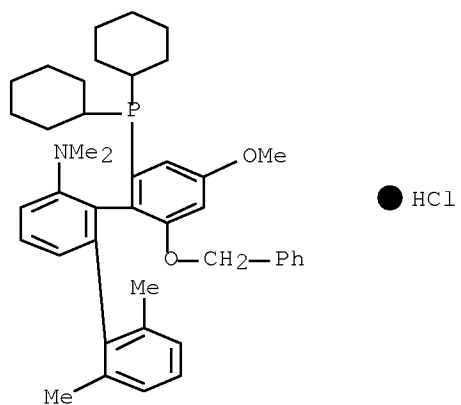
CN Phosphine oxide, dicyclohexyl[4-methoxy-2'',6''-dimethyl-6'-nitro-6-(phenylmethoxy)[1,1':2',1''-terphenyl]-2-yl]- (CA INDEX NAME)

10/533036



RN 947612-43-3 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3'-amine, 2''-(dicyclohexylphosphino)-4''-methoxy-N,N,2,6-tetramethyl-6''-(phenylmethoxy)-, hydrochloride (1:1) (CA INDEX NAME)



IT 947612-34-2

RL: RCT (Reactant); RACT (Reactant or reagent)

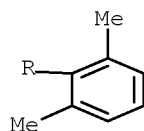
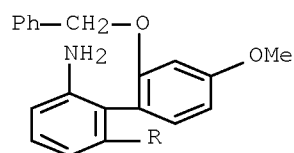
(preparation and Diels-Alder reaction of P-containing and carbonyl-containing

alkynes with oxygenated dienes and cyclic dienes to give tetra-ortho-substituted biaryl compds.)

RN 947612-34-2 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3'-amine, 4''-methoxy-2,6-dimethyl-2''-(phenylmethoxy)- (CA INDEX NAME)

10/533036



IT 916978-86-4P 916978-87-5P

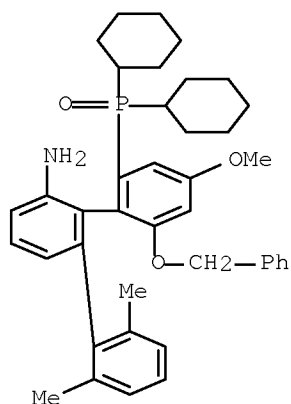
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and Diels-Alder reaction of P-containing and carbonyl-containing

alkynes with oxygenated dienes and cyclic dienes to give tetra-ortho-substituted biaryl compds.)

RN 916978-86-4 ZCAPLUS

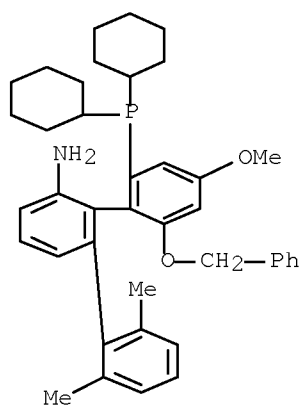
CN [1,1':2',1''-Terphenyl]-3'-amine, 2''-(dicyclohexylphosphinyl)-4''-methoxy-2,6-dimethyl-6''-(phenylmethoxy)- (CA INDEX NAME)



RN 916978-87-5 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3'-amine, 2''-(dicyclohexylphosphino)-4''-methoxy-2,6-dimethyl-6''-(phenylmethoxy)- (CA INDEX NAME)

10/533036



IT 947612-35-3P 947612-42-2P

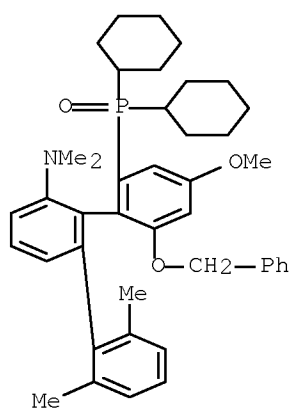
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and Diels-Alder reaction of P-containing and carbonyl-containing

alkynes with oxygenated dienes and cyclic dienes to give tetra-ortho-substituted biaryl compds.)

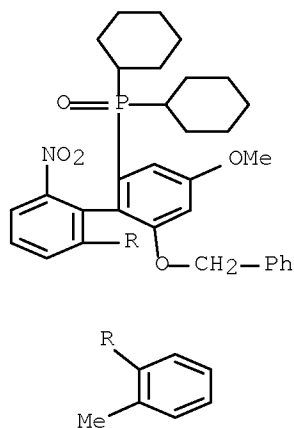
RN 947612-35-3 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3'-amine, 2''-(dicyclohexylphosphinyl)-4''-methoxy-N,N,2,6-tetramethyl-6''-(phenylmethoxy)- (CA INDEX NAME)



RN 947612-42-2 ZCAPLUS

CN Phosphine oxide, dicyclohexyl[4-methoxy-2''-methyl-6'-nitro-6-(phenylmethoxy)[1,1':2',1''-terphenyl]-2-yl]- (CA INDEX NAME)



REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L50 ANSWER 2 OF 13 ZCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 2

ACCESSION NUMBER: 2006:1146810 ZCAPLUS Full-text

DOCUMENT NUMBER: 146:62815

TITLE: Diels-Alder approach to polysubstituted biaryls: rapid entry to tri- and tetra-ortho-substituted phosphorus-containing biaryls

AUTHOR(S): Ashburn, Bradley O.; Carter, Rich G.

CORPORATE SOURCE: Department of Chemistry, Oregon State University (OSU), Corvallis, OR, 97331, USA

SOURCE: Angewandte Chemie, International Edition (2006), 45(40), 6737-6741

CODEN: ACIEF5; ISSN: 1433-7851

PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 146:62815

AB A Diels-Alder-based approach to the synthesis of highly functionalized biaryls from readily available precursors is disclosed. The utility of these biaryl templates in palladium-mediated couplings is explored along with subsequent redns. of the nitro and phosphine oxide moieties. Thus, Diels-Alder cycloaddn. of Me₃SiOC(OMe):CHCH(OMe):CH₂ with 2-Br-6-O₂NC₆H₃C.tplbond.CP(O)R₂ (R = cyclohexyl; preparation given) in PhMe in the presence of Et₃N gave 2-Br-6-O₂NC₆H₃C₆H₂-2-OH-4-OMe-6-P(O)R₂ (R = same). Finally, initial application of a synthesized biaryl as a highly active ligand in palladium-mediated coupling is carried out.

IT 916978-88-6F

RL: CAT (Catalyst use); SPN (Synthetic preparation); PREP (Preparation);

USES (Uses)

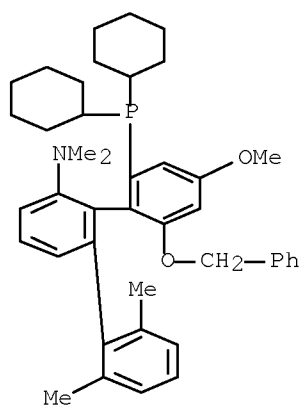
(preparation of tri- and tetra-ortho-substituted phosphorus-containing biaryls

via Diels-Alder approach to polysubstituted biaryls)

RN 916978-88-6 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3'-amine, 2''-(dicyclohexylphosphino)-4''-methoxy-N,N,2,6-tetramethyl-6''-(phenylmethoxy)- (CA INDEX NAME)

10/533036



IT 916978-86-4P 916978-87-5P 916978-92-2P

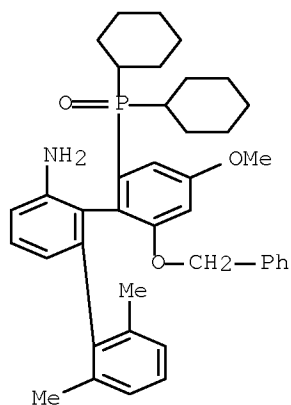
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tri- and tetra-ortho-substituted phosphorus-containing biaryls

via Diels-Alder approach to polysubstituted biaryls)

RN 916978-86-4 ZCAPLUS

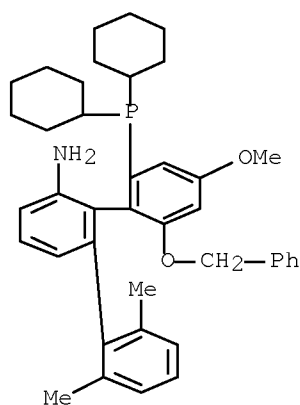
CN [1,1':2',1''-Terphenyl]-3'-amine, 2''-(dicyclohexylphosphinyl)-4''-methoxy-2,6-dimethyl-6''-(phenylmethoxy)- (CA INDEX NAME)



RN 916978-87-5 ZCAPLUS

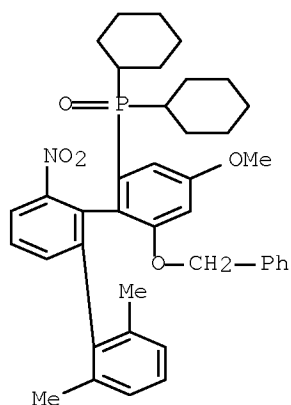
CN [1,1':2',1''-Terphenyl]-3'-amine, 2''-(dicyclohexylphosphino)-4''-methoxy-2,6-dimethyl-6''-(phenylmethoxy)- (CA INDEX NAME)

10/533036



RN 916978-92-2 ZCAPLUS

CN Phosphine oxide, dicyclohexyl[4-methoxy-2'',6''-dimethyl-6'-nitro-6-(phenylmethoxy)[1,1':2',1''-terphenyl]-2-yl]- (CA INDEX NAME)



REFERENCE COUNT: 70 THERE ARE 70 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L50 ANSWER 3 OF 13 ZCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 3

ACCESSION NUMBER: 2006:315138 ZCAPLUS Full-text

DOCUMENT NUMBER: 144:480399

TITLE: Discovery of novel biaryl heterocyclic EP1 receptor antagonists

AUTHOR(S): Hall, Adrian; Bit, Rino A.; Brown, Susan H.; Chaignot, Helene M.; Chessell, Iain P.; Coleman, Tanya; Giblin, Gerard M. P.; Hurst, David N.; Kilford, Ian R.; Lewell, Xiao Q.; Michel, Anton D.; Mohamed, Shiyam; Naylor, Alan; Novelli, Riccardo; Skinner, Lee; Spalding, David J.; Tang, Sac P.; Wilson, Richard J.

CORPORATE SOURCE: Neurology and Gastrointestinal Centre of Excellence for Drug Discovery, GlaxoSmithKline, Essex, CM19 5AW, UK

SOURCE: Bioorganic & Medicinal Chemistry Letters (2006), 16(10), 2666-2671

10/533036

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 144:480399

AB We describe the generation of novel EP1 receptor antagonists by investigation of thiophene isosteres. In addition, we disclose preliminary in vitro and in vivo DMPK for selected compds.

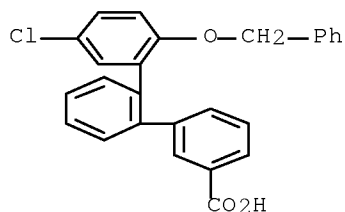
IT 690259-48-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Discovery of novel biaryl heterocyclic EP1 receptor antagonists)

RN 690259-48-4 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-chloro-2''-(phenylmethoxy)-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 70 THERE ARE 70 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L50 ANSWER 4 OF 13 ZCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 4

ACCESSION NUMBER: 2002:325630 ZCAPLUS Full-text

DOCUMENT NUMBER: 137:125038

TITLE: Total synthesis of the turrianes and evaluation of their DNA-cleaving properties

AUTHOR(S): Furstner, Alois; Stelzer, Frank; Rumbo, Antonio; Krause, Helga

CORPORATE SOURCE: Max-Planck-Institut fur Kohlenforschung, Mulheim, 45470, Germany

SOURCE: Chemistry--A European Journal (2002), 8(8), 1856-1871
CODEN: CEUJED; ISSN: 0947-6539

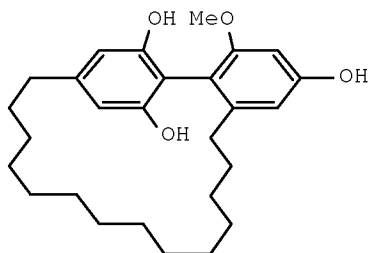
PUBLISHER: Wiley-VCH Verlag GmbH

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 137:125038

GI



I

AB The first total synthesis of three naturally occurring cyclophane derivs., e.g I, belonging to the turriane family of natural products is described. Their sterically hindered biaryl entity is formed by reaction of the Grignard reagent derived from an aryl bromide with an oxazoline derivative, and the macrocyclic tether of the targets is efficiently forged by ring closing metathesis. While conventional RCM catalyzed by the ruthenium-carbene complexes invariably leads to the formation of mixts. of both stereoisomers with the undesirable (E)-alkene prevailing, ring closing alkyne metathesis (RCAM) followed by Lindlar reduction of the resulting cycloalkynes opens a convenient and stereoselective entry into this class of compds. RCAM can either be accomplished by using the tungsten alkylidyne complex [(tBuO)3W.tplbond.CCMe3] or by means of a catalyst formed in situ from [Mo(CO)6] and para-trifluoromethylphenol. The latter method is significantly accelerated when carried out under microwave heating. Furthermore, the judicious choice of the protecting groups for the phenolic hydroxy functions turned out to be crucial. PMB-ethers were found to be compatible with the diverse reaction conditions en route to the targets; their cleavage, however, had to be carried out under carefully optimized conditions to minimize competing O-C PMB migration. The prepared turrianes are shown to be potent DNA cleaving agents under oxidative conditions when administered in the presence of copper ions.

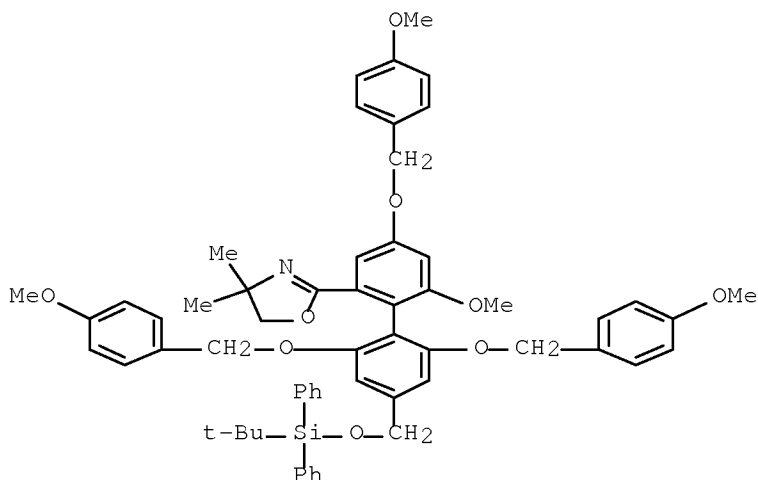
IT 444119-59-9F

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(total synthesis of the turrianes via a key ring closing alkyne metathesis cyclization and evaluation of their DNA-cleaving properties)

RN 444119-59-9 ZCAPLUS

CN Oxazole, 2-[4'-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-6-methoxy-2',4,6'-tris[(4-methoxyphenyl)methoxy][1,1'-biphenyl]-2-yl]-4,5-dihydro-4,4-dimethyl- (CA INDEX NAME)



IT 444119-77-1P 444119-78-2P

RL: SPN (Synthetic preparation); PREP (Preparation)

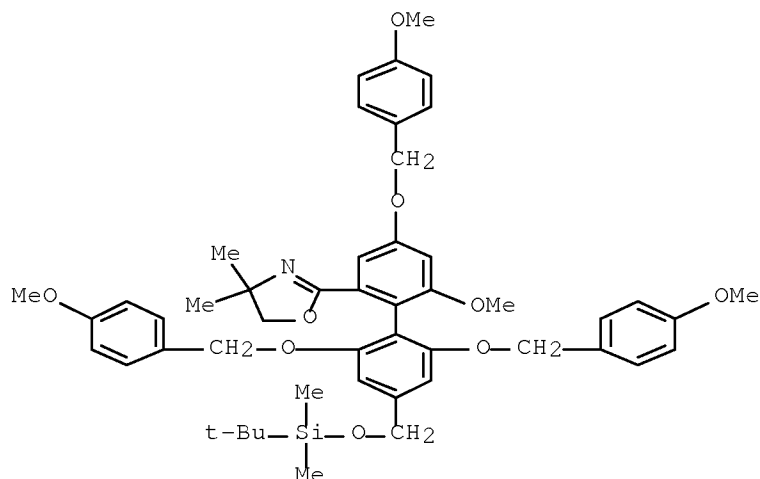
(total synthesis of the turrianes via a key ring closing alkyne

10/533036

metathesis cyclization and evaluation of their DNA-cleaving properties)

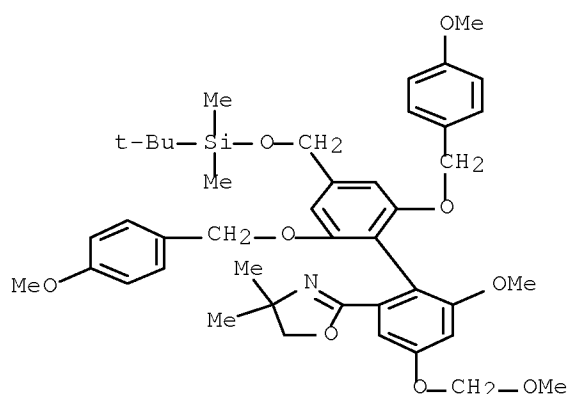
RN 444119-77-1 ZCAPLUS

CN Oxazole, 2-[4'-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-6-methoxy-2',4,6'-tris[(4-methoxyphenyl)methoxy][1,1'-biphenyl]-2-yl]-4,5-dihydro-4,4-dimethyl- (CA INDEX NAME)



RN 444119-78-2 ZCAPLUS

CN Oxazole, 2-[4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-6-methoxy-4-(methoxymethoxy)-2',6'-bis[(4-methoxyphenyl)methoxy][1,1'-biphenyl]-2-yl]-4,5-dihydro-4,4-dimethyl- (CA INDEX NAME)



REFERENCE COUNT: 126 THERE ARE 126 CITED REFERENCES AVAILABLE FOR
THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L50 ANSWER 5 OF 13 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:234425 ZCAPLUS Full-text

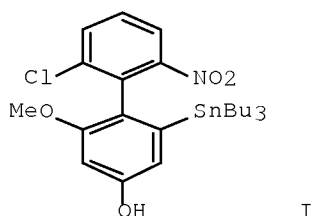
DOCUMENT NUMBER: 148:426490

TITLE: Synthesis of Programmable Tetra-ortho-Substituted

10/533036

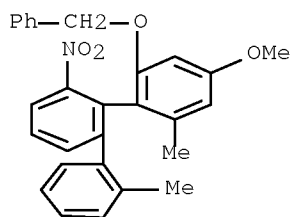
Biaryl Compounds Using Diels-Alder
Cycloadditions/Cycloreversions of Disubstituted
Alkynyl Stannanes

AUTHOR(S): Perkins, Johanna R.; Carter, Rich G.
CORPORATE SOURCE: Department of Chemistry, Oregon State University,
Corvallis, OR, 97331, USA
SOURCE: Journal of the American Chemical Society (2008),
130(11), 3290-3291
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

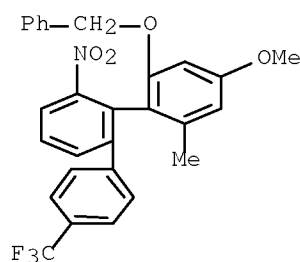


AB Orthogonally functionalized, programmable biaryl templates, e.g., I, have been synthesized utilizing aryl acetylenic stannanes and oxygenated dienes in a cycloaddn./cycloreversion strategy. Sequential functionalization of each of the four ortho positions has been demonstrated. Subsequent resolution of a representative anilino phenol has been accomplished. Addnl., a highly active anhydrous, boroxin-based Suzuki coupling protocol has been developed for conversion of unreactive aryl chlorides.

IT 1017280-76-0P 1017280-77-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of tetra-ortho-substituted biaryls via Diels-Alder cycloaddn.
and cycloreversion of arylacetylenic stannanes with oxygenated dienes
followed by cross-coupling)
RN 1017280-76-0 ZCAPLUS
CN INDEX NAME NOT YET ASSIGNED

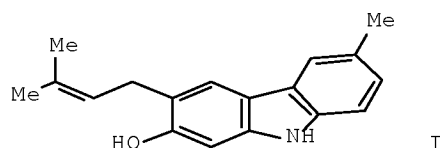


RN 1017280-77-1 ZCAPLUS
CN INDEX NAME NOT YET ASSIGNED



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L50 ANSWER 6 OF 13 ZCAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2007:767247 ZCAPLUS Full-text
 DOCUMENT NUMBER: 147:522429
 TITLE: Diels-Alder Approach for the Construction of
 Halogenated, o-Nitro Biaryl Templates and Application
 to the Total Synthesis of the Anti-HIV Agent Siamenol
 AUTHOR(S): Naffziger, Michael R.; Ashburn, Bradley O.; Perkins,
 Johanna R.; Carter, Rich G.
 CORPORATE SOURCE: Department of Chemistry, Oregon State University,
 Corvallis, OR, 97331, USA
 SOURCE: Journal of Organic Chemistry (2007), 72(26), 9857-9865
 CODEN: JOCEAH; ISSN: 0022-3263
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 147:522429
 GI



AB A rapid Diels-Alder approach to halogenated biaryl templates is described. These biaryl templates are available in two steps from the corresponding aromatic aldehydes. The scope of subsequent Suzuki couplings on the biaryl chlorides is explored. Good tolerance for both electron-donating and electron-withdrawing groups in the coupling process can be achieved. Further functionalization of the biaryl templates is described. Hydrogenation of the nitro moiety with concomitant removal of the benzyl ether yields the o-anilino, o-phenolic polyaryls. Selective reduction of the nitro group can be accomplished. Alternatively, the benzyl ether can be selectively removed under Lewis acidic conditions. The utilization of the Diels-Alder adducts for the synthesis of a series of chlorinated carbazoles via the Cadogan cyclization is also demonstrated. Finally, application of this technol. to the total synthesis of siamenol (I), an anti-HIV agent, is reported.

IT 947513-91-9P 947513-94-2P 947513-96-4P

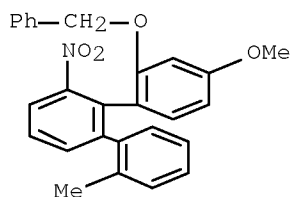
10/533036

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation, functionalization and application to the synthesis of carbazoles and siamenol of biaryl templates via Diels-Alder reaction)

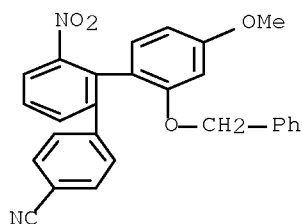
RN 947513-91-9 ZCAPLUS

CN 1,1':2',1''-Terphenyl, 4''-methoxy-2-methyl-3'-nitro-2''-(phenylmethoxy)-
(CA INDEX NAME)



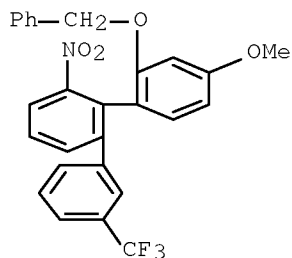
RN 947513-94-2 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-4-carbonitrile, 4''-methoxy-3'-nitro-2''-(phenylmethoxy)-
(CA INDEX NAME)



RN 947513-96-4 ZCAPLUS

CN 1,1':2',1''-Terphenyl, 4''-methoxy-3'-nitro-2''-(phenylmethoxy)-3-(trifluoromethyl)-
(CA INDEX NAME)



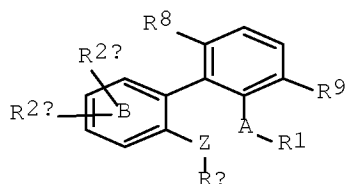
REFERENCE COUNT: 83 THERE ARE 83 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L50 ANSWER 7 OF 13 ZCAPLUS COPYRIGHT 2008 ACS on STN

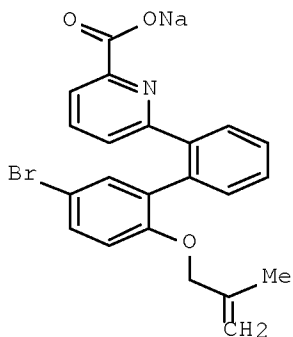
10/533036

ACCESSION NUMBER: 2005:1220712 ZCAPLUS Full-text
DOCUMENT NUMBER: 143:477851
TITLE: Preparation of sodium 6-(2-biphenyl)-2-pyridinecarboxylates for treating conditions mediated by the action of PGE2 at the EP1 receptor
INVENTOR(S): Bit, Rino Antonio; Giblin, Gerard Martin Paul; Hall, Adrian; Hayhow, Thomas; Hurst, David Nigel; Kilford, Ian Reginald; Miller, Neil Derek; Naylor, Alan; Novelli, Riccardo; Scoccitti, Tiziana
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005108369	A1	20051117	WO 2005-EP4726	20050429
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1742916	A1	20070117	EP 2005-738052	20050429
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LV				
JP 2007536309	T	20071213	JP 2007-511989	20050429
US 20070225340	A1	20070927	US 2006-568573	20061102
PRIORITY APPLN. INFO.:			GB 2004-10121	A 20040506
			WO 2005-EP4726	W 20050429
OTHER SOURCE(S):		MARPAT 143:477851		
GI				



I



II

AB The title compds. I [A = (un)substituted aryl, 5-6 membered heterocyclyl, bicyclic heterocyclyl; B = Ph, pyridyl; Z = O, S, SO, SO₂; R₁ = CO₂H, CN, COalkyl, etc.; R_{2a}, R_{2b} = H, halo, CN, etc.; R_x = (un)substituted alkyl [wherein 1 or 2 of the non-terminal carbon atoms are optionally replaced by NR₄, O or SOn (wherein n = 0-2)], (un)substituted alkenyl, (un)substituted alkynyl, etc.; R₈, R₉ = H, halo, CF₃, alkoxy, alkyl], useful in the treatment of conditions mediated by the action of PGE₂ at the EP₁ receptor (which is associated with smooth muscle contraction, pain (in particular inflammatory, neuropathic and visceral), inflammation, allergic activities, renal regulation and gastric or enteric mucus secretion), were prepared Thus, treating suspension of Et 6-{5'-bromo-2'-[(2-methyl-2-propen-1-yl)oxy]-2-biphenyl}-2-pyridinecarboxylate in EtOH with 1M NaOH afforded II. The exemplified compds. I showed an antagonist pIC₅₀ of 6.0 or greater at EP₂ receptors. The pharmaceutical composition comprising the compound I is disclosed.

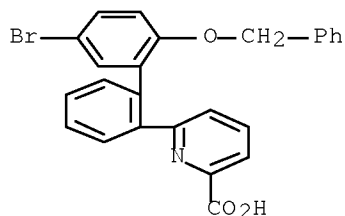
IT 869499-15-0P 869499-16-1P 869499-17-2P
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 869499-36-5P 869499-37-6P 869499-39-8P
 869499-40-1P 869499-41-2P 869499-42-3P
 869499-45-6P 869499-48-9P 869499-49-0P
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 869499-53-6P 869499-54-7P 869499-73-0P
 869499-74-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sodium 6-(2-biphenyl)-2-pyridinecarboxylates for treating conditions mediated by the action of PGE₂ at the EP₁ receptor)

RN 869499-15-0 ZCAPLUS

CN 2-Pyridinecarboxylic acid, 6-[5'-bromo-2'-(phenylmethoxy)[1,1'-biphenyl]-2-yl]-, sodium salt (1:1) (CA INDEX NAME)

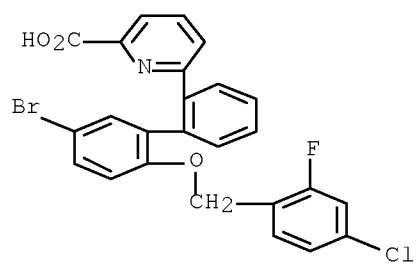


● Na

RN 869499-16-1 ZCAPLUS

CN 2-Pyridinecarboxylic acid, 6-[5'-bromo-2'-[(4-chloro-2-fluorophenyl)methoxy][1,1'-biphenyl]-2-yl]-, sodium salt (1:1) (CA INDEX NAME)

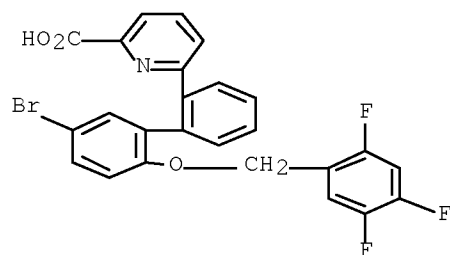
10/533036



● Na

RN 869499-17-2 ZCAPLUS

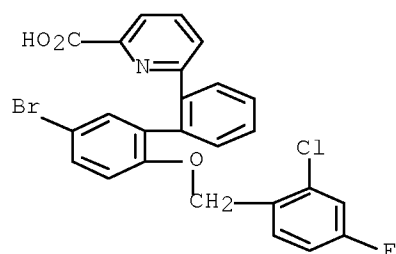
CN 2-Pyridinecarboxylic acid, 6-[5'-bromo-2'-[(2,4,5-trifluorophenyl)methoxy][1,1'-biphenyl]-2-yl]-, sodium salt (1:1) (CA INDEX NAME)



● Na

RN 869499-18-3 ZCAPLUS

CN 2-Pyridinecarboxylic acid, 6-[5'-bromo-2'-[(2-chloro-4-fluorophenyl)methoxy][1,1'-biphenyl]-2-yl]-, sodium salt (1:1) (CA INDEX NAME)

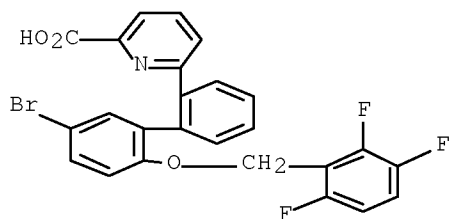


● Na

RN 869499-19-4 ZCAPLUS

10/533036

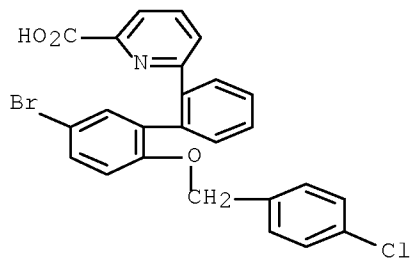
CN 2-Pyridinecarboxylic acid, 6-[5'-bromo-2'-[(2,3,6-trifluorophenyl)methoxy][1,1'-biphenyl]-2-yl]-, sodium salt (1:1) (CA INDEX NAME)



● Na

RN 869499-20-7 ZCAPLUS

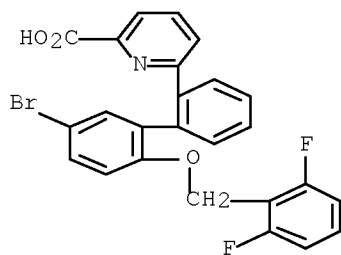
CN 2-Pyridinecarboxylic acid, 6-[5'-bromo-2'-[(4-chlorophenyl)methoxy][1,1'-biphenyl]-2-yl]-, sodium salt (1:1) (CA INDEX NAME)



● Na

RN 869499-21-8 ZCAPLUS

CN 2-Pyridinecarboxylic acid, 6-[5'-bromo-2'-[(2,6-difluorophenyl)methoxy][1,1'-biphenyl]-2-yl]-, sodium salt (1:1) (CA INDEX NAME)

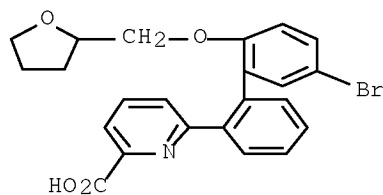


● Na

10/533036

RN 869499-22-9 ZCAPLUS

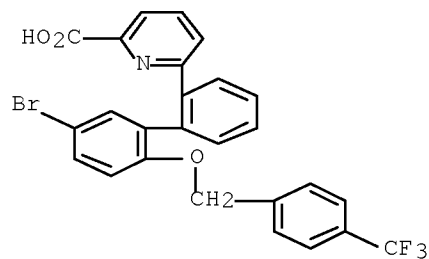
CN 2-Pyridinecarboxylic acid, 6-[5'-bromo-2'-[(tetrahydro-2-furanyl)methoxy][1,1'-biphenyl]-2-yl]-, sodium salt (1:1) (CA INDEX NAME)



● Na

RN 869499-23-0 ZCAPLUS

CN 2-Pyridinecarboxylic acid, 6-[5'-bromo-2'-[[4-(trifluoromethyl)phenyl]methoxy][1,1'-biphenyl]-2-yl]-, sodium salt (1:1) (CA INDEX NAME)

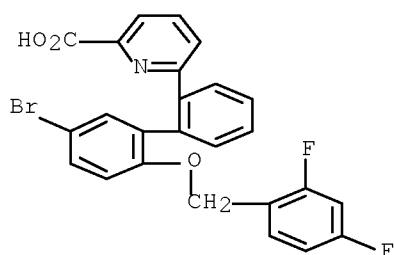


● Na

RN 869499-24-1 ZCAPLUS

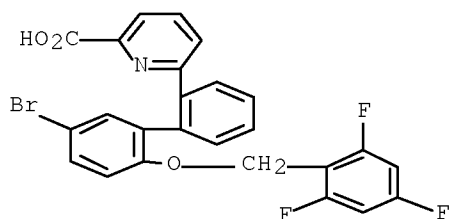
CN 2-Pyridinecarboxylic acid, 6-[5'-bromo-2'-[(2,4-difluorophenyl)methoxy][1,1'-biphenyl]-2-yl]-, sodium salt (1:1) (CA INDEX NAME)

10/533036



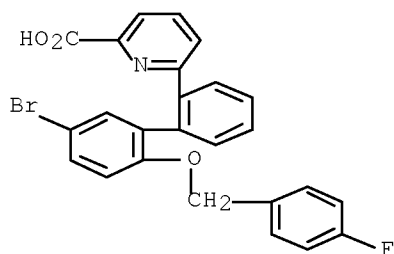
● Na

RN 869499-25-2 ZCAPLUS
CN 2-Pyridinecarboxylic acid, 6-[5'-bromo-2'-[(2,4,6-trifluorophenyl)methoxy][1,1'-biphenyl]-2-yl]-, sodium salt (1:1) (CA INDEX NAME)



● Na

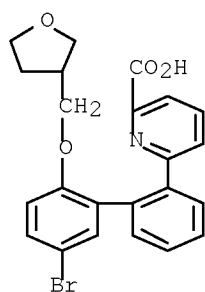
RN 869499-26-3 ZCAPLUS
CN 2-Pyridinecarboxylic acid, 6-[5'-bromo-2'-[(4-fluorophenyl)methoxy][1,1'-biphenyl]-2-yl]-, sodium salt (1:1) (CA INDEX NAME)



● Na

RN 869499-36-5 ZCAPLUS
CN 2-Pyridinecarboxylic acid, 6-[5'-bromo-2'-[(tetrahydro-3-furanyl)methoxy][1,1'-biphenyl]-2-yl]-, sodium salt (1:1) (CA INDEX NAME)

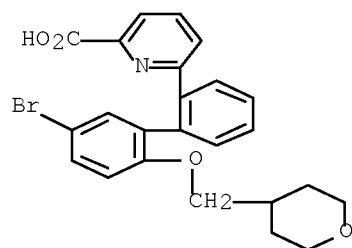
10/533036



● Na

RN 869499-37-6 ZCAPLUS

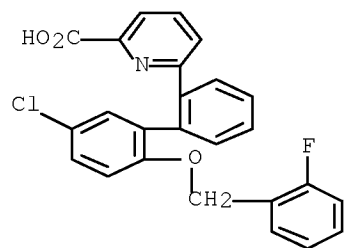
CN 2-Pyridinecarboxylic acid, 6-[5'-bromo-2'-[(tetrahydro-2H-pyran-4-yl)methoxy][1,1'-biphenyl]-2-yl]-, sodium salt (1:1) (CA INDEX NAME)



● Na

RN 869499-39-8 ZCAPLUS

CN 2-Pyridinecarboxylic acid, 6-[5'-chloro-2'-[(2-fluorophenyl)methoxy][1,1'-biphenyl]-2-yl]-, sodium salt (1:1) (CA INDEX NAME)

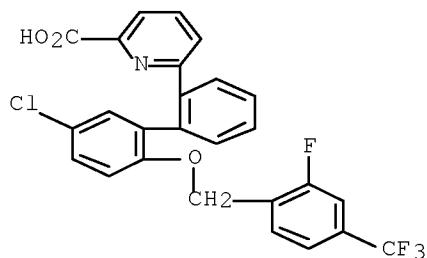


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10/533036

RN 869499-40-1 ZCAPLUS

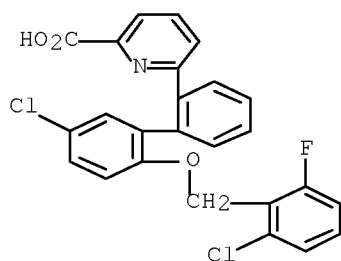
CN 2-Pyridinecarboxylic acid, 6-[5'-chloro-2'-[[2-fluoro-4-(trifluoromethyl)phenyl]methoxy][1,1'-biphenyl]-2-yl]-, sodium salt (1:1)
(CA INDEX NAME)



● Na

RN 869499-41-2 ZCAPLUS

CN 2-Pyridinecarboxylic acid, 6-[5'-chloro-2'-[(2-chloro-6-fluorophenyl)methoxy][1,1'-biphenyl]-2-yl]-, sodium salt (1:1) (CA INDEX NAME)

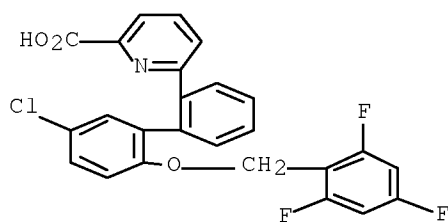


● Na

RN 869499-42-3 ZCAPLUS

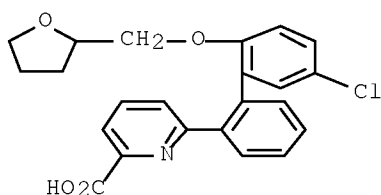
CN 2-Pyridinecarboxylic acid, 6-[5'-chloro-2'-[(2,4,6-trifluorophenyl)methoxy][1,1'-biphenyl]-2-yl]-, sodium salt (1:1) (CA INDEX NAME)

10/533036



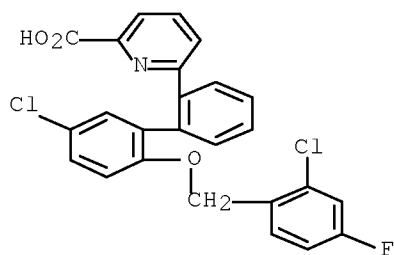
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RN 869499-45-6 ZCAPLUS
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● Na

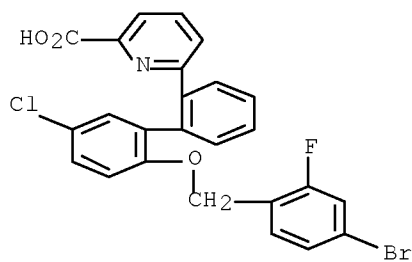
RN 869499-48-9 ZCAPLUS
 CN 2-Pyridinecarboxylic acid, 6-[5'-chloro-2'-[(2-chloro-4-fluorophenyl)methoxy][1,1'-biphenyl]-2-yl]-, sodium salt (1:1) (CA INDEX NAME)



● Na

RN 869499-49-0 ZCAPLUS
 CN 2-Pyridinecarboxylic acid, 6-[2'-[(4-bromo-2-fluorophenyl)methoxy]-5'-chloro[1,1'-biphenyl]-2-yl]-, sodium salt (1:1) (CA INDEX NAME)

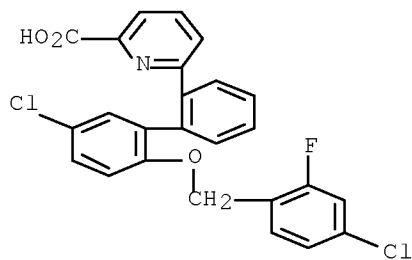
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● Na

RN 869499-50-3 ZCAPLUS

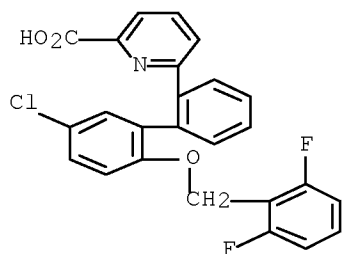
CN 2-Pyridinecarboxylic acid, 6-[5'-chloro-2'-[(4-chloro-2-fluorophenyl)methoxy][1,1'-biphenyl]-2-yl]-, sodium salt (1:1) (CA INDEX NAME)



● Na

RN 869499-51-4 ZCAPLUS

CN 2-Pyridinecarboxylic acid, 6-[5'-chloro-2'-[(2,6-difluorophenyl)methoxy][1,1'-biphenyl]-2-yl]-, sodium salt (1:1) (CA INDEX NAME)

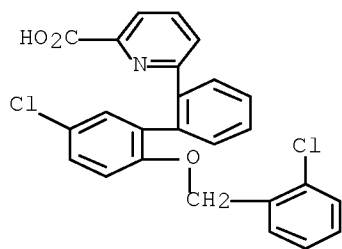


● Na

RN 869499-52-5 ZCAPLUS

10/533036

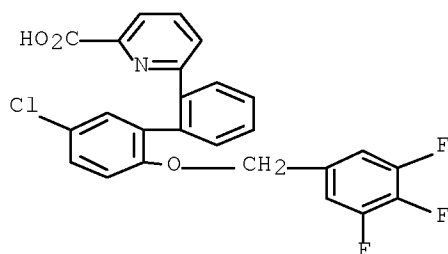
CN 2-Pyridinecarboxylic acid, 6-[5'-chloro-2'-[(2-chlorophenyl)methoxy][1,1'-biphenyl]-2-yl]-, sodium salt (1:1) (CA INDEX NAME)



● Na

RN 869499-53-6 ZCAPLUS

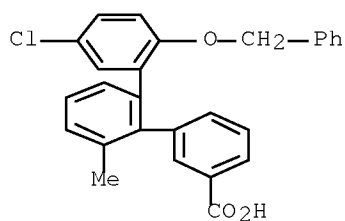
CN 2-Pyridinecarboxylic acid, 6-[5'-chloro-2'-[(3,4,5-trifluorophenyl)methoxy][1,1'-biphenyl]-2-yl]-, sodium salt (1:1) (CA INDEX NAME)



● Na

RN 869499-54-7 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-chloro-6''-methyl-2''-(phenylmethoxy)-, sodium salt (9CI) (CA INDEX NAME)

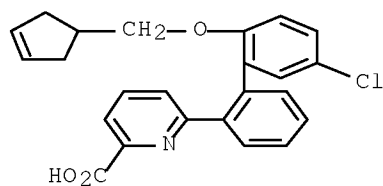


● Na

10/533036

RN 869499-73-0 ZCAPLUS

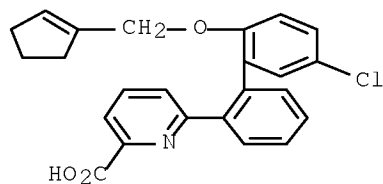
CN 2-Pyridinecarboxylic acid, 6-[5'-chloro-2'-(3-cyclopenten-1-ylmethoxy)[1,1'-biphenyl]-2-yl]-, sodium salt (1:1) (CA INDEX NAME)



● Na

RN 869499-74-1 ZCAPLUS

CN 2-Pyridinecarboxylic acid, 6-[5'-chloro-2'-(1-cyclopenten-1-ylmethoxy)[1,1'-biphenyl]-2-yl]-, sodium salt (1:1) (CA INDEX NAME)



● Na

IT 690261-30-4P 869499-91-2P 869499-92-3P

869499-93-4P 869499-94-5P 869499-95-6P

869499-96-7P 869499-97-8P 869499-98-9P

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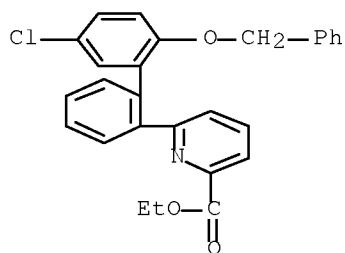
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of sodium 6-(2-biphenyl)-2-pyridinecarboxylates for treating conditions mediated by the action of PGE2 at the EP1 receptor)

RN 690261-30-4 ZCAPLUS

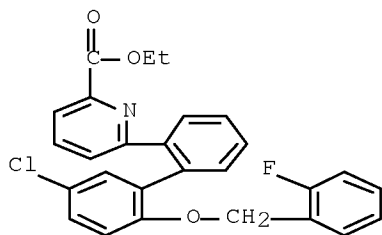
CN 2-Pyridinecarboxylic acid, 6-[5'-chloro-2'-(phenylmethoxy)[1,1'-biphenyl]-2-yl]-, ethyl ester (CA INDEX NAME)

10/533036



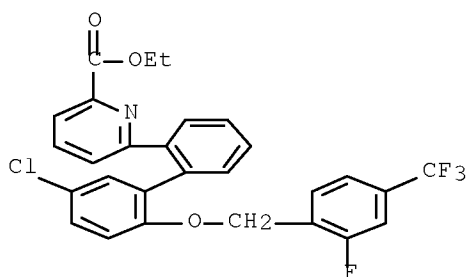
RN 869499-91-2 ZCAPLUS

CN 2-Pyridinecarboxylic acid, 6-[5'-chloro-2'-[(2-fluorophenyl)methoxy][1,1'-biphenyl]-2-yl]-, ethyl ester (CA INDEX NAME)



RN 869499-92-3 ZCAPLUS

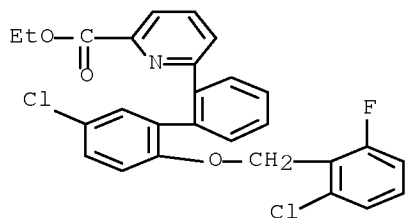
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RN 869499-93-4 ZCAPLUS

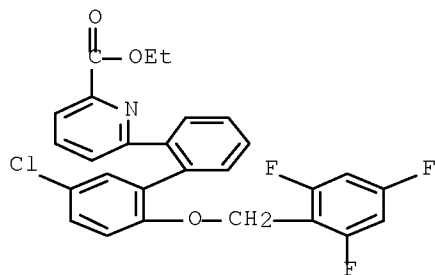
CN 2-Pyridinecarboxylic acid, 6-[5'-chloro-2'-[(2-chloro-6-fluorophenyl)methoxy][1,1'-biphenyl]-2-yl]-, ethyl ester (CA INDEX NAME)

10/533036



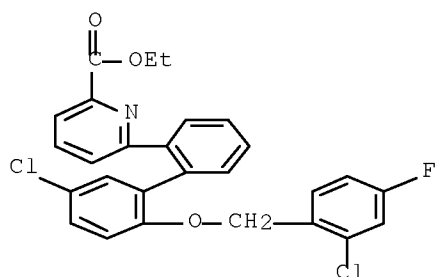
RN 869499-94-5 ZCAPLUS

CN 2-Pyridinecarboxylic acid, 6-[5'-chloro-2'-[(2,4,6-trifluorophenyl)methoxy][1,1'-biphenyl]-2-yl]-, ethyl ester (CA INDEX NAME)



RN 869499-95-6 ZCAPLUS

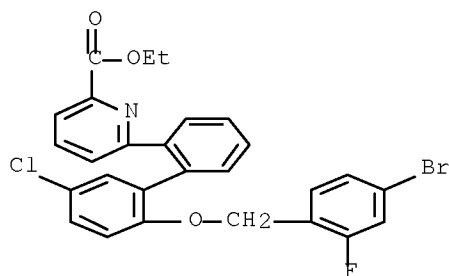
CN 2-Pyridinecarboxylic acid, 6-[5'-chloro-2'-[(2-chloro-4-fluorophenyl)methoxy][1,1'-biphenyl]-2-yl]-, ethyl ester (CA INDEX NAME)



RN 869499-96-7 ZCAPLUS

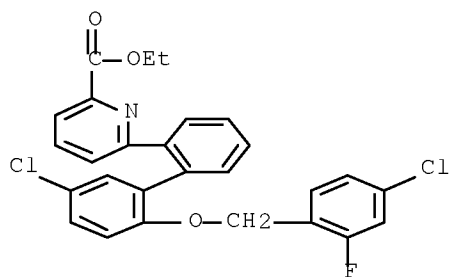
CN 2-Pyridinecarboxylic acid, 6-[2'-[(4-bromo-2-fluorophenyl)methoxy]-5'-chloro[1,1'-biphenyl]-2-yl]-, ethyl ester (CA INDEX NAME)

10/533036



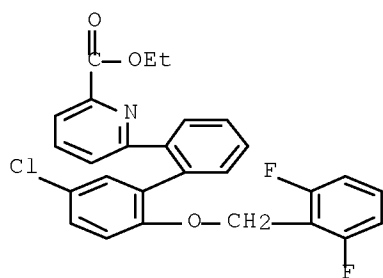
RN 869499-97-8 ZCAPLUS

CN 2-Pyridinecarboxylic acid, 6-[5'-chloro-2'-[(4-chloro-2-fluorophenyl)methoxy][1,1'-biphenyl]-2-yl]-, ethyl ester (CA INDEX NAME)



RN 869499-98-9 ZCAPLUS

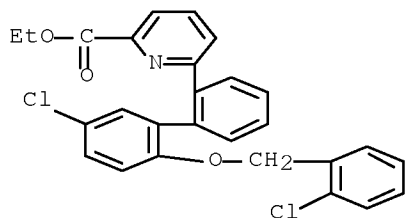
CN 2-Pyridinecarboxylic acid, 6-[5'-chloro-2'-[(2,6-difluorophenyl)methoxy][1,1'-biphenyl]-2-yl]-, ethyl ester (CA INDEX NAME)



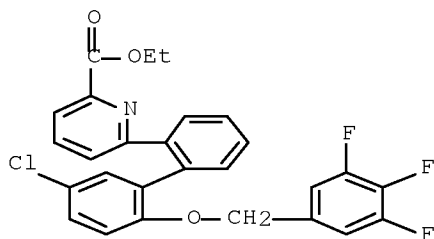
RN 869499-99-0 ZCAPLUS

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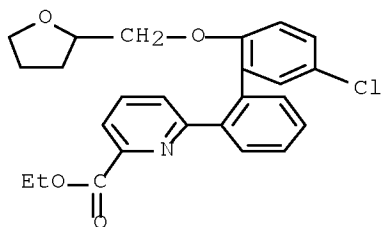
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RN 869500-00-5 ZCAPLUS
CN 2-Pyridinecarboxylic acid, 6-[5'-chloro-2'-[(3,4,5-trifluorophenyl)methoxy][1,1'-biphenyl]-2-yl]-, ethyl ester (CA INDEX NAME)

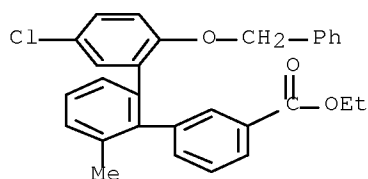


RN 869500-04-9 ZCAPLUS
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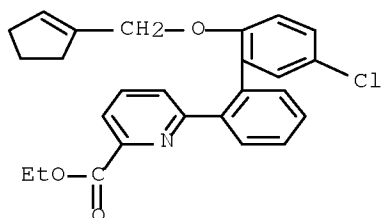
RN 869500-09-4 ZCAPLUS
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-chloro-3'-methyl-2''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)

10/533036



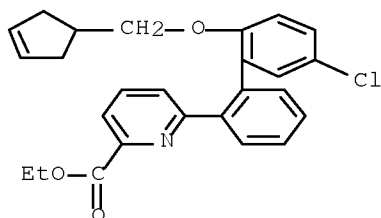
RN 869500-16-3 ZCAPLUS

CN 2-Pyridinecarboxylic acid, 6-[5'-chloro-2'-(1-cyclopenten-1-ylmethoxy)[1,1'-biphenyl]-2-yl]-, ethyl ester (CA INDEX NAME)



RN 869500-17-4 ZCAPLUS

CN 2-Pyridinecarboxylic acid, 6-[5'-chloro-2'-(3-cyclopenten-1-ylmethoxy)[1,1'-biphenyl]-2-yl]-, ethyl ester (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L50 ANSWER 8 OF 13 ZCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:390204 ZCAPLUS [Full-text](#)

DOCUMENT NUMBER: 140:406635

TITLE: Preparation of benzoic acids and related compounds as EP1 receptor antagonists for the treatment of prostaglandin mediated diseases.

INVENTOR(S): Bit, Rino Antonio; Giblin, Gerard Martin Paul; Hall, Adrian; Hurst, David Nigel; Kilford, Ian Reginald; Miller, Neil Derek; Scoccitti, Tiziana

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 96 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

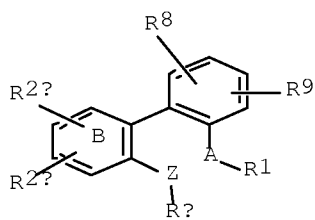
LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004039753	A2	20040513	WO 2003-EP12181	20031030
WO 2004039753	A3	20040715		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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AU 2003287979	A1	20040525	AU 2003-287979	20031030
EP 1556330	A2	20050727	EP 2003-779828	20031030
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JP 2006504767	T	20060209	JP 2004-547644	20031030
US 20060235057	A1	20061019	US 2005-533036	20050428
PRIORITY APPLN. INFO.:			GB 2002-25548	A 20021101
			WO 2003-EP12181	W 20031030
OTHER SOURCE(S):			MARPAT 140:406635	
GI				



AB Title compds. I [A = (un)substituted aryl, 5 or 6-membered heterocyclyl ring, bicyclic heterocyclyl; B = Ph, pyridyl; Z = O, S, SO, etc.; R1 = CO2R4, CN, CONR5R6, etc.; R2a, R2b = H, halogen, (un)substituted alkyl, etc.; Rx = (un)substituted alkyl, CQaQb-heterocyclyl, CQaQb-bicyclic heterocyclyl, etc.; R4, R5 = H, (un)substituted alkyl; R6 = H, (un)substituted alkyl, heteroaryl, etc.; R8, R9 = H, Cl, F, etc.; Qa, Qb = H, CH3] and their pharmaceutically acceptable derivs. were prepared For example, the Suzuki coupling of Et 2'-bromobiphenyl-3-carboxylate and 2-benzyloxy-5-chlorophenylboronic acid, e.g., prepared from 3-ethoxycarbonylphenylboronic acid, followed by hydrolysis afforded compound I [A-R1 = 3-carboxyphenyl; Z = O; R2a = H, R2b = 5-Cl; R8, R9 = H] in 39% overall yield. In human prostanoic EP1 receptor binding assays, 90-examples of compds. I exhibited pIC50 values ranging from 6.0->9.0

at the EP1 receptor and pIC50 values of <6.0 at the EP3 receptor. Of note, no toxicol. effects are indicated/expected (sic) when the compds. I are administered at the assay concentration of 3 nM. Compds. I are claimed useful for the treatment of prostaglandin mediated diseases, e.g., inflammation, pain, etc.

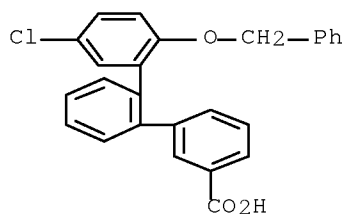
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 690260-37-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzoic acids and related compds. as EP1 receptor antagonists for the treatment of prostaglandin mediated diseases.)

RN 690259-48-4 ZCAPLUS

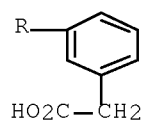
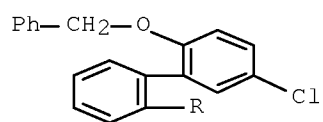
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-chloro-2''-(phenylmethoxy)-(9CI) (CA INDEX NAME)



RN 690259-49-5 ZCAPLUS

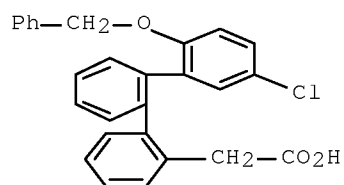
CN [1,1':2',1''-Terphenyl]-3-acetic acid, 5''-chloro-2''-(phenylmethoxy)-(9CI) (CA INDEX NAME)

10/533036



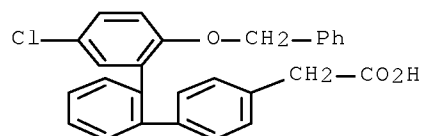
RN 690259-50-8 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-2-acetic acid, 5''-chloro-2''-(phenylmethoxy)-
(9CI) (CA INDEX NAME)



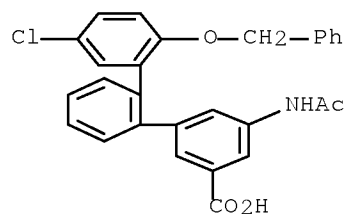
RN 690259-51-9 ZCAPLUS

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RN 690259-52-0 ZCAPLUS

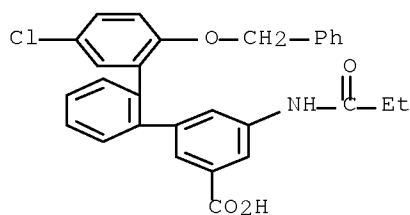
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10/533036

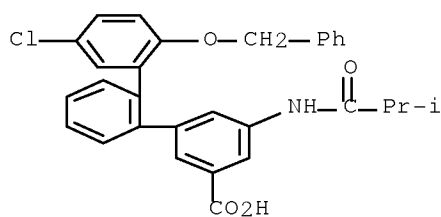
RN 690259-53-1 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-chloro-5-[(1-oxopropyl)amino]-2''-(phenylmethoxy)- (9CI) (CA INDEX NAME)



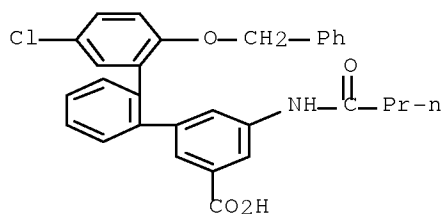
RN 690259-54-2 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-chloro-5-[(2-methyl-1-oxopropyl)amino]-2''-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 690259-55-3 ZCAPLUS

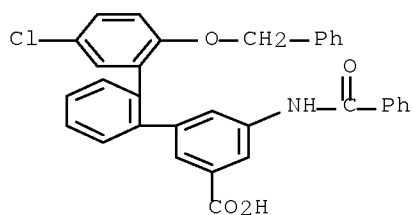
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-chloro-5-[(1-oxobutyl)amino]-2''-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 690259-56-4 ZCAPLUS

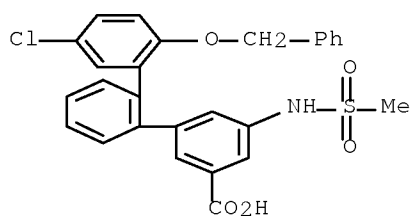
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5-(benzoylamino)-5''-chloro-2''-(phenylmethoxy)- (9CI) (CA INDEX NAME)

10/533036



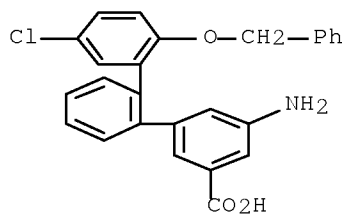
RN 690259-57-5 ZCAPLUS

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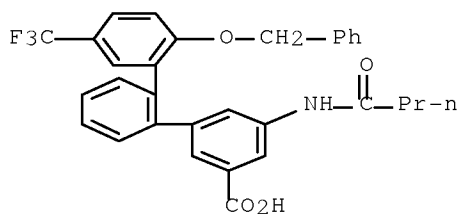
RN 690259-58-6 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5-amino-5''-chloro-2''-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 690259-59-7 ZCAPLUS

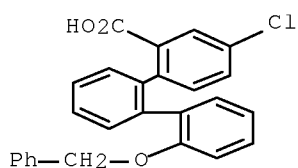
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5-[(1-oxobutyl)amino]-2''-(phenylmethoxy)-5''-(trifluoromethyl)- (9CI) (CA INDEX NAME)



10/533036

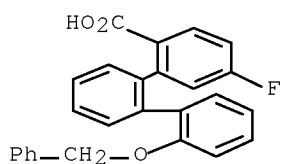
RN 690259-60-0 ZCAPLUS

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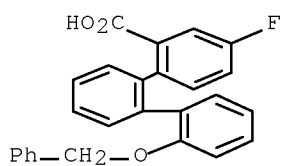
RN 690259-61-1 ZCAPLUS

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RN 690259-62-2 ZCAPLUS

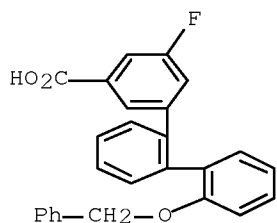
CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 4-fluoro-2''-(phenylmethoxy)-
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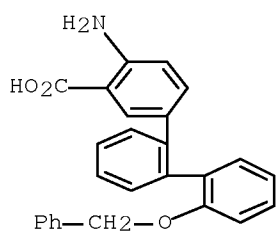
RN 690259-63-3 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5-fluoro-2''-(phenylmethoxy)-
(9CI) (CA INDEX NAME)

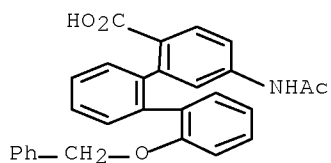
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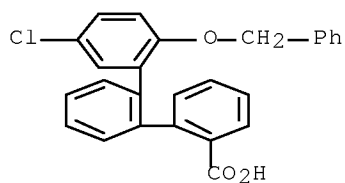
RN 690259-64-4 ZCAPLUS
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 4-amino-2''-(phenylmethoxy)-
(9CI) (CA INDEX NAME)



RN 690259-65-5 ZCAPLUS
CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 5-(acetamino)-2''-(
phenylmethoxy)- (9CI) (CA INDEX NAME)



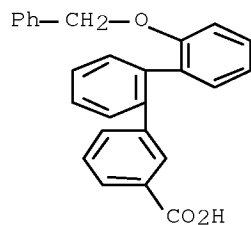
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CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 5''-chloro-2''-(phenylmethoxy)-
(9CI) (CA INDEX NAME)



10/533036

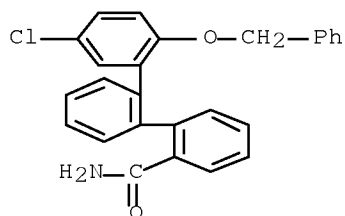
RN 690259-67-7 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 2''-(phenylmethoxy)- (9CI) (CA INDEX NAME)



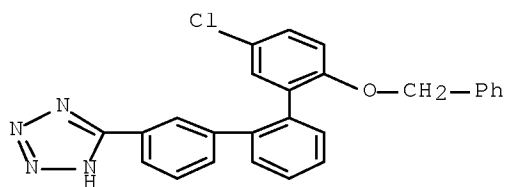
RN 690259-68-8 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-2-carboxamide, 5''-chloro-2''-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 690259-69-9 ZCAPLUS

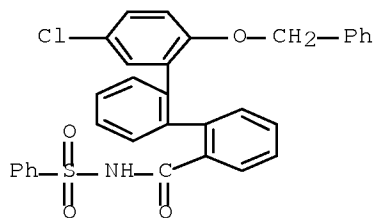
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RN 690259-70-2 ZCAPLUS

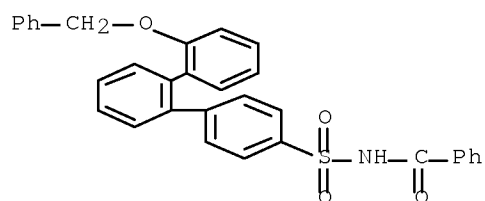
CN [1,1':2',1''-Terphenyl]-2-carboxamide, 5''-chloro-2''-(phenylmethoxy)-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

10/533036



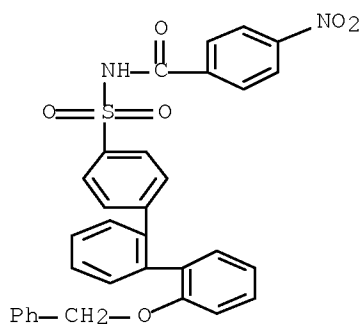
RN 690259-71-3 ZCAPLUS

CN Benzamide, N-[[2'-(phenylmethoxy)[1,1':2',1''-terphenyl]-4-yl]sulfonyl]-
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RN 690259-72-4 ZCAPLUS

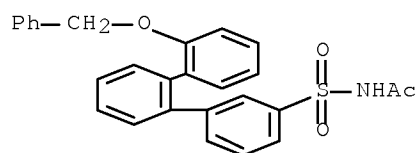
CN Benzamide, 4-nitro-N-[[2'-(phenylmethoxy)[1,1':2',1''-terphenyl]-4-yl]sulfonyl]-
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RN 690259-73-5 ZCAPLUS

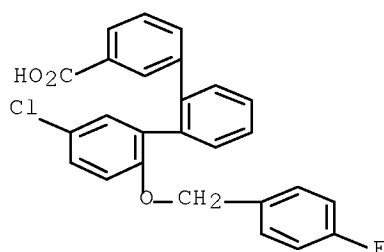
CN Acetamide, N-[[2'-(phenylmethoxy)[1,1':2',1''-terphenyl]-3-yl]sulfonyl]-
(9CI) (CA INDEX NAME)

10/533036



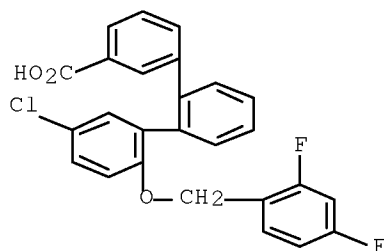
RN 690259-75-7 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-chloro-2''-[(4-fluorophenyl)methoxy]- (9CI) (CA INDEX NAME)



RN 690259-76-8 ZCAPLUS

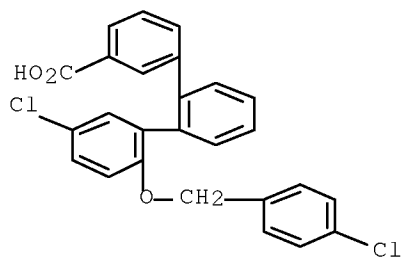
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-chloro-2''-[(2,4-difluorophenyl)methoxy]- (9CI) (CA INDEX NAME)



RN 690259-77-9 ZCAPLUS

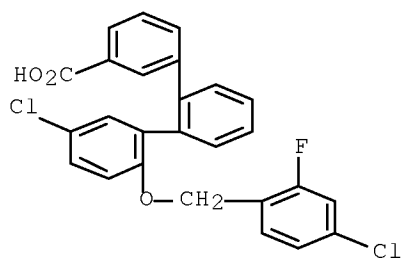
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-chloro-2''-[(4-chlorophenyl)methoxy]- (9CI) (CA INDEX NAME)

10/533036



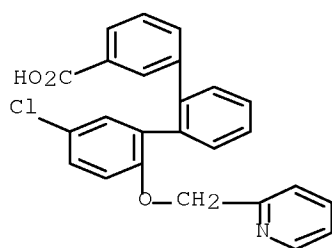
RN 690259-78-0 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-chloro-2''-[(4-chloro-2-fluorophenyl)methoxy]- (9CI) (CA INDEX NAME)



RN 690259-80-4 ZCAPLUS

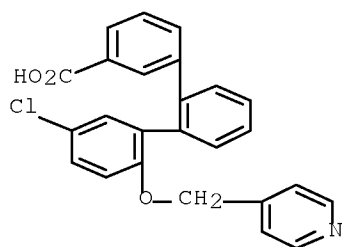
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-chloro-2''-(2-pyridinylmethoxy)- (9CI) (CA INDEX NAME)



RN 690259-81-5 ZCAPLUS

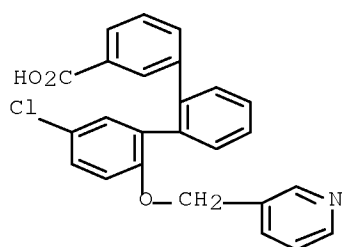
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-chloro-2''-(4-pyridinylmethoxy)- (9CI) (CA INDEX NAME)

10/533036



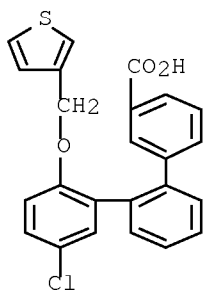
RN 690259-82-6 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5'''-chloro-2'''-(3-pyridinylmethoxy)- (9CI) (CA INDEX NAME)



RN 690259-84-8 ZCAPLUS

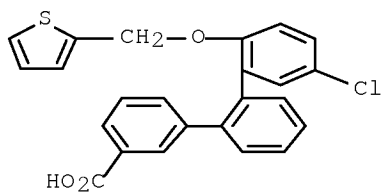
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5'''-chloro-2'''-(3-thienylmethoxy)- (9CI) (CA INDEX NAME)



RN 690259-85-9 ZCAPLUS

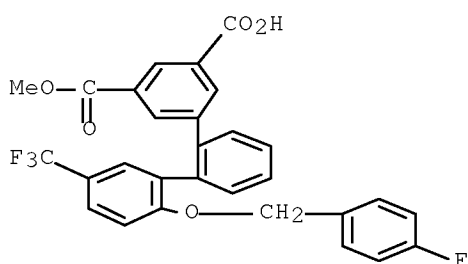
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5'''-chloro-2'''-(2-thienylmethoxy)- (9CI) (CA INDEX NAME)

10/533036



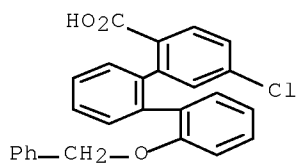
RN 690259-91-7 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3,5-dicarboxylic acid, 2''-[4-(4-fluorophenyl)methoxy]-5''-(trifluoromethyl)-, monomethyl ester (9CI) (CA INDEX NAME)



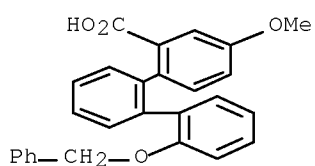
RN 690259-92-8 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 5-chloro-2''-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 690259-93-9 ZCAPLUS

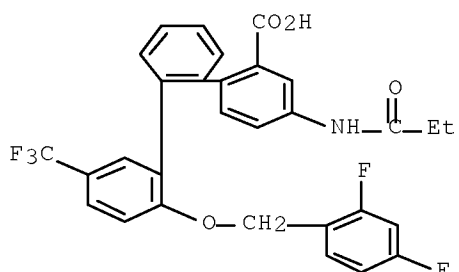
CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 4-methoxy-2''-(phenylmethoxy)- (9CI) (CA INDEX NAME)



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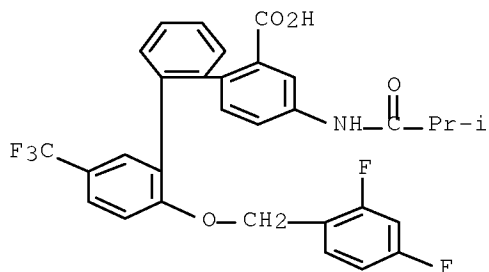
RN 690259-94-0 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 2''-[(2,4-difluorophenyl)methoxy]-4-[(1-oxopropyl)amino]-5''-(trifluoromethyl)- (9CI) (CA INDEX NAME)



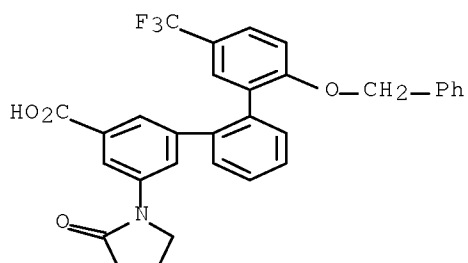
RN 690259-95-1 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 2''-[(2,4-difluorophenyl)methoxy]-4-[(2-methyl-1-oxopropyl)amino]-5''-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 690259-96-2 ZCAPLUS

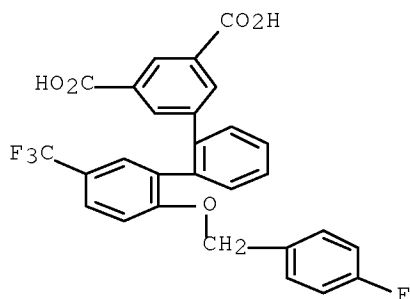
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5-(2-oxo-1-pyrrolidinyl)-2''-(phenylmethoxy)-5''-(trifluoromethyl)- (9CI) (CA INDEX NAME)



10/533036

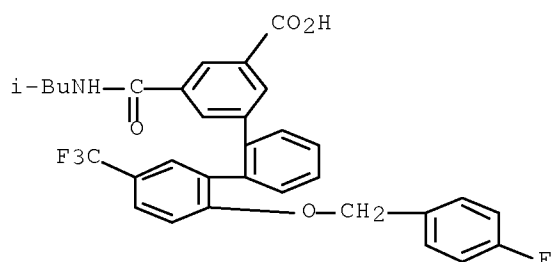
RN 690259-97-3 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3,5-dicarboxylic acid, 2''-[(4-fluorophenyl)methoxy]-5''-(trifluoromethyl)- (9CI) (CA INDEX NAME)



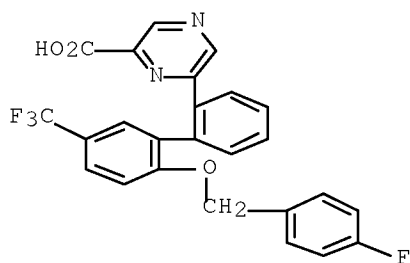
RN 690259-98-4 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 2''-[(4-fluorophenyl)methoxy]-5-[[(2-methylpropyl)amino]carbonyl]-5''-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 690259-99-5 ZCAPLUS

CN 2-Pyrazinecarboxylic acid, 6-[2''-[(4-fluorophenyl)methoxy]-5''-(trifluoromethyl)[1,1'-biphenyl]-2-yl]- (CA INDEX NAME)

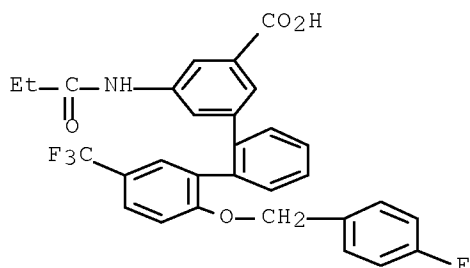


RN 690260-00-5 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 2''-[(4-fluorophenyl)methoxy]-5-

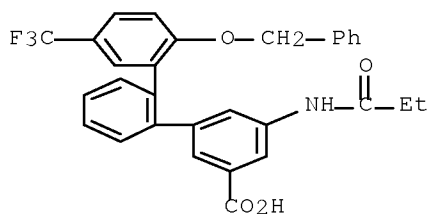
10/533036

[(1-oxopropyl)amino]-5'''-(trifluoromethyl)- (9CI) (CA INDEX NAME)



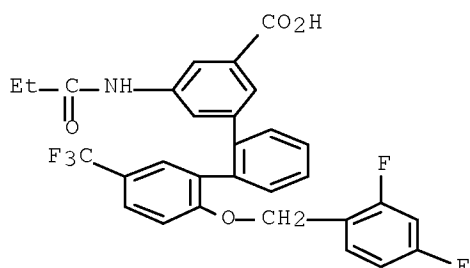
RN 690260-01-6 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5-[(1-oxopropyl)amino]-2''-(phenylmethoxy)-5'''-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 690260-02-7 ZCAPLUS

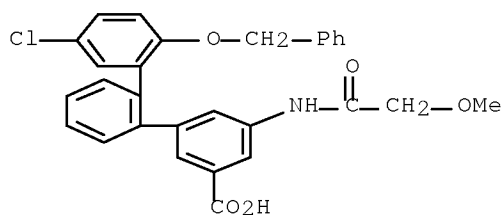
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 2''-[(2,4-fluorophenyl)methoxy]-5-[(1-oxopropyl)amino]-5'''-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 690260-03-8 ZCAPLUS

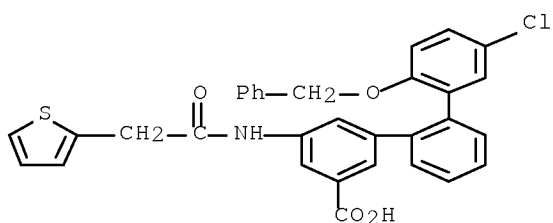
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5'''-chloro-5-[(methoxyacetyl)amino]-2''-(phenylmethoxy)- (9CI) (CA INDEX NAME)

10/533036



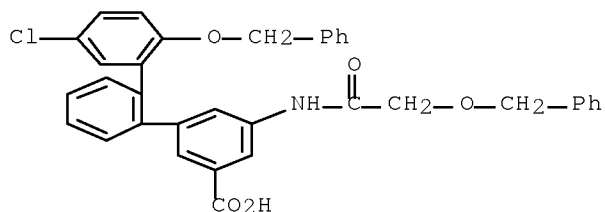
RN 690260-04-9 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-chloro-2''-(phenylmethoxy)-5-[(2-thienylacetyl)amino]- (9CI) (CA INDEX NAME)



RN 690260-05-0 ZCAPLUS

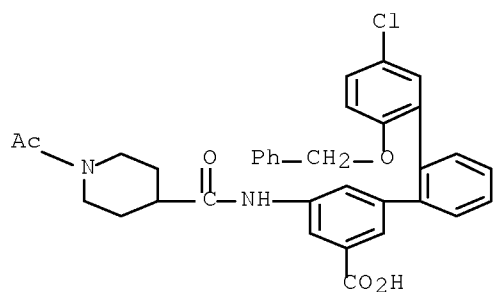
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-chloro-2''-(phenylmethoxy)-5-[(phenylmethoxy)acetyl]amino]- (9CI) (CA INDEX NAME)



RN 690260-06-1 ZCAPLUS

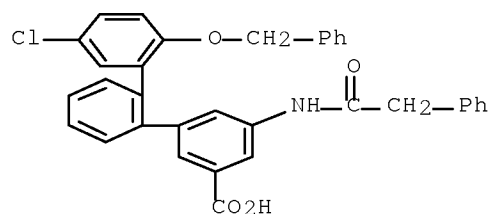
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5-[(1-acetyl-4-piperidinyl)carbonyl]amino]-5''-chloro-2''-(phenylmethoxy)- (9CI) (CA INDEX NAME)

10/533036



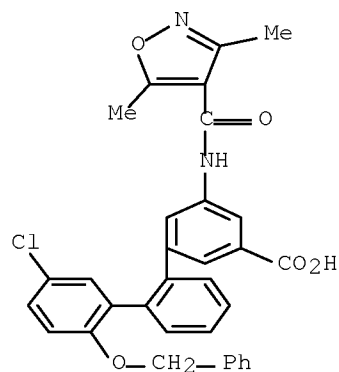
RN 690260-07-2 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5'''-chloro-5-[(phenylacetyl)amino]-2'''-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 690260-08-3 ZCAPLUS

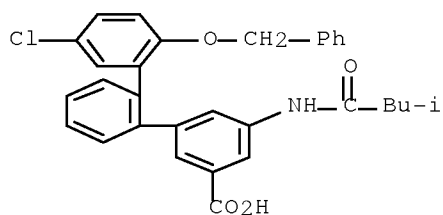
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5'''-chloro-5-[(3,5-dimethyl-4-isoxazolyl)carbonyl]amino]-2'''-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 690260-09-4 ZCAPLUS

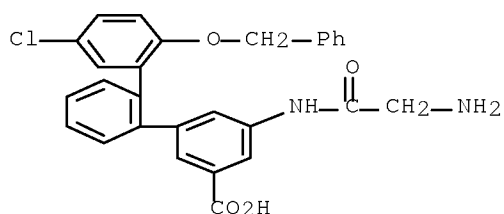
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5'''-chloro-5-[(3-methyl-1-oxobutyl)amino]-2'''-(phenylmethoxy)- (9CI) (CA INDEX NAME)

10/533036



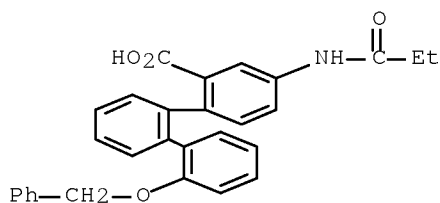
RN 690260-10-7 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5-[(aminoacetyl)amino]-5''-chloro-2''-(phenylmethoxy)- (9CI) (CA INDEX NAME)



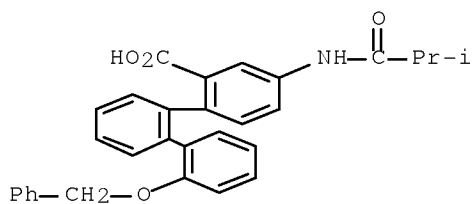
RN 690260-11-8 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 4-[(1-oxopropyl)amino]-2''-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 690260-12-9 ZCAPLUS

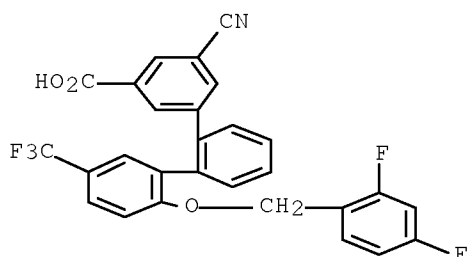
CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 4-[(2-methyl-1-oxopropyl)amino]-2''-(phenylmethoxy)- (9CI) (CA INDEX NAME)



10/533036

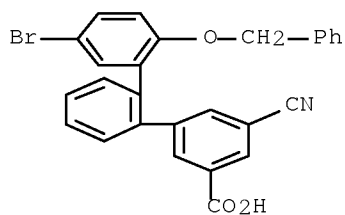
RN 690260-13-0 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5-cyano-2''-[(2,4-difluorophenyl)methoxy]-5''-(trifluoromethyl)- (9CI) (CA INDEX NAME)



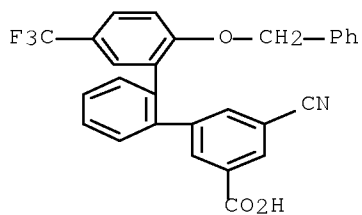
RN 690260-14-1 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-bromo-5-cyano-2''-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 690260-15-2 ZCAPLUS

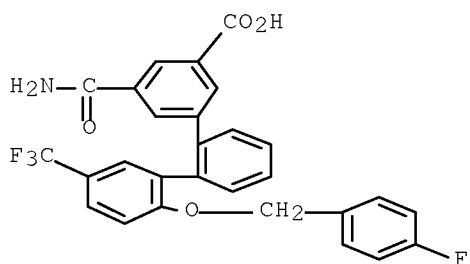
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5-cyano-2''-(phenylmethoxy)-5''-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 690260-16-3 ZCAPLUS

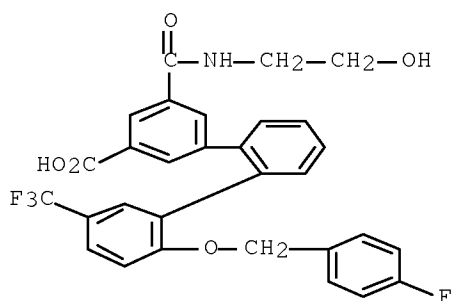
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5-(aminocarbonyl)-2''-[(4-fluorophenyl)methoxy]-5''-(trifluoromethyl)- (9CI) (CA INDEX NAME)

10/533036



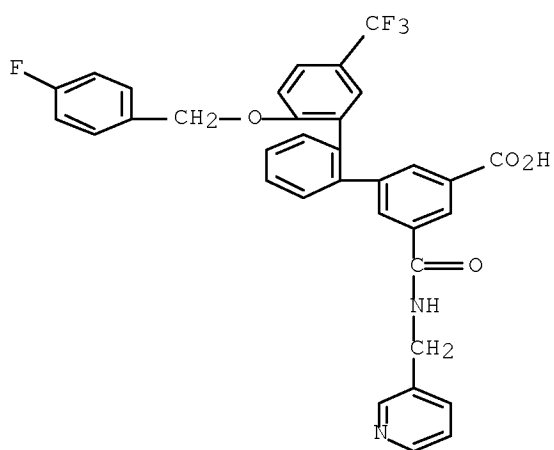
RN 690260-17-4 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 2''-[(4-fluorophenyl)methoxy]-5-[[2-(hydroxyethyl)amino]carbonyl]-5'''-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 690260-18-5 ZCAPLUS

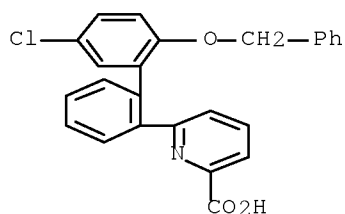
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 2''-[(4-fluorophenyl)methoxy]-5-[[3-pyridinylmethyl]amino]carbonyl]-5'''-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 690260-19-6 ZCAPLUS

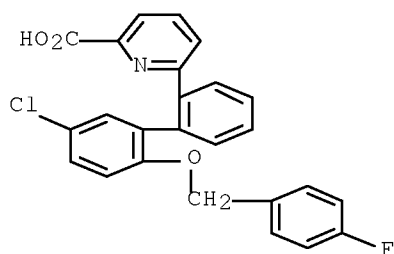
10/533036

CN 2-Pyridinecarboxylic acid, 6-[5'-chloro-2'-(phenylmethoxy)[1,1'-biphenyl]-2-yl]- (CA INDEX NAME)



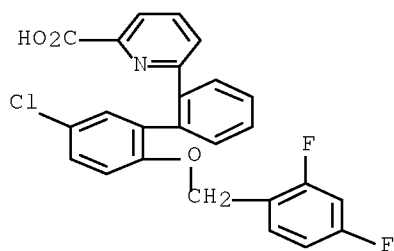
RN 690260-20-9 ZCAPLUS

CN 2-Pyridinecarboxylic acid, 6-[5'-chloro-2'-[(4-fluorophenyl)methoxy][1,1'-biphenyl]-2-yl]- (CA INDEX NAME)



RN 690260-21-0 ZCAPLUS

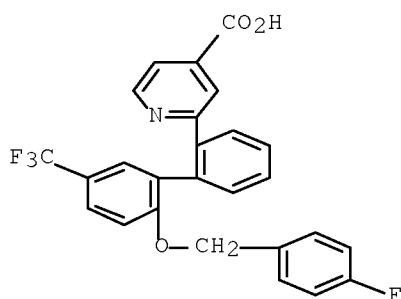
CN 2-Pyridinecarboxylic acid, 6-[5'-chloro-2'-[(2,4-difluorophenyl)methoxy][1,1'-biphenyl]-2-yl]- (CA INDEX NAME)



RN 690260-22-1 ZCAPLUS

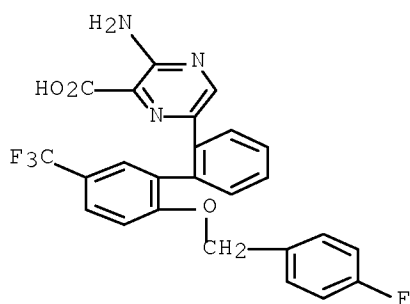
CN 4-Pyridinecarboxylic acid, 2-[2'-[(4-fluorophenyl)methoxy]-5'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]- (CA INDEX NAME)

10/533036



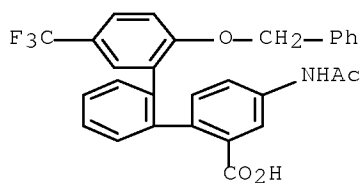
RN 690260-23-2 ZCAPLUS

CN 2-Pyrazinecarboxylic acid, 3-amino-6-[2'-[(4-fluorophenyl)methoxy]-5'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]- (CA INDEX NAME)



RN 690260-24-3 ZCAPLUS

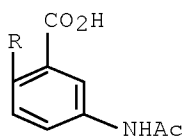
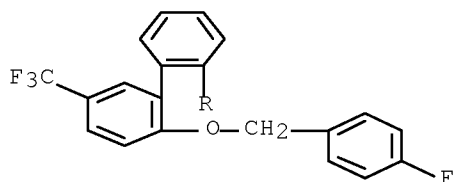
CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 4-(acetylamino)-2''-(phenylmethoxy)-5''-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 690260-25-4 ZCAPLUS

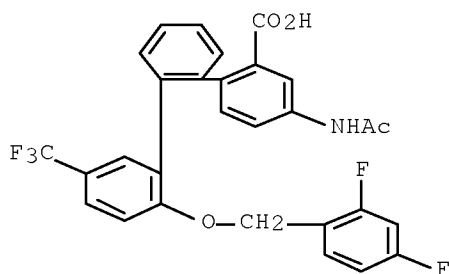
CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 4-(acetylamino)-2''-[(4-fluorophenyl)methoxy]-5''-(trifluoromethyl)- (9CI) (CA INDEX NAME)

10/533036



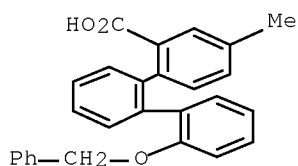
RN 690260-26-5 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 4-(acetylamino)-2''-[(2,4-difluorophenyl)methoxy]-5''-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 690260-27-6 ZCAPLUS

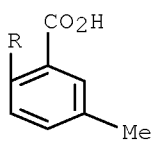
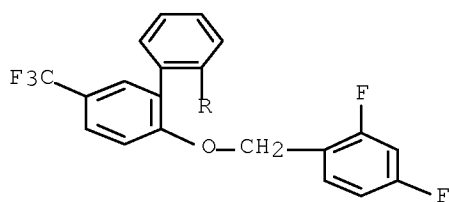
CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 4-methyl-2''-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 690260-28-7 ZCAPLUS

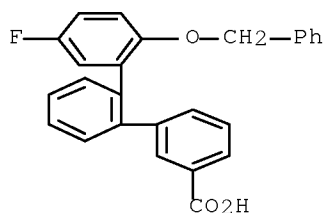
CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 2''-[(2,4-difluorophenyl)methoxy]-4-methyl-5''-(trifluoromethyl)- (9CI) (CA INDEX NAME)

10/533036



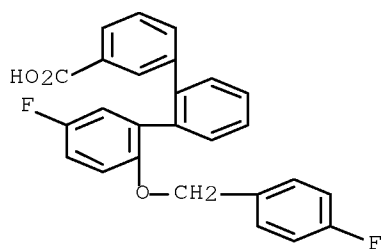
RN 690260-29-8 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-fluoro-2''-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 690260-30-1 ZCAPLUS

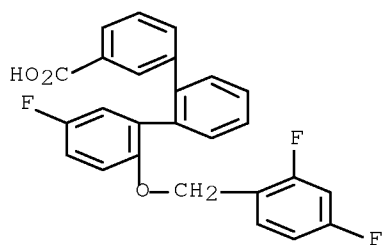
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-fluoro-2''-[(4-fluorophenyl)methoxy]- (9CI) (CA INDEX NAME)



RN 690260-31-2 ZCAPLUS

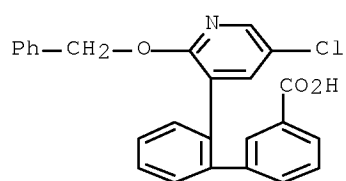
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 2''-[(2,4-difluorophenyl)methoxy]-5''-fluoro- (9CI) (CA INDEX NAME)

10/533036



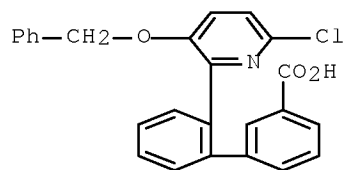
RN 690260-32-3 ZCAPLUS

CN [1,1'-Biphenyl]-3-carboxylic acid, 2'-[5-chloro-2-(phenylmethoxy)-3-pyridinyl]- (CA INDEX NAME)



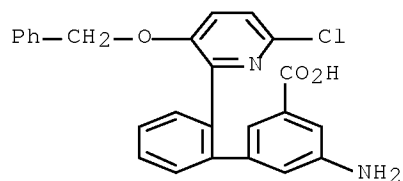
RN 690260-33-4 ZCAPLUS

CN [1,1'-Biphenyl]-3-carboxylic acid, 2'-[6-chloro-3-(phenylmethoxy)-2-pyridinyl]- (CA INDEX NAME)



RN 690260-34-5 ZCAPLUS

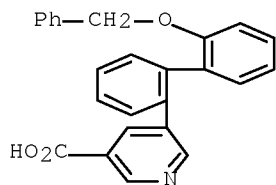
CN [1,1'-Biphenyl]-3-carboxylic acid, 5-amino-2'-[6-chloro-3-(phenylmethoxy)-2-pyridinyl]- (CA INDEX NAME)



RN 690260-35-6 ZCAPLUS

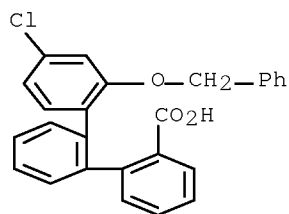
10/533036

CN 3-Pyridinecarboxylic acid, 5-[2'-(phenylmethoxy)[1,1'-biphenyl]-2-yl]-
(CA INDEX NAME)



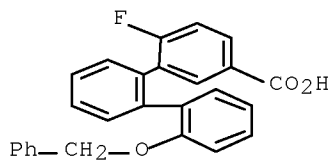
RN 690260-36-7 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 4'''-chloro-2'''-(phenylmethoxy)-
(9CI) (CA INDEX NAME)



RN 690260-37-8 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 6-fluoro-2'''-(phenylmethoxy)-
(9CI) (CA INDEX NAME)

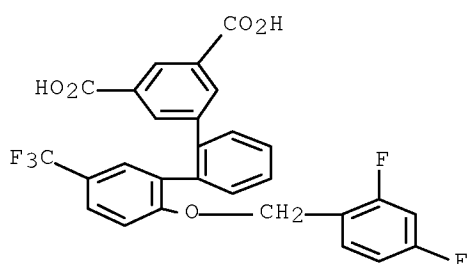


IT 690261-75-7

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of benzoic acids and related compds. as EP1 receptor
antagonists for the treatment of prostaglandin mediated diseases.)

RN 690261-75-7 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3,5-dicarboxylic acid, 2'''-[(2,4-
difluorophenyl)methoxy]-5'''-(trifluoromethyl)- (9CI) (CA INDEX NAME)



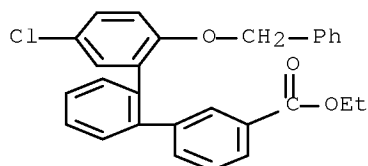
IT 690260-39-0P 690260-42-5P 690260-45-8P
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 690260-51-6P 690260-52-7P 690260-53-8P
 690260-54-9P 690260-55-0P 690260-57-2P
 690260-60-7P 690260-61-8P 690260-62-9P
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 690260-66-3P 690260-72-1P 690260-73-2P
 690260-74-3P 690260-75-4P 690260-77-6P
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 690261-17-7P 690261-18-8P 690261-19-9P
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 690261-48-4P 690261-49-5P 690261-50-8P
 690261-52-0P 690261-55-3P 690261-56-4P
 690261-57-5P 690261-61-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzoic acids and related compds. as EP1 receptor antagonists for the treatment of prostaglandin mediated diseases.)

RN 690260-39-0 ZCAPLUS

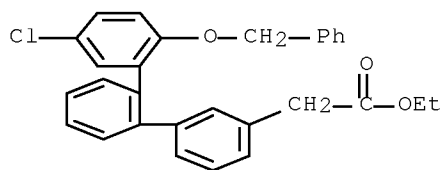
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-chloro-2''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



RN 690260-42-5 ZCAPLUS

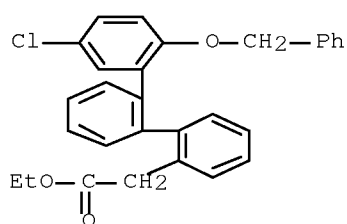
CN [1,1':2',1''-Terphenyl]-3-acetic acid, 5''-chloro-2''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)

10/533036



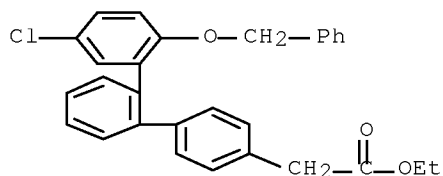
RN 690260-45-8 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-2-acetic acid, 5'''-chloro-2'''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



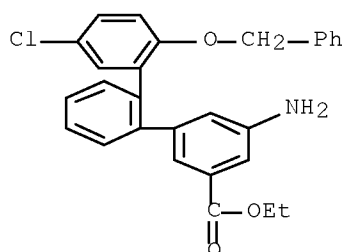
RN 690260-47-0 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-4-acetic acid, 5'''-chloro-2'''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



RN 690260-49-2 ZCAPLUS

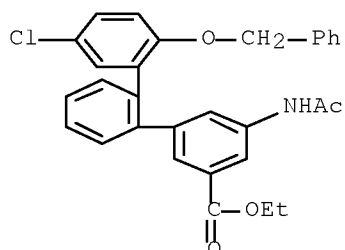
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5-amino-5'''-chloro-2'''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



10/533036

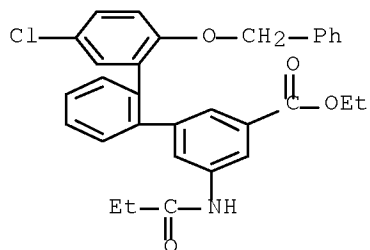
RN 690260-50-5 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5-(acetylamino)-5''-chloro-2''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



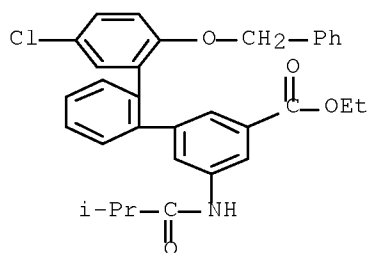
RN 690260-51-6 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-chloro-5-[(1-oxopropyl)amino]-2''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



RN 690260-52-7 ZCAPLUS

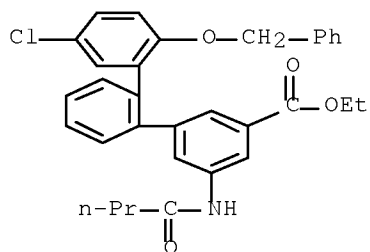
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-chloro-5-[(2-methyl-1-oxopropyl)amino]-2''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



RN 690260-53-8 ZCAPLUS

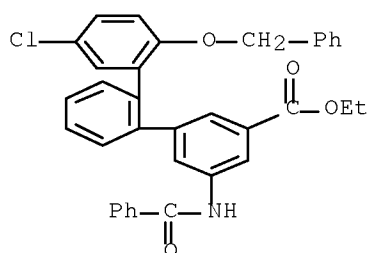
10/533036

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-chloro-5-[(1-oxobutyl)amino]-2''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



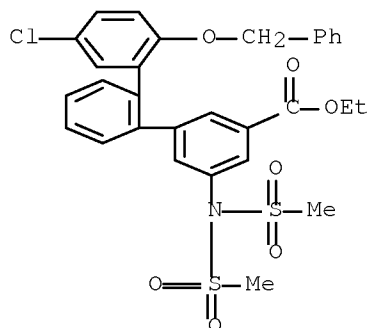
RN 690260-54-9 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5-(benzoylamino)-5''-chloro-2''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



RN 690260-55-0 ZCAPLUS

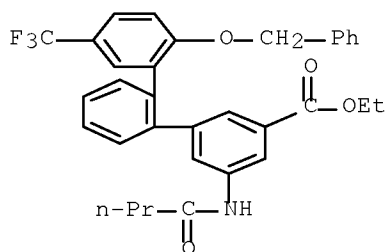
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5-[bis(methylsulfonyl)amino]-5''-chloro-2''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



RN 690260-57-2 ZCAPLUS

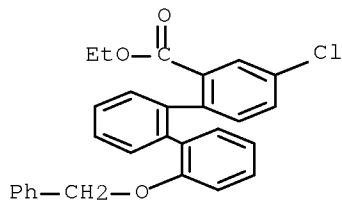
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5-[(1-oxobutyl)amino]-2''-(phenylmethoxy)-5''-(trifluoromethyl)-, ethyl ester (9CI) (CA INDEX NAME)

10/533036



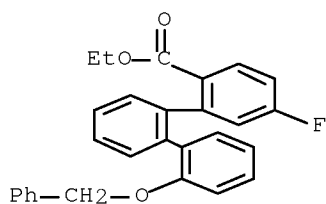
RN 690260-60-7 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 4-chloro-2''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



RN 690260-61-8 ZCAPLUS

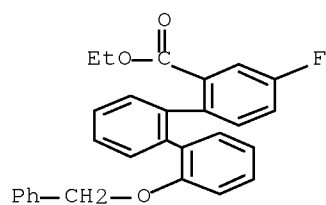
CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 5-fluoro-2''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



RN 690260-62-9 ZCAPLUS

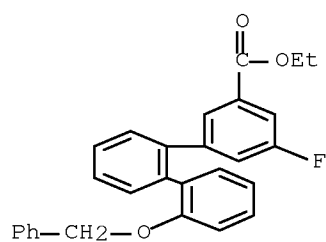
CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 4-fluoro-2''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)

10/533036



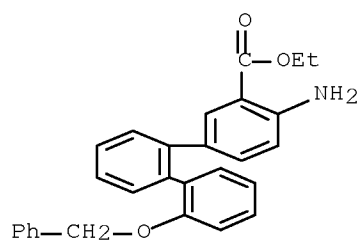
RN 690260-63-0 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5-fluoro-2''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



RN 690260-64-1 ZCAPLUS

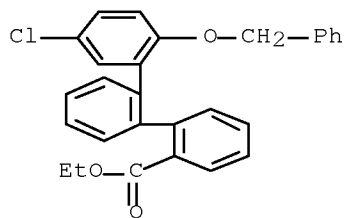
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 4-amino-2''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



RN 690260-65-2 ZCAPLUS

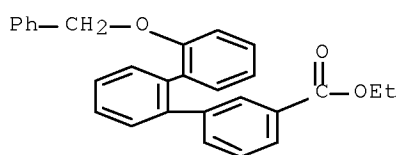
CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 5''-chloro-2''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)

10/533036



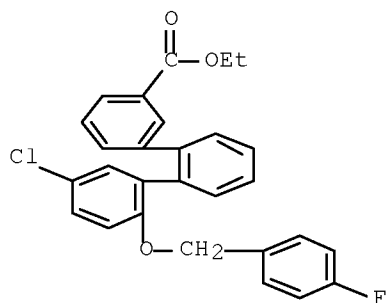
RN 690260-66-3 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 2''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



RN 690260-72-1 ZCAPLUS

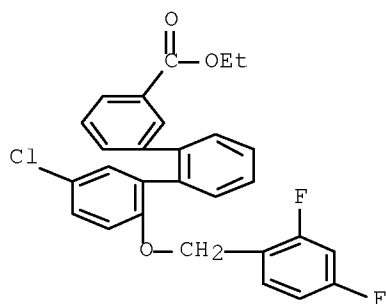
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-chloro-2''-[(4-fluorophenyl)methoxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 690260-73-2 ZCAPLUS

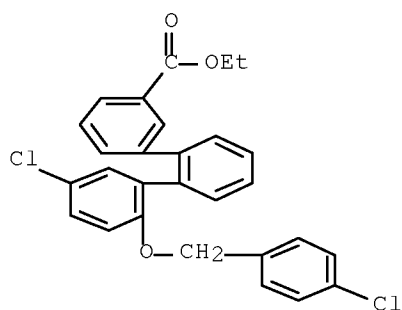
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-chloro-2''-[(2,4-difluorophenyl)methoxy]-, ethyl ester (9CI) (CA INDEX NAME)

10/533036



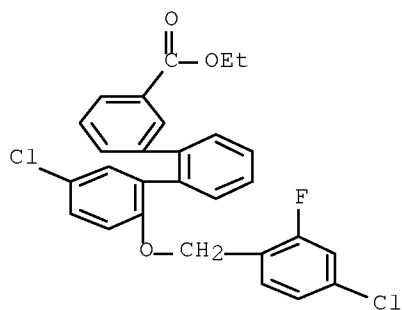
RN 690260-74-3 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5'''-chloro-2'''-[(4-chlorophenyl)methoxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 690260-75-4 ZCAPLUS

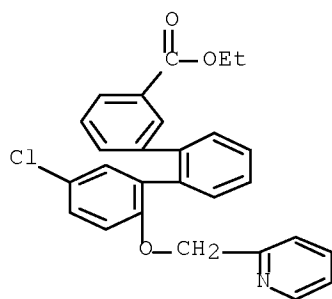
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5'''-chloro-2'''-[(4-chloro-2-fluorophenyl)methoxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 690260-77-6 ZCAPLUS

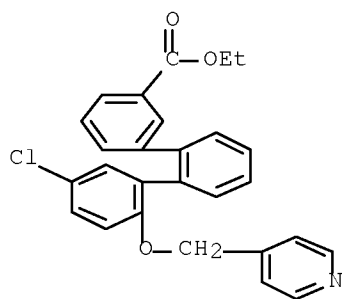
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5'''-chloro-2'''-(2-pyridinylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)

10/533036



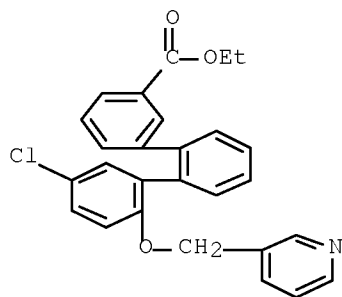
RN 690260-78-7 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5'''-chloro-2'''-(4-pyridinylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



RN 690260-79-8 ZCAPLUS

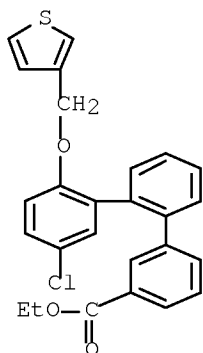
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5'''-chloro-2'''-(3-pyridinylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



RN 690260-81-2 ZCAPLUS

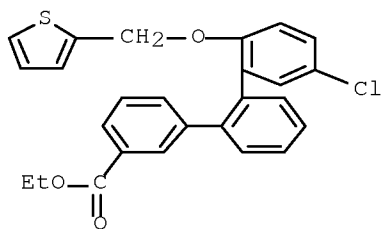
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5'''-chloro-2'''-(3-thienylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)

10/533036



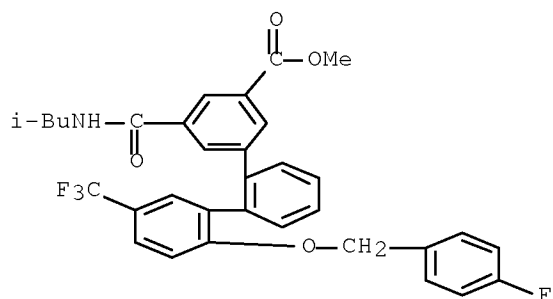
RN 690260-82-3 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-chloro-2''-(2-thienylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



RN 690261-01-9 ZCAPLUS

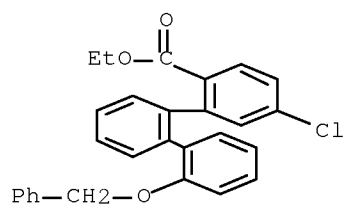
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 2''-[(4-fluorophenyl)methoxy]-5''-[[2-methylpropyl)amino]carbonyl]-5''-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 690261-06-4 ZCAPLUS

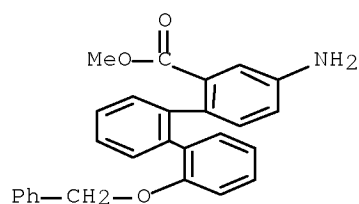
CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 5-chloro-2''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)

10/533036



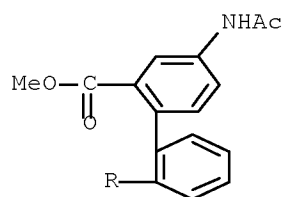
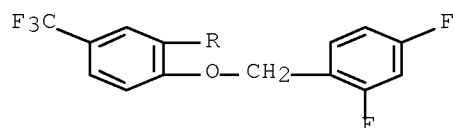
RN 690261-07-5 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 4-amino-2''-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)



RN 690261-08-6 ZCAPLUS

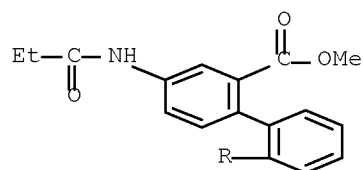
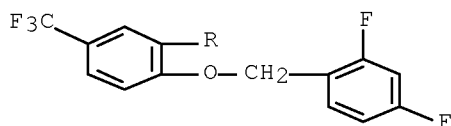
CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 4-(acetylamino)-2''-[(2,4-difluorophenyl)methoxy]-5''-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 690261-09-7 ZCAPLUS

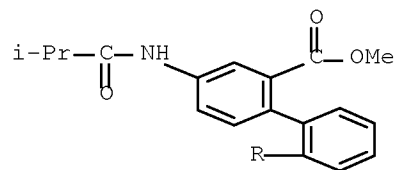
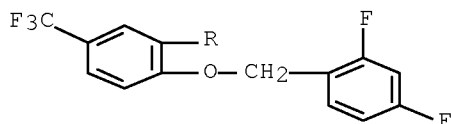
CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 2''-[(2,4-difluorophenyl)methoxy]-4''-[(1-oxopropyl)amino]-5''-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)

10/533036



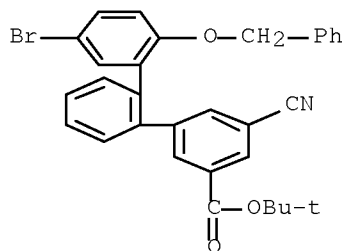
RN 690261-10-0 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 2''-[(2,4-difluorophenyl)methoxy]-4-[(2-methyl-1-oxopropyl)amino]-5''-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 690261-12-2 ZCAPLUS

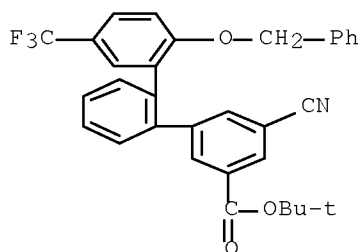
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-bromo-5-cyano-2''-(phenylmethoxy)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 690261-13-3 ZCAPLUS

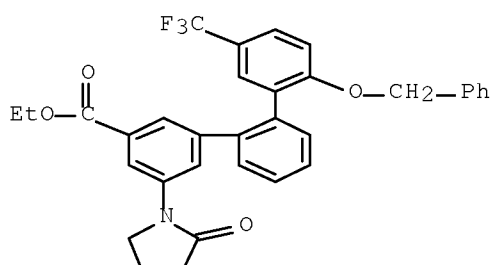
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5-cyano-2''-(phenylmethoxy)-5''-(trifluoromethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

10/533036



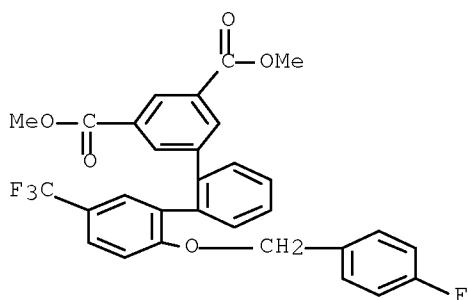
RN 690261-14-4 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5-(2-oxo-1-pyrrolidinyl)-2''-(phenylmethoxy)-5'''-(trifluoromethyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 690261-15-5 ZCAPLUS

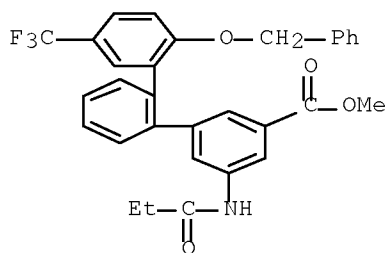
CN [1,1':2',1''-Terphenyl]-3,5-dicarboxylic acid, 2''-[(4-fluorophenyl)methoxy]-5'''-(trifluoromethyl)-, dimethyl ester (9CI) (CA INDEX NAME)



RN 690261-16-6 ZCAPLUS

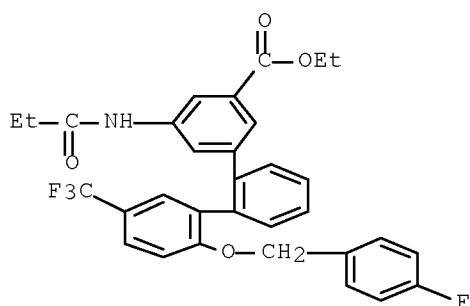
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5-[(1-oxopropyl)amino]-2''-(phenylmethoxy)-5'''-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)

10/533036



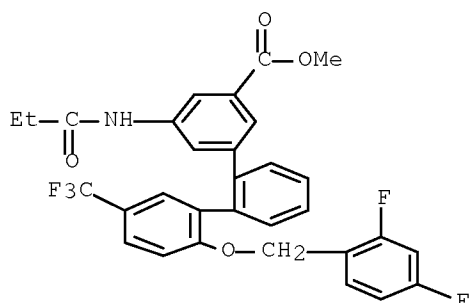
RN 690261-17-7 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 2''-[(4-fluorophenyl)methoxy]-5-[(1-oxopropyl)amino]-5'''-(trifluoromethyl)-, ethyl ester (9CI) (CA INDEX NAME)



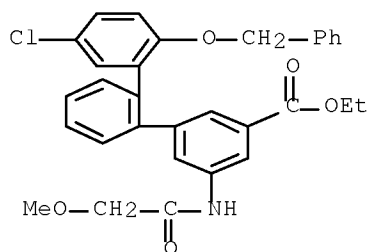
RN 690261-18-8 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 2''-[(2,4-difluorophenyl)methoxy]-5-[(1-oxopropyl)amino]-5'''-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)



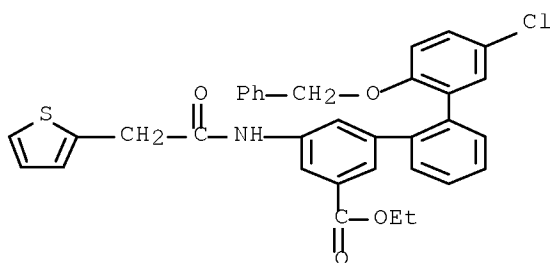
RN 690261-19-9 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5'''-chloro-5-[(methoxyacetyl)amino]-2''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



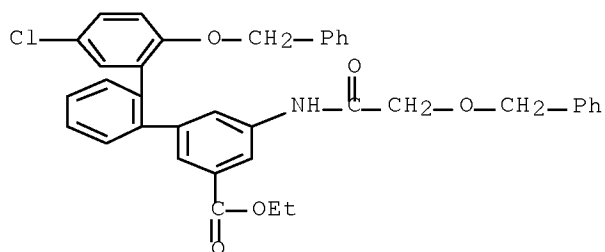
RN 690261-20-2 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5'''-chloro-2'''-(phenylmethoxy)-5-[(2-thienylacetyl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 690261-21-3 ZCAPLUS

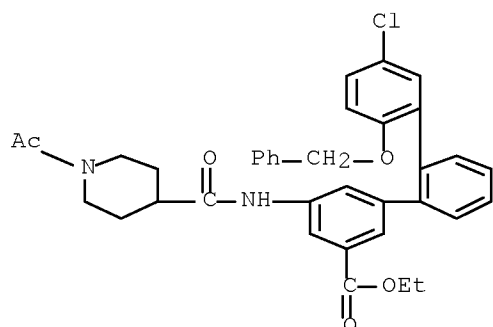
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5'''-chloro-2'''-(phenylmethoxy)-5-[[(phenylmethoxy)acetyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 690261-22-4 ZCAPLUS

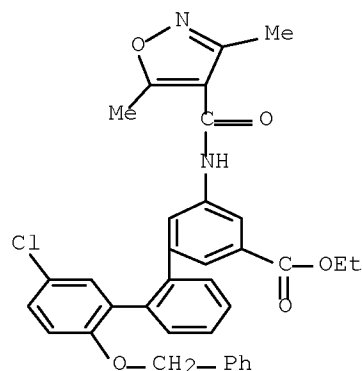
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5-[[(1-acetyl-4-piperidinyl)carbonyl]amino]-5'''-chloro-2'''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)

10/533036



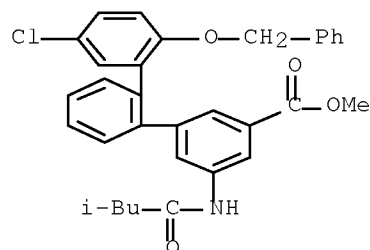
RN 690261-23-5 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-chloro-5-[[3,5-dimethyl-4-isoxazolyl)carbonyl]amino]-2''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



RN 690261-24-6 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-chloro-5-[(3-methyl-1-oxobutyl)amino]-2''-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)



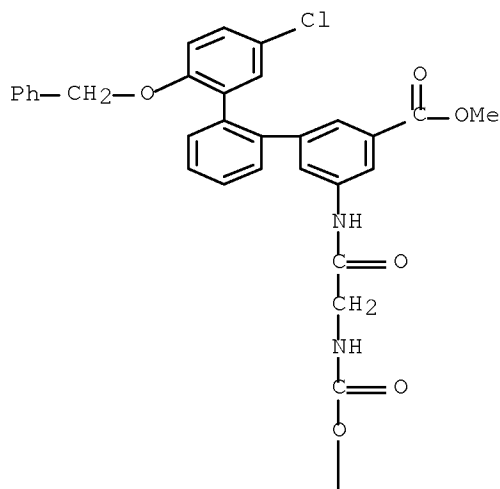
RN 690261-25-7 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-chloro-5-[[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]acetyl]amino]-2''-(phenylmethoxy)-, methyl ester

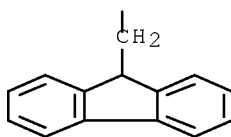
10/533036

(9CI) (CA INDEX NAME)

PAGE 1-A

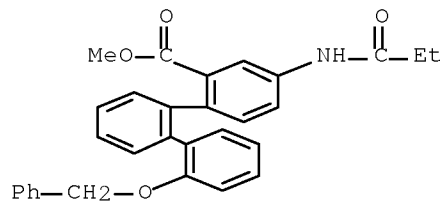


PAGE 2-A



RN 690261-26-8 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 4-[(1-oxopropyl)amino]-2''-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)

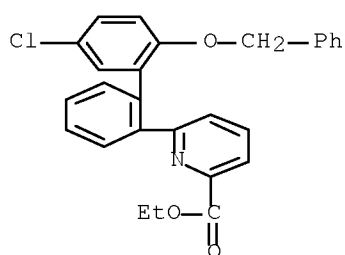


RN 690261-27-9 ZCAPLUS

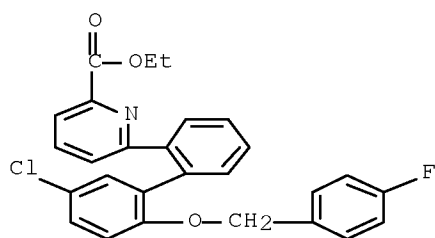
CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 4-[(2-methyl-1-oxopropyl)amino]-2''-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)

CCOC(=O)c1ccc(NC(=O)C)cc1-c2ccccc2Oc3ccccc3

CN 2-Pyridinecarboxylic acid, 6-[5'-chloro-2'-(phenylmethoxy)[1,1'-biphenyl]-2-yl]-, ethyl ester (CA INDEX NAME)

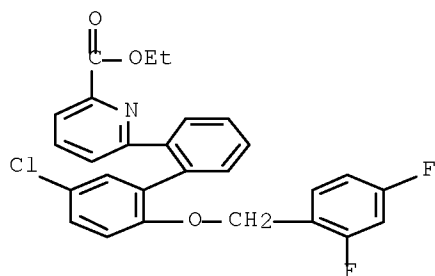


CN 2-Pyridinecarboxylic acid, 6-[5'-chloro-2'-[(4-fluorophenyl)methoxy][1,1'-biphenyl]-2-yl]-, ethyl ester (CA INDEX NAME)



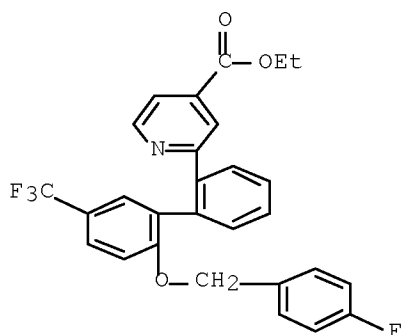
CN 2-Pyridinecarboxylic acid, 6-[5'-chloro-2'-[(2,4-difluorophenyl)methoxy][1,1'-biphenyl]-2-yl]-, ethyl ester (CA INDEX NAME)

10/533036



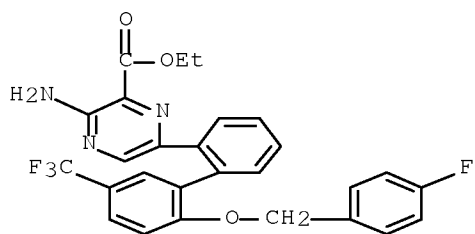
RN 690261-36-0 ZCAPLUS

CN 4-Pyridinecarboxylic acid, 2-[2'-[(4-fluorophenyl)methoxy]-5'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]-, ethyl ester (CA INDEX NAME)



RN 690261-37-1 ZCAPLUS

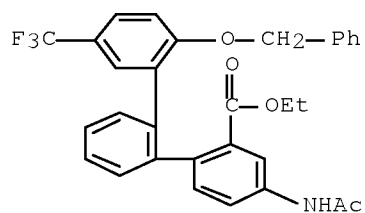
CN 2-Pyrazinecarboxylic acid, 3-amino-6-[2'-[(4-fluorophenyl)methoxy]-5'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]-, ethyl ester (CA INDEX NAME)



RN 690261-40-6 ZCAPLUS

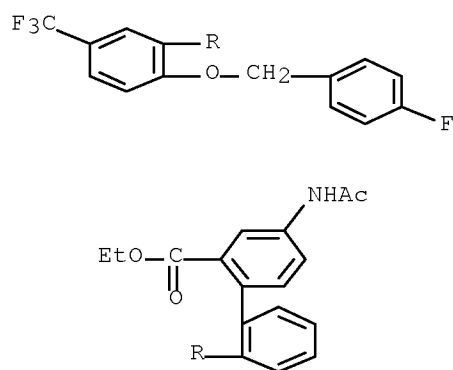
CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 4-(acetylamino)-2''-(phenylmethoxy)-5''-(trifluoromethyl)-, ethyl ester (9CI) (CA INDEX NAME)

10/533036



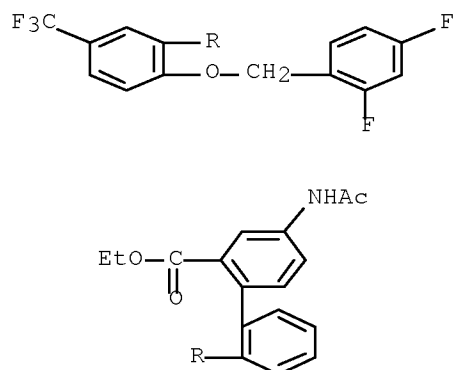
RN 690261-41-7 ZCAPLUS

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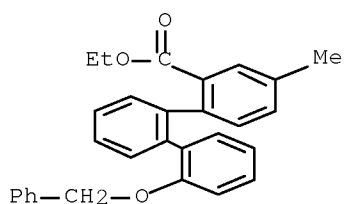
RN 690261-42-8 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 4-(acetylamino)-2''-[(2,4-difluorophenyl)methoxy]-5''-(trifluoromethyl)-, ethyl ester (9CI) (CA INDEX NAME)



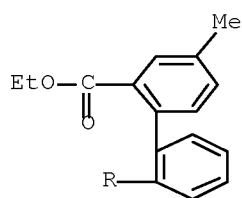
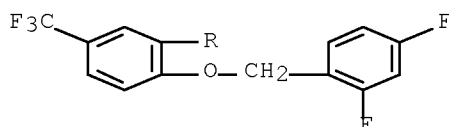
RN 690261-44-0 ZCAPLUS

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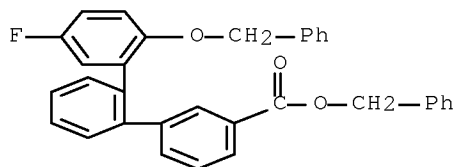
RN 690261-45-1 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-2-carboxylic acid, 2''-[(2,4-difluorophenyl)methoxy]-4-methyl-5''-(trifluoromethyl)-, ethyl ester (9CI)
(CA INDEX NAME)



RN 690261-48-4 ZCAPLUS

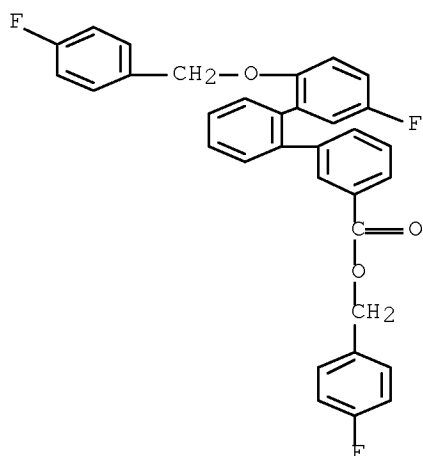
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-fluoro-2''-(phenylmethoxy)-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 690261-49-5 ZCAPLUS

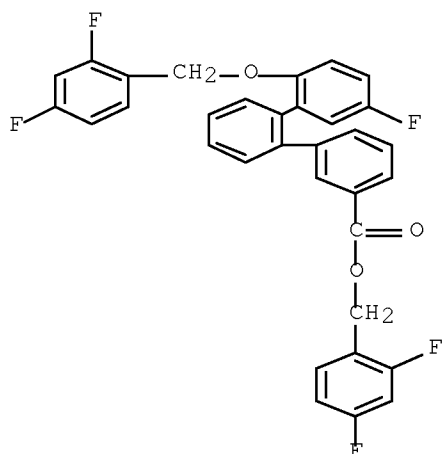
CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 5''-fluoro-2''-[(4-fluorophenyl)methoxy]-, (4-fluorophenyl)methyl ester (9CI) (CA INDEX NAME)

10/533036



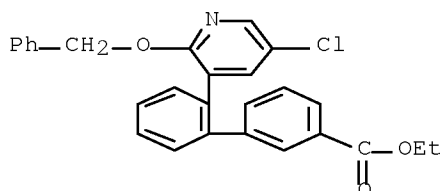
RN 690261-50-8 ZCAPLUS

CN [1,1':2',1''-Terphenyl]-3-carboxylic acid, 2''-[(2,4-difluorophenyl)methoxy]-5''-fluoro-, (2,4-difluorophenyl)methyl ester (9CI) (CA INDEX NAME)



RN 690261-52-0 ZCAPLUS

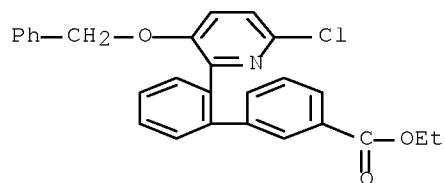
CN [1,1'-Biphenyl]-3-carboxylic acid, 2'-[5-chloro-2-(phenylmethoxy)-3-pyridinyl]-, ethyl ester (CA INDEX NAME)



10/533036

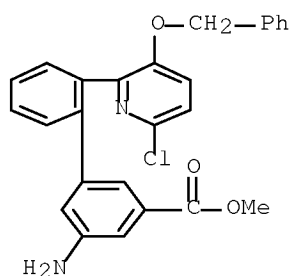
RN 690261-55-3 ZCAPLUS

CN [1,1'-Biphenyl]-3-carboxylic acid, 2'-[6-chloro-3-(phenylmethoxy)-2-pyridinyl]-, ethyl ester (CA INDEX NAME)



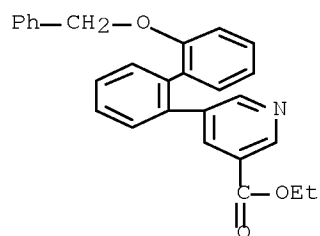
RN 690261-56-4 ZCAPLUS

CN [1,1'-Biphenyl]-3-carboxylic acid, 5-amino-2'-[6-chloro-3-(phenylmethoxy)-2-pyridinyl]-, methyl ester (CA INDEX NAME)



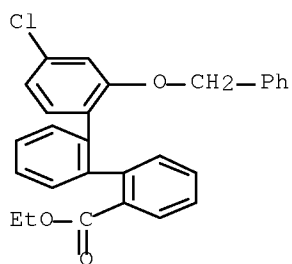
RN 690261-57-5 ZCAPLUS

CN 3-Pyridinecarboxylic acid, 5-[2'-(phenylmethoxy)[1,1'-biphenyl]-2-yl]-, ethyl ester (CA INDEX NAME)



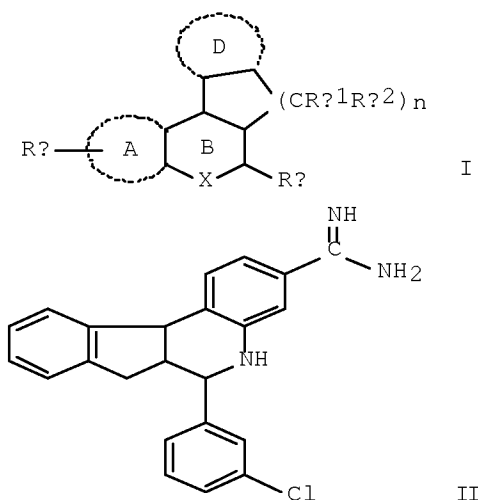
RN 690261-61-1 ZCAPLUS

CN [1,1':2',1'''-Terphenyl]-2-carboxylic acid, 4'''-chloro-2'''-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



L50 ANSWER 9 OF 13 ZCAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2003:154202 ZCAPLUS Full-text
 DOCUMENT NUMBER: 138:187653
 TITLE: Preparation of tetracyclic tetrahydroquinoline
 inhibitors of serine proteases as antithrombotic
 agents
 INVENTOR(S): Zhou, Jinglan; Robinson, Leslie; Gubernator, Nikolaus;
 Saiah, Eddine; Bai, Xu; Gu, Xin
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
 SOURCE: PCT Int. Appl., 311 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003015715	A2	20030227	WO 2002-US26967	20020820
WO 2003015715	A3	20031120		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002331707	A1	20030303	AU 2002-331707	20020820
US 20030225110	A1	20031204	US 2002-223860	20020820
US 6825208	B2	20041130		
EP 1425015	A2	20040609	EP 2002-768687	20020820
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
PRIORITY APPLN. INFO.:			US 2001-313549P	P 20010820
			WO 2002-US26967	W 20020820
OTHER SOURCE(S):			MARPAT 138:187653	
GI				



AB This invention relates generally to tetracyclic tetrahydroquinoline compds. (shown as I; variables defined below; e.g. 6-(3-chlorophenyl)- 5,6a,7,11b-tetrahydro-6H-indeno[2,1-c]quinoline-3-carboxamide), and analogs thereof, and pharmaceutically acceptable salt forms thereof, which are selective inhibitors of serine protease enzymes, especially factor VIIa; pharmaceutical compns. containing the same; and methods of using the same as anticoagulant agents for modulation of the coagulation cascade. Although the methods of preparation are not claimed, 240 example preps. are included. Compds. I demonstrated K_i values of $\leq 50 \mu\text{M}$ in assays of inhibition of 5 coagulation factors; values for specific I are not given. For I: X is -NH-, -O-, -S-, -S(O)-, or -S(O)₂-; ring A, including the two atoms of Ring B to which it is attached, is a Ph ring; wherein, in addition to RA, ring A is substituted with 0-3 RAA; alternatively, ring A, including the two atoms of Ring B to which it is attached, is a 5-6 membered aromatic system consisting of C atoms and 1 or 2 N atoms, and ring A, in addition to RA, is substituted with 0-3 RAA; alternatively ring A and substituent RA, including the two atoms of Ring B to which ring A is attached, is a 5-6 membered heterocyclic ring; alternatively ring A and substituent RA, including the two atoms of Ring B to which Ring A is attached, is a Ph ring wherein RA is combined with RAA and two C atoms of Ring A to form a cyclic group. RA = F, Cl, Br, OH, OCH₃, OCH₂CH₃, OCHMe₂, -OCH₂CH₂CH₃, -OCF₃, -CN, -NH₂, -NH₂NH₃, C(:NR₁)NR₂R₃, R-NHC(:NR₁)NR₂R₃, -NR₂CH(:NR₁), -C(O)NR₂R₃, -S(O)₂NR₂aR₃1, -NR₂R₃, -CH₂NR₂R₃, -CH₂CH₂NR₂R₃, -CHMeNR₂R₃, -CH₂CH₂CH₂NR₂R₃, -CH₂CHMeNR₂R₃, -CH₂CHMeNR₂R₃, -CHMeCH₂NR₂R₃, -CMe₂NR₂R₃, -(C1-3alkyl)CO₂H, -O-(C1-3 alkyl)CO₂H, -S-(C1-3 alkyl)CO₂H, and -(C1-3 alkyl)CH(NH₂)CO₂H, -C(O)NHCH₂CH₂NH(C1-3 alkyl), -C(O)NHCH₂CH₂N(C1-3 alkyl)₂, -CH₂NCOO(C1-4 alkyl), imidazol-1-yl, substituted 2,5-dihydro-5-oxopyrazol-3-yl, 4,5-dihydroimidazol-2-ylamino, and 1,4,5,6-tetrahydropyrimidin-2-ylamino. RB is a 5-10 membered ring system consisting of C atoms and 0, 1 or 2 heteroatoms N, O, and S; wherein said ring system may be unsatd., partially unsatd. or saturated; and RB is substituted with 0-5 substituents = Rb₁, Rb₂, Rb₃, Rb₄, and Rb₅; alternatively RB is C1-4 alkyl substituted with 5-10 membered ring system consisting of C atoms and 0, 1 or 2 heteroatoms N, O, and S; wherein said ring system may be unsatd., partially unsatd. or saturated; and RB is substituted with 0-5 substituents = Rb₁, Rb₂, Rb₃, Rb₄, and Rb₅. N is 1, 2, or 3; RC₁ = H, halo, -CN, -NO₂, OR₁₂, SR₁₂, NR₁₂R₁₃, C(O)H, C(O)R₁₂, C(O)NR₁₂R₁₃, OC(O)NR₁₂R₁₃, NR₁₄C(O)R₁₂, NR₁₄C(S)R₁₂, C(O)OR₁₂, OC(O)R₁₂, OC(O)OR₁₂, CH(:NR₁₄)NR₁₂R₁₃, NHC(:NR₁₄)NR₁₂R₁₃, S(O)R₁₂, S(O)₂R₁₂, S(O)NR₁₂R₁₃, S(O)₂NR₁₂R₁₃, NR₁₄S(O)R₁₂, NR₁₄S(O)₂R₁₂, NR₁₂C(O)R₁₅,

NR12C(S)R15, NR12C(O)OR15, NR12S(O)2R15, NR12C(O)NHR15, C1-4 haloalkyl, (C1-4 haloalkyl)oxy, C1-10 alkyl substituted with 0-3 RCC, C2-10 alkenyl substituted with 0-3 RCC, C2-10 alkynyl substituted with 0-3 RCC, C1-10 alkoxy substituted with 0-3 RCC, C3-6 carbocyclic residue substituted with 0-3 RCC, aryl substituted with 0-5 RCC, and 5-6 membered heterocyclic ring system containing = 1-4 heteroatoms N, O, and S substituted with 0-3 RCC; RC2 = H, C1-4 alkyl, OH, CN, and C1-4 alkoxy. Ring D, including the two atoms of Ring C to which it is attached, is a 5-6 membered aromatic system consisting of C atoms and 0, 1 or 2 heteroatoms N, O, and S; and ring D is substituted with 0-4 RD; addnl. details regarding the above variables are given in the claims.

IT 499217-88-8P, 6-(2'-Benzyloxy-5-hydroxy-4-methoxybiphenyl-2-yl)-

5,6a,7,11b-tetrahydro-6H-indeno[2,1-c]quinoline-2-carboximidine

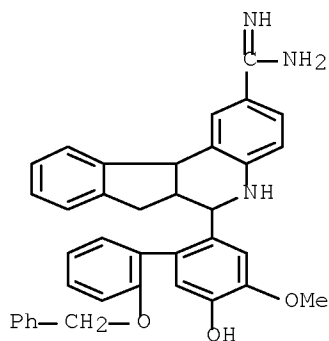
499218-30-3P, 6-(2-Aminomethyl-5,6a,7,11b-tetrahydro-6H-indeno[2,1-c]quinolin-6-yl)-2'-benzyloxy-4-methoxybiphenyl-3-ol

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of tetracyclic tetrahydroquinoline inhibitors of serine proteases as antithrombotic agents)

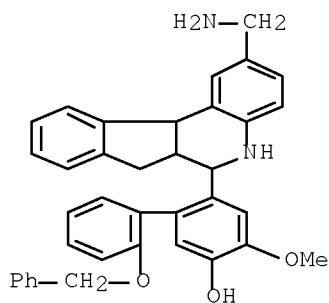
RN 499217-88-8 ZCAPLUS

CN 5H-Indeno[2,1-c]quinoline-2-carboximidamide, 6,6a,7,11b-tetrahydro-6-[5-hydroxy-4-methoxy-2'-(phenylmethoxy)[1,1'-biphenyl]-2-yl]- (CA INDEX NAME)



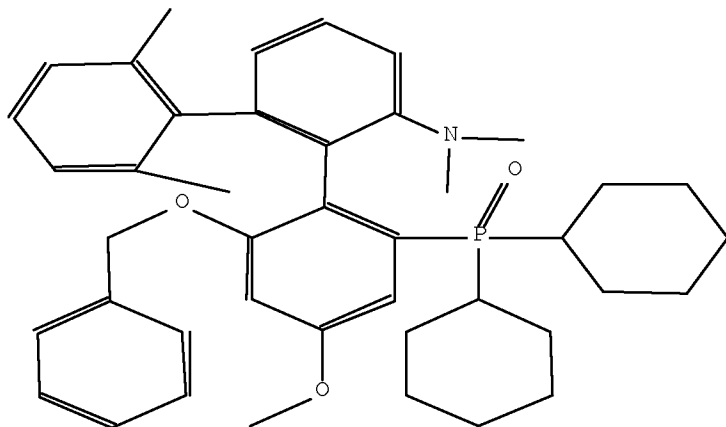
RN 499218-30-3 ZCAPLUS

CN [1,1'-Biphenyl]-3-ol, 6-[2-(aminomethyl)-6,6a,7,11b-tetrahydro-5H-indeno[2,1-c]quinolin-6-yl]-4-methoxy-2'-(phenylmethoxy)- (CA INDEX NAME)



L50 ANSWER 10 OF 13 BEILSTEIN COPYRIGHT 2008 BEILSTEIN MDL on STN

Beilstein Records (BRN):	10961913
Molec. Formula (MF):	C42 H52 N O3 P
Molecular Weight (MW):	649.85
Lawson Number (LN):	16731, 16730, 5228, 2817, 289
Compound Type (CTYPE):	isocyclic
Constitution ID (CONSID):	9165571
Tautomer ID (TAUTID):	10214894
Entry Date (DED):	2008/01/25
Update Date (DUPD):	2008/01/25



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	5
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
ED	Entry Date	1
UPD	Update Date	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

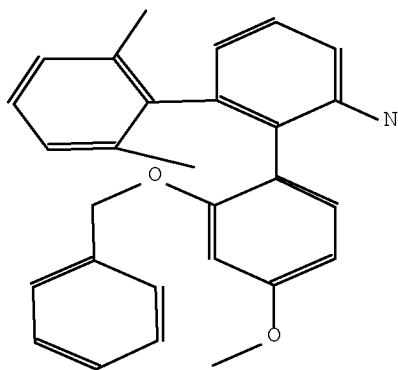
10/533036

All References:
ALLREF

1. Ashburn, Bradley O.; Carter, Rich G.; Zakharov, Lev N., J. Am. Chem. Soc., CODEN: JACSAT, SIR129(29), <2007>, 9109 - 9116; BABS-6702500

L50 ANSWER 11 OF 13 BEILSTEIN COPYRIGHT 2008 BEILSTEIN MDL on STN

Beilstein Records (BRN): 10932565
Molec. Formula (MF): C28 H27 N O2
Molecular Weight (MW): 409.53
Lawson Number (LN): 15281, 5228, 289
Compound Type (CTYPE): isocyclic
Constitution ID (CONSID): 9141835
Tautomer ID (TAUTID): 10184903
Entry Date (DED): 2008/01/25
Update Date (DUPD): 2008/01/25



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	3
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
ED	Entry Date	1
UPD	Update Date	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

10/533036

All References:
ALLREF

1. Ashburn, Bradley O.; Carter, Rich G.; Zakharov, Lev N., J. Am. Chem. Soc., CODEN: JACSAT, SIR129(29), <2007>, 9109 - 9116; BABS-6702500

L50 ANSWER 12 OF 13 BEILSTEIN COPYRIGHT 2008 BEILSTEIN MDL on STN

Beilstein Records (BRN): 9109439
Chemical Name (CN): 2-<4'-(tert-butyl-dimethyl-silanyloxymethyl)-6-methoxy-4,2',6'-tris-(4-methoxy-benzyloxy)-biphenyl-2-yl>-4,4-dimethyl-4,5-dihydro-oxazole
Autonom Name (AUN): 2-<4'-(tert-butyl-dimethyl-silanyloxymethyl)-6-methoxy-4,2',6'-tris-(4-methoxy-benzyloxy)-biphenyl-2-yl>-4,4-dimethyl-4,5-dihydro-oxazole
Molec. Formula (MF): C49 H59 N O9 Si
Molecular Weight (MW): 834.09
Lawson Number (LN): 31103, 5917, 3798, 3777, 289
Compound Type (CTYPE): heterocyclic
Constitution ID (CONSID): 7699321
Tautomer ID (TAUTID): 8554879
Entry Date (DED): 2002/07/19
Update Date (DUPD): 2002/07/19

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	5
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
ED	Entry Date	1
UPD	Update Date	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

All References:
ALLREF

1. Fuerstner, Alois; Stelzer, Frank; Rumbo, Antonio; Krause, Helga,

L50 ANSWER 13 OF 13 BEILSTEIN COPYRIGHT 2008 BEILSTEIN MDL on STN

Beilstein Records (BRN): 9107305
 Chemical Name (CN): 2-<4'-(tert-butyl-dimethyl-silanyloxymethyl)-6-methoxy-2',6'-bis-(4-methoxy-benzyloxy)-4-methoxymethoxy-biphenyl-2-yl>-4,4-dimethyl-4,5-dihydro-oxazole
 Autonom Name (AUN): 2-<4'-(tert-butyl-dimethyl-silanyloxymethyl)-6-methoxy-2',6'-bis-(4-methoxy-benzyloxy)-4-methoxymethoxy-biphenyl-2-yl>-4,4-dimethyl-4,5-dihydro-oxazole
 Molec. Formula (MF): C43 H55 N O9 Si
 Molecular Weight (MW): 757.99
 Lawson Number (LN): 31103, 5917, 3798, 3777, 689, 289
 Compound Type (CTYPE): heterocyclic
 Constitution ID (CONSID): 7697695
 Tautomer ID (TAUTID): 8552823
 Entry Date (DED): 2002/07/19
 Update Date (DUPD): 2002/07/19

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	6
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
ED	Entry Date	1
UPD	Update Date	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

All References:

ALLREF

1. Fuerstner, Alois; Stelzer, Frank; Rumbo, Antonio; Krause, Helga,
Chem.Europ.J., CODEN: CEUJED, 8(8), <2002>, 1856 - 1871; BABS-6340976

10/533036

=> => file wpix

FILE 'WPIX' ENTERED AT 10:36:08 ON 12 MAY 2008

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FILE LAST UPDATED: 4 MAY 2008 <20080504/UP>

MOST RECENT THOMSON SCIENTIFIC UPDATE: 200829 <200829/DW>

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>>> IPC Reform backfile reclassification has been loaded to the end of November 2007. No update date (UP) has been created for the reclassified documents, but they can be identified by 20060101/UPIC and 20061231/UPIC, 20070601/UPIC, 20071001/UPIC and 20071130/UPIC. <<<

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>>> Updated PDF files in the following links:

http://www.stn-international.de/stndatabases/details/ico_0803.zip

http://www.stn-international.de/stndatabases/details/epc_0803.zip

Supplement of all changed ECLA items:

http://www.stn-international.de/stndatabases/details/ecla_0803s.zip <<<

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'BIX' IS DEFAULT SEARCH FIELD FOR 'WPIX' FILE

=> d stat que L53

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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

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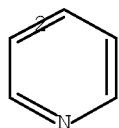
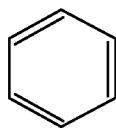
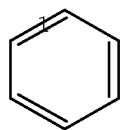
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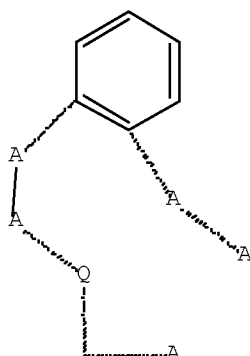
10/533036

G1



G1 [01], [02]

Structure attributes must be viewed using STN Express query preparation.
L9 STR



Structure attributes must be viewed using STN Express query preparation.
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L53 3 SEA FILE=WPIX ABB=ON PLU=ON L52/DCR

=> dup rem L12 L53
FILE 'ZCAPLUS' ENTERED AT 10:36:30 ON 12 MAY 2008
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PROCESSING COMPLETED FOR L12
PROCESSING COMPLETED FOR L53
L54 10 DUP REM L12 L53 (2 DUPLICATES REMOVED)
ANSWERS '1-9' FROM FILE ZCAPLUS
ANSWER '10' FROM FILE WPIX

10/533036

=> d ibib abs hitstr L54 10

L54 ANSWER 10 OF 10 WPIX COPYRIGHT 2008 THOMSON REUTERS on STN
ACCESSION NUMBER: 2007-524981 [51] WPIX Full-text
DOC. NO. CPI: C2007-193716 [51]
TITLE: New diarylimidazole compounds are cannabinoid receptor
modulators used for treatment or prophylaxis of e.g.
obesity, psychiatric disorders, schizophrenia and bipolar
disorders, anxiety, depression, cancer and cognitive
disorders
DERWENT CLASS: B03
INVENTOR: AHLQVIST M; CHENG L; LUNDQVIST R; SOERENSEN H
PATENT ASSIGNEE: (ASTR-C) ASTRAZENECA AB; (ASTR-C) ASTRAZENECA UK LTD
COUNTRY COUNT: 115

PATENT INFO ABBR.:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2007031720	A1	20070322	(200751)*	EN	55	[0]

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2007031720	A1	WO 2006-GB3356	20060912

PRIORITY APPLN. INFO: GB 2005-18817 20050915

AN 2007-524981 [51] WPIX Full-text

AB WO 2007031720 A1 UPAB: 20070809

NOVELTY - Diarylimidazole compounds (I) in the form of their methanesulfonate salts (mesylate salt), hemi-1,5-naphthalenedisulfonate salts, hemi-1,2-ethanedisulfonic acid salts, ethylsulfonate salts, nitrate salts, hydrochloride salts, sulfate salts and hydrogen sulfate salts, are new.

DETAILED DESCRIPTION - Diarylimidazole compounds of formula (I) in the form of their methanesulfonate salts (mesylate salts), hemi-1,5-naphthalenedisulfonate salts, hemi-1,2-ethanedisulfonic acid salts, ethylsulfonate salts and nitrate salts, are new.

R1 = 1-10C alkoxy (optionally substituted by F), phenyl(CH₂)_pO
(optionally substituted by 1-3 Z), R5S(O)2O, R5S(O)2NH or (R6)3Si;
p = 1-3;

R5 = 1-10C alkyl (optionally substituted by F), or phenyl or heteroaryl
(both optionally substituted by 1-3 Z);

R6 = 1-6C alkyl;

Ra = halo 1-3C alkyl or 1-3C alkoxy;

R2 = 1-3C alkyl, 1-3 alkoxy, OH, NO₂, CN or halo;

R2 = 1-3C alkyl, 1-3C alkoxy, OH, NO₂, CN or halo;

R3 = X-Y1-NR⁷R⁸;

X = CO or SO₂;

Y1 = NH, 1-3C alkyl;

R8 = 1-6C alkyl, 3-15C cycloalkyl, (3-15C cycloalkyl)1-3C alkylene (all optionally substituted by 1-3 W1), (-CH₂)_r(phenyl)_s (optionally substituted by 1-3 Z), saturated 5-8 membered heterocyclic group (containing 1 N and optionally O, S or an additional N and optionally substituted by 1-3C alkyl, OH or benzyl), -(CH₂)_tHet, where the alkylene chain is optionally substituted by 1-3C alkyl; and

R⁷ = H or R⁸; or

NR⁷R⁸ = saturated or partially unsaturated 5-8 membered heterocyclic group (containing 1 N and optionally one of O, S or an additional N and optionally substituted by 1-3C alkyl, OH, F or benzyl), oxazolyl, isoxazolyl,

thiazolyl, isothiazolyl, oxadiazolyl, thiadiazolyl, pyrrolyl, pyrazolyl, imidazolyl, triazolyl, tetrazolyl, thienyl, furyl or oxazolinyl (all optionally substituted by 1-3 Z);

R4 = H, 1-6C alkyl, 1-6C alkoxy, 1-6C alkoxy-1-6C alkylene (which contains a maximum of 6 C atoms and all optionally substituted by F or CN);

Z = 1-3C alkyl, 1-3C alkoxy, OH, halo, -CF3, trifluoromethylthio, difluoromethoxy, -OCF3, trifluoromethylsulfonyl, NO2, amino, mono or di-1-3C alkylamino, 1-3C alkylsulfonyl, 1-3C alkoxycarbonyl, carboxy, CN, carbamoyl, mono or di-1-3C alkyl carbamoyl and acetyl;

W1 = OH, F, 1-3C alkyl, 1-3C alkoxy, NH2, mono or di-1-3C alkylamino or a heterocyclic amine of morpholinyl, pyrrolidinyl, piperidinyl or piperazinyl in which the heterocyclic amine is optionally substituted by 1-3C alkyl or OH;

m = 0-3;

n = 0-3;

r = 0-4; and

t = 0-4,

provided that r is 0 otherwise s is 1 or 2; when n is 1 then R2 is not -OCH3 in either the 2-position or the 4- position of the phenyl ring; and R1 is not methylsulfonylamino, -OCH3 or CF3O.

ACTIVITY - Anorectic; Neuroleptic; Tranquilizer; Antidepressant; Nootropic; Anabolic; Eating-Disorders-Gen.; Anticonvulsant; Neuroprotective; Antiparkinsonian; Immunomodulator; Cardiovascular-Gen.; Gynecological; Endocrine-Gen.; Antibacterial; Immunosuppressive; Respiratory-Gen.; Gastrointestinal-Gen.; Vasotropic; Antismoking; Hypnotic; Cerebroprotective; Anticoagulant; Analgesic; Antianginal; Antiinfertility; Contraceptive; Antiinflammatory; Hepatotropic; Antiasthmatic; Cytostatic; Antiarthritic.

MECHANISM OF ACTION - Cannabinoid receptor modulator.

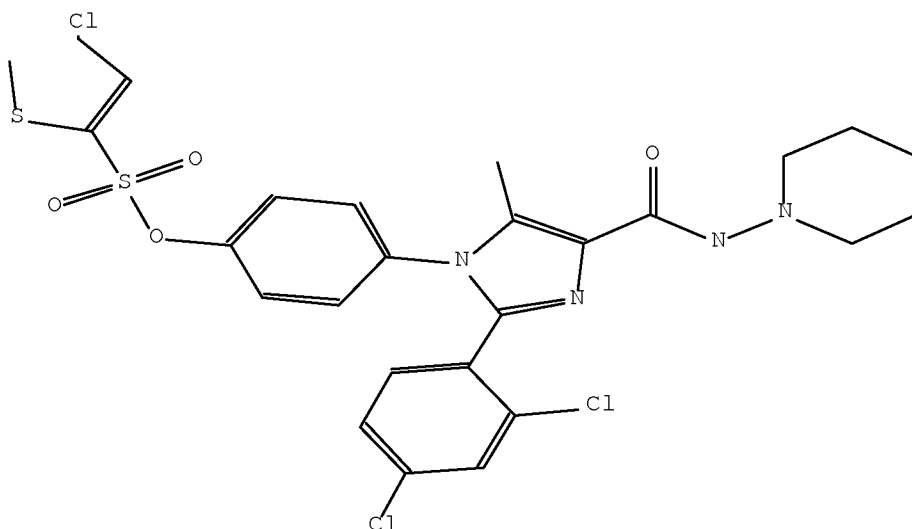
In an assay used to determine affinity for central cannaboid receptors as described in Devane et al, Molecular Pharmacology, 1988, 34,605, using membranes prepared from cells stably transfected with the CB 1 gene, results showed that (I) exhibited IC50 values of less than 200 nm.

USE - Used for the treatment or prophylaxis of obesity, psychiatric disorders such as psychotic disorders, schizophrenia and bipolar disorders, anxiety, anxio-depressive disorders, depression, cognitive disorders, memory disorders, obsessive-compulsive disorders, anorexia, bulimia, attention disorders, epilepsy and related conditions, neurological disorders, Parkinson's disease, Huntington's chorea, Alzheimer's disease, immune, cardiovascular, reproductive and endocrine disorders, septic shock, diseases related to the respiratory and gastrointestinal systems and extended abuse, addiction and/or relapse indications (claimed). (I) are useful e.g. to prevent weight gain, for modulation of appetite and/or satiety, eating disorders, to treat Tourette's syndrome, multiple sclerosis, Raynaud's syndrome, nicotine withdrawal, sleep disorder, cranial trauma, sleep apnea, stroke, cerebral apoplexy, ischemia, cerebral thrombosis, metabolic syndrome, syndrome X, reproductive and endocrine disorders, infertility, contraceptive, gastrointestinal systems, cholelithiasis, asthma, chronic obstructive pulmonary disease, cancer, Prader-Willi syndrome, arthritis and orthopedic disorders.

ADVANTAGE - (I) are in crystalline form. (I) are more efficacious, less toxic, longer acting, more potent and more easily absorbed. (I) has a broader range of activity, a better pharmacokinetic profile (e.g. higher oral bioavailability and/or lower clearance) and pharmacological, physical or chemical properties. (I) are administered less frequently. (I) exhibits improved ease of handling. (I) may be produced in forms which may have improved chemical and/or solid state stability (e.g. due to lower hygroscopicity). (I) are stable over prolonged periods. (I) are crystallised in good yields, in a high purity and at a low cost. (I) has potency, selectivity profile, half-life in plasma, blood brain permeability, plasma protein binding (higher free fraction of drug) or solubility.

10/533036

CN.S THIOPHENE-2-SULFONIC ACID 4-[2-(2,4-DICHLORO-PHENYL)-5-METHYL-4-(PIPERIDIN-1-YLCARBAMOYL)-IMIDAZOL-1-YL]-PHENYL ESTER HYDROCHLORIDE
SDCN RAQW9I

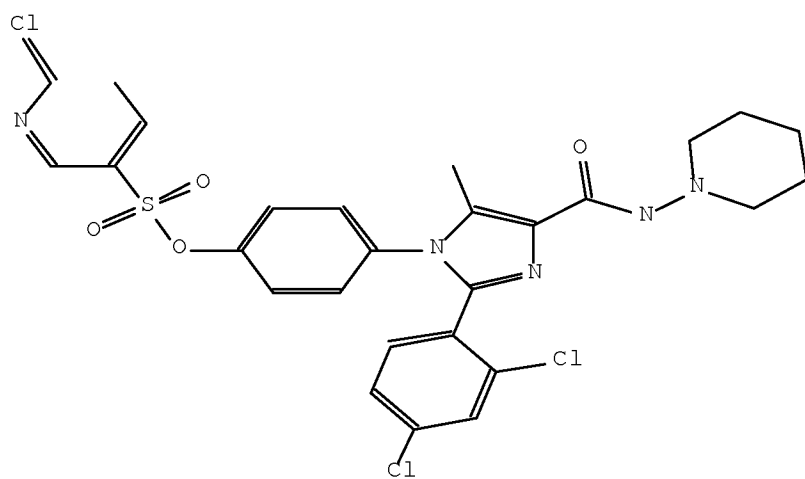


AN.S DCR-1502117
CN.S 5-Chloro-thiophene-2-sulfonic acid 4-[2-(2,4-dichloro-phenyl)-5-methyl-4-(piperidin-1-ylcarbonyl)-imidazol-1-yl]-phenyl ester hydrochloride
SDCN RAQW9P

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AN.S DCR-1502378
CN.S Pyridine-3-sulfonic acid 4-[2-(2,4-dichloro-phenyl)-5-methyl-4-(piperidin-1-ylcarbonyl)-imidazol-1-yl]-phenyl ester hydrochloride
SDCN RAQW9R

10/533036



10/533036

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(FILE 'HOME' ENTERED AT 10:01:19 ON 12 MAY 2008)

FILE 'REGISTRY' ENTERED AT 10:01:23 ON 12 MAY 2008

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L3 STRUCTURE UPLOADED
L4 STRUCTURE UPLOADED
L5 SCREEN 1841
L6 SCREEN 1946
L7 5110201 SEA ABB=ON PLU=ON 46.150.18/RID AND NRS>3
L8 0 SEA SUB=L7 SSS SAM (L1 AND L3 AND L4) AND (L5 AND L6)
L9 STRUCTURE UPLOADED
L10 1 SEA SUB=L7 SSS SAM (L1 AND L3 AND L4 AND L9) AND (L5 AND L6)
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FILE 'ZCAPLUS' ENTERED AT 10:13:16 ON 12 MAY 2008

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 D AU
L15 1 SEA ABB=ON PLU=ON L12 AND L14
 D SCA
 SEL RN
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FILE 'REGISTRY' ENTERED AT 10:17:27 ON 12 MAY 2008

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L19      5 SEA ABB=ON  PLU=ON  L18 AND NRS>3
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L20      151 SEA ABB=ON  PLU=ON  L11 AND L16

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L23      89 SEA ABB=ON  PLU=ON  GIBLIN G?/AU
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L26      13 SEA ABB=ON  PLU=ON  KILFORD I?/AU
L27      1179 SEA ABB=ON  PLU=ON  MILLER N?/AU
L28      13 SEA ABB=ON  PLU=ON  SCOCCITTI T?/AU
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L33      5 SEA ABB=ON  PLU=ON  L26 AND (L27 OR L28)
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L35      7 SEA ABB=ON  PLU=ON  L29 AND (L30 OR L31 OR L32 OR L33 OR L34)
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L38      4 SEA ABB=ON  PLU=ON  L32 AND (L33 OR L34)

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10/533036

L39 2 SEA ABB=ON PLU=ON L33 AND L34
L40 21 SEA ABB=ON PLU=ON (L35 OR L36 OR L37 OR L38 OR L39)
L41 3 SEA ABB=ON PLU=ON L21 AND (L22 OR L23 OR L24 OR L25 OR L26
OR L27 OR L28)
L42 3 SEA ABB=ON PLU=ON L12 AND (L22 OR L23 OR L24 OR L25 OR L26
OR L27 OR L28)

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L43 27 SEA ABB=ON PLU=ON L40

FILE 'BEILSTEIN' ENTERED AT 10:25:28 ON 12 MAY 2008
L44 0 SEA SSS SAM (L1 AND L3 AND L4 AND L9)
L45 13 SEA SSS FUL (L1 AND L3 AND L4 AND L9)
L46 9 SEA ABB=ON PLU=ON L45 AND BABSAN/FA
L47 4 SEA ABB=ON PLU=ON L45 NOT L46
SEL BABSAN L46

FILE 'BABS' ENTERED AT 10:27:21 ON 12 MAY 2008
L48 4 SEA ABB=ON PLU=ON (6644860/BABSAN OR 6702500/BABSAN OR
6340976/BABSAN OR 6562995/BABSAN)

FILE 'REGISTRY' ENTERED AT 10:28:00 ON 12 MAY 2008

FILE 'ZCAPLUS' ENTERED AT 10:28:09 ON 12 MAY 2008
D STAT QUE L40
D STAT QUE L43

FILE 'REGISTRY' ENTERED AT 10:28:41 ON 12 MAY 2008

FILE 'ZCAPLUS' ENTERED AT 10:28:45 ON 12 MAY 2008
D STAT QUE L40

FILE 'MEDLINE, EMBASE, BIOSIS, WPIX' ENTERED AT 10:29:00 ON 12 MAY 2008
D STAT QUE L43

FILE 'ZCAPLUS, MEDLINE, EMBASE, BIOSIS, WPIX' ENTERED AT 10:29:20 ON 12
MAY 2008
L49 22 DUP REM L40 L43 (26 DUPLICATES REMOVED)
ANSWERS '1-21' FROM FILE ZCAPLUS
ANSWER '22' FROM FILE BIOSIS
D IBIB ABS L49 1-21
D IALL L49 22

FILE 'REGISTRY' ENTERED AT 10:30:20 ON 12 MAY 2008

FILE 'ZCAPLUS' ENTERED AT 10:30:27 ON 12 MAY 2008
D STAT QUE L21
D IBIB ABS HITSTR L21 1-3

FILE 'REGISTRY' ENTERED AT 10:31:02 ON 12 MAY 2008

FILE 'ZCAPLUS' ENTERED AT 10:31:07 ON 12 MAY 2008
D STAT QUE L12

FILE 'BABS' ENTERED AT 10:31:22 ON 12 MAY 2008
D STAT QUE L48

FILE 'BEILSTEIN' ENTERED AT 10:31:38 ON 12 MAY 2008
D STAT QUE L47

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L50 FILE 'ZCAPLUS, BABS, BEILSTEIN' ENTERED AT 10:31:59 ON 12 MAY 2008
13 DUP REM L12 L48 L47 (4 DUPLICATES REMOVED)
ANSWERS '1-9' FROM FILE ZCAPLUS
ANSWERS '10-13' FROM FILE BEILSTEIN
D IBIB ABS HITSTR L50 1-9
D IDE ALLREF L50 10-13

L51 FILE 'WPIX' ENTERED AT 10:35:03 ON 12 MAY 2008
8 SEA SSS SAM L1 AND L3 AND L4 AND L9
L52 109 SEA SSS FUL L1 AND L3 AND L4 AND L9
L53 3 SEA ABB=ON PLU=ON L52/DCR

FILE 'WPIX' ENTERED AT 10:36:08 ON 12 MAY 2008
D STAT QUE L53

L54 FILE 'ZCAPLUS, WPIX' ENTERED AT 10:36:30 ON 12 MAY 2008
10 DUP REM L12 L53 (2 DUPLICATES REMOVED)
ANSWERS '1-9' FROM FILE ZCAPLUS
ANSWER '10' FROM FILE WPIX
D IBIB ABS HITSTR L54 10

FILE HOME

FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 11 MAY 2008 HIGHEST RN 1020256-26-1
DICTIONARY FILE UPDATES: 11 MAY 2008 HIGHEST RN 1020256-26-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

FILE ZCAPLUS

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FILE COVERS 1907 - 12 May 2008 VOL 148 ISS 20
FILE LAST UPDATED: 11 May 2008 (20080511/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE MEDLINE

FILE LAST UPDATED: 10 May 2008 (20080510/UP). FILE COVERS 1949 TO DATE.

MEDLINE has been updated with the National Library of Medicine's revised 2008 MeSH terms. See HELP RLOAD for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

See HELP RANGE before carrying out any RANGE search.

FILE EMBASE

FILE COVERS 1974 TO 12 May 2008 (20080512/ED)

EMBASE was reloaded on March 30, 2008.

EMBASE is now updated daily. SDI frequency remains weekly (default) and biweekly.

This file contains CAS Registry Numbers for easy and accurate substance identification.

Beginning January 2008, Elsevier will no longer provide EMTREE codes as part of the EMTREE thesaurus in EMBASE. Please update your current-awareness alerts (SDIs) if they contain EMTREE codes.

For further assistance, please contact your local helpdesk.

FILE BIOSIS

FILE COVERS 1926 TO DATE.

CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNs) PRESENT FROM JANUARY 1926 TO DATE.

RECORDS LAST ADDED: 12 May 2008 (20080512/ED)

BIOSIS has been augmented with 1.8 million archival records from 1926 through 1968. These records have been re-indexed to match current BIOSIS indexing.

FILE WPIX

FILE LAST UPDATED: 4 MAY 2008 <20080504/UP>
MOST RECENT THOMSON SCIENTIFIC UPDATE: 200829 <200829/DW>
DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE

>>> IPC Reform backfile reclassification has been loaded to the end of November 2007. No update date (UP) has been created for the reclassified documents, but they can be identified by 20060101/UPIC and 20061231/UPIC, 20070601/UPIC, 20071001/UPIC and 20071130/UPIC. <<<

FOR A COPY OF THE DERWENT WORLD PATENTS INDEX STN USER GUIDE, PLEASE VISIT:

http://www.stn-international.de/training_center/patents/stn_guide.pdf

FOR DETAILS OF THE PATENTS COVERED IN CURRENT UPDATES, SEE
<http://scientific.thomsonreuters/support/patents/coverage/latestupdates/>

EXPLORE DERWENT WORLD PATENTS INDEX IN STN ANAVIST, VERSION 2.0:
http://www.stn-international.com/archive/presentations/DWPIAnaVist2_0710.p

>>> HELP for European Patent Classifications see HELP ECLA, HELP ICO <<<

>>> Updated PDF files in the following links:

http://www.stn-international.de/stndatabases/details/ico_0803.zip

http://www.stn-international.de/stndatabases/details/epc_0803.zip

Supplement of all changed ECLA items:

http://www.stn-international.de/stndatabases/details/ecla_0803s.zip <<

>>> Please note that the COPYRIGHT notification has changed <<<

FILE BEILSTEIN

FILE LAST UPDATED ON April 1, 2008

FILE COVERS 1771 TO 2008.

FILE CONTAINS 10.322,808 SUBSTANCES

>>>PLEASE NOTE: Reaction Data and substance data are stored in separate documents and can not be searched together in one query. Reaction data for BEILSTEIN compounds may be displayed immediately with the display codes PRE (preparations) and REA (reactions). A substance answer set retrieved after the search for a chemical name, a compounds with available reaction information by combining with PRE/FA, REA/FA or more generally with RX/FA. The BEILSTEIN Registry Number (BRN) is the link between a BEILSTEIN compound and belonging reactions. For more detailed reaction searches BRNs can be searched as reaction partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

* PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST. *

* SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE *

* ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE *

* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS. *

* FOR PRICE INFORMATION SEE HELP COST *

>>> Price change as of January 1st, 2008: Connect Time and Structure Search fees re-introduced. See NEWS and HELP COST <<<

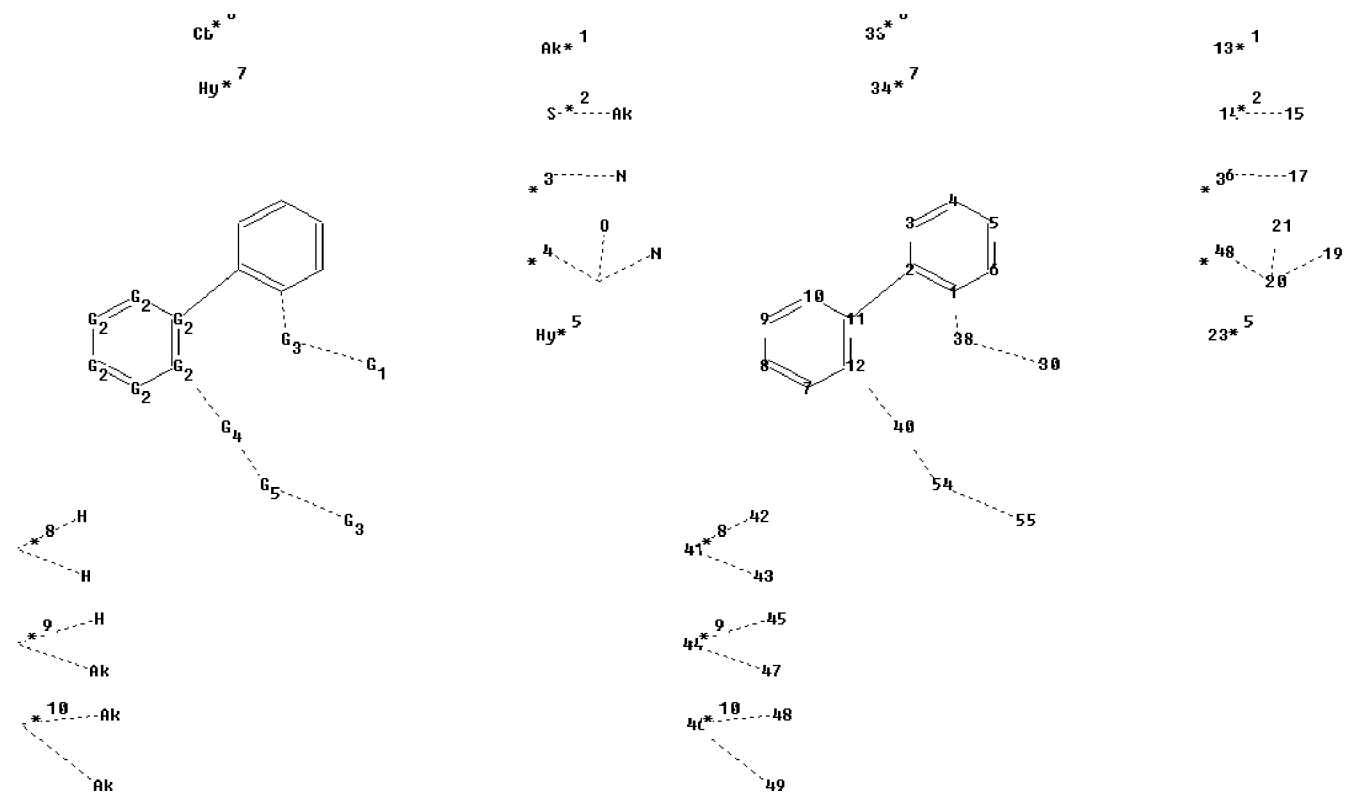
FILE BABS

FILE LAST UPDATED: 17 MAR 2008 <20080317/UP>

FILE COVERS 1980 TO DATE.

Uploading L1.str

10/533036



```

chain nodes :
13 14 15 16 17 18 19 20 21 23 30 33 34 38 40 41 42 43 44 45 46
47 48 49 54 55
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12
chain bonds :
1-38 2-11 12-40 14-15 16-17 18-20 19-20 20-21 30-38 40-54 41-42 41-43
44-45 44-47 46-48 46-49 54-55
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
exact/norm bonds :
1-38 2-11 7-8 7-12 8-9 9-10 10-11 11-12 12-40 14-15 16-17 18-20 19-20
20-21 30-38 40-54 41-42 41-43 44-45 44-47 46-48 46-49 54-55
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

```

G1:[*1],[*2],[*3],[*4],[*5]

G2:C,N

G3:[*6],[*7]

G4:O,S

G5:[*8],[*9],[*10]

Connectivity :

21:1 E exact RC ring/chain

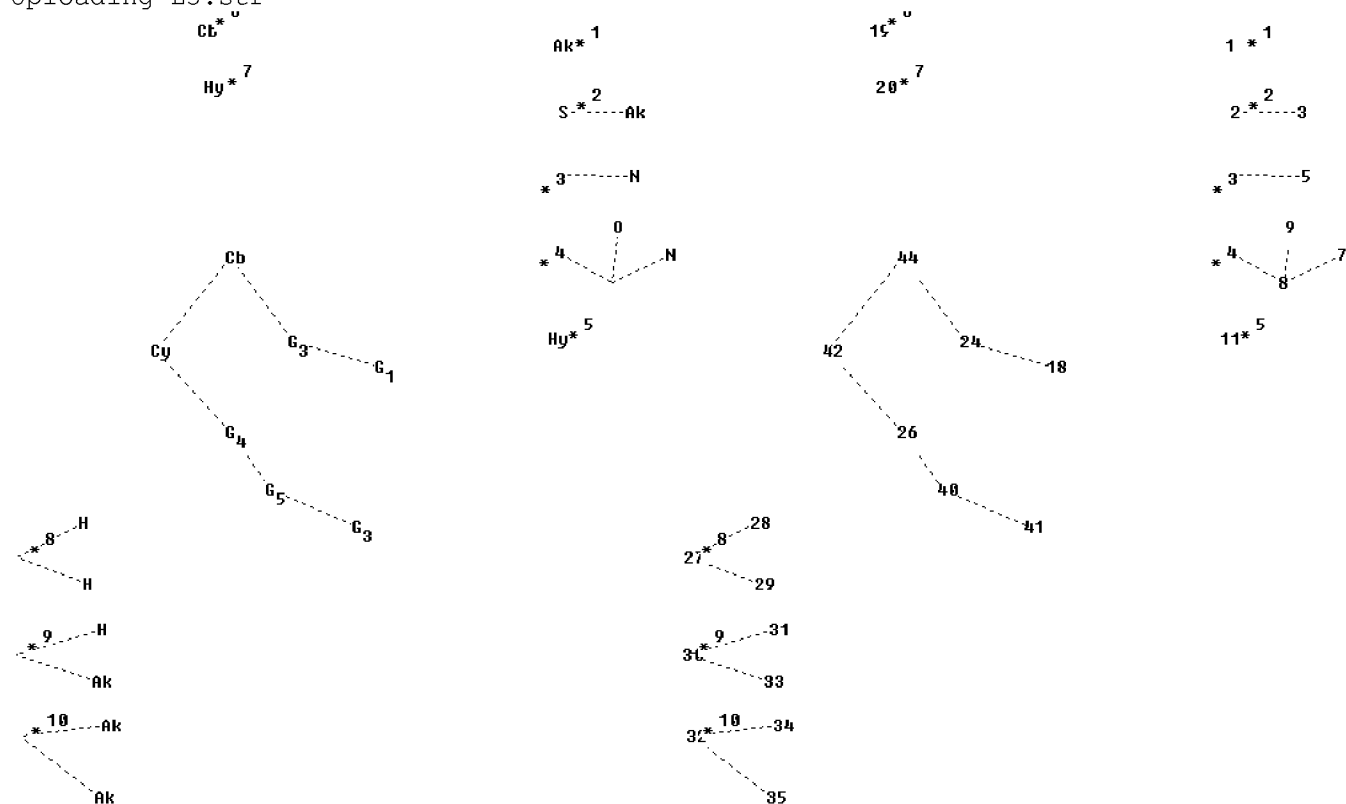
Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS

10/533036

19:CLASS 20:CLASS 21:CLASS
 23:Atom 30:CLASS 33:Atom 34:Atom 38:CLASS 40:CLASS 41:CLASS 42:CLASS
 43:CLASS 44:CLASS
 45:CLASS 46:CLASS 47:CLASS 48:CLASS 49:CLASS 54:CLASS 55:CLASS
 Generic attributes :
 33:
 Saturation : Unsaturated

Uploading L3.str



chain nodes :
 1 2 3 4 5 6 7 8 9 11 18 19 20 24 26 27 28 29 30 31 32 33 34
 35 40 41 42 44
 chain bonds :
 2-3 4-5 6-8 7-8 8-9 18-24 24-44 26-40 26-42 27-28 27-29 30-31 30-33
 32-34 32-35 40-41 42-44
 exact/norm bonds :
 2-3 4-5 6-8 7-8 8-9 18-24 24-44 26-40 26-42 27-28 27-29 30-31 30-33
 32-34 32-35 40-41 42-44

G1:[*1],[*2],[*3],[*4],[*5]

G3:[*6],[*7]

G4:O,S

G5:[*8],[*9],[*10]

Connectivity :

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9:1 E exact RC ring/chain 42:4 X maximum RC ring/chain 44:4 X maximum RC ring/chain

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS
11:Atom 18:CLASS 19:Atom 20:Atom 24:CLASS 26:CLASS 27:CLASS 28:CLASS
29:CLASS 30:CLASS 31:CLASS
32:CLASS 33:CLASS 34:CLASS 35:CLASS 40:CLASS 41:CLASS 42:Atom 44:Atom

Generic attributes :

19:

Saturation : Unsaturated

42:

Saturation : Unsaturated

Number of Carbon Atoms : less than 7

Type of Ring System : Monocyclic

44:

Saturation : Unsaturated

Number of Carbon Atoms : less than 7

Type of Ring System : Monocyclic

Element Count :

Node 42: Limited

C,C5-6

N,N0-1

S,S0

O,O0

P,P0

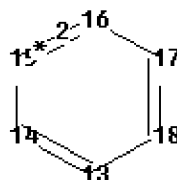
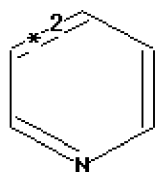
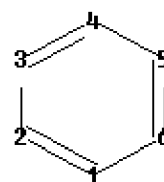
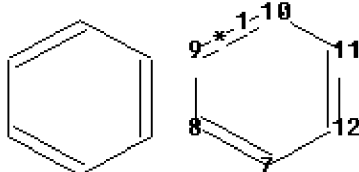
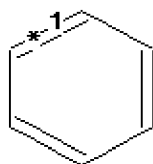
Node 44: Limited

C,C6

Uploading L4.str

G₁

23



chain nodes :

23

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18

ring bonds :

10/533036

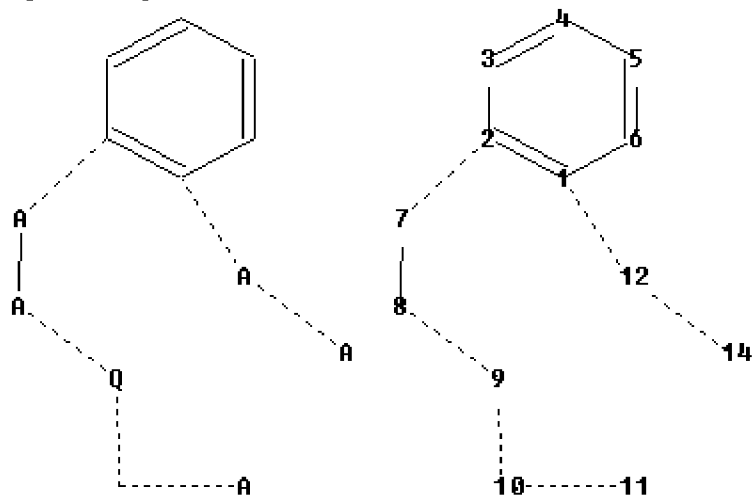
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 13-14 13-18 14-15
15-16 16-17 17-18
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 13-14 13-18 14-15
15-16 16-17 17-18
isolated ring systems :
containing 1 : 7 : 13 :

G1:[*1],[*2]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 23:CLASS

Uploading L9.str



chain nodes :

9 10

ring nodes :

1 2 3 4 5 6 7 8 11 12 14

chain bonds :

1-12 2-7 8-9 9-10 10-11

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 12-14

exact/norm bonds :

1-12 2-7 8-9 9-10 10-11 12-14

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8

isolated ring systems :

containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:CLASS 10:CLASS
11:Atom 12:Atom 14:Atom

10/533036

=>